



Focus on hyoscine butylbromide

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Introduction

Abdominal pain associated with cramping is considered a functional disturbance of the gastrointestinal tract (GIT) when it is not associated with an organic, infectious, or parasitic illness. Functional abdominal pain occurs in up to 30% of the adult population in Western Countries¹ and although it is not life threatening, it has a significant impact on the patients' quality of life.² Treatment of abdominal pain associated with cramping is focused on relieving symptoms.²

Indications

Hyoscine-N-butylbromide (hyoscine butylbromide), also known as scopolamine butylbromide,² is indicated for the treatment of conditions associated with gastrointestinal spasm.³

Mechanism of action

Hyoscine butylbromide is a quaternary ammonium compound that inhibits the muscarinic actions of acetylcholine locally in the smooth muscle of the GIT. Because of its high affinity for muscarinic receptors, it remains available at the site of action in the intestine and exerts a local muscle relaxing/spasmolytic effect.^{2,3}

Pharmacokinetics

Absorption following oral administration is minimal (8–10%) due to low lipid solubility and the polarity of the quaternary ammonium group.⁴ Hyoscine butylbromide does not readily cross the blood-brain barrier and therefore does not display any central nervous system (CNS) effects.³ Peak concentrations are reached between one and two hours following oral administration and it has an elimination

half-life of 5.1 hours.⁴ The effects on the GI tract are dose-dependent and occur 20–80 minutes following oral administration.² About 90% of the oral dose is excreted in the faeces with 0.7–2% being excreted in the urine and 0.5% in the bile.⁴

Dosing

Hyoscine butylbromide can be administered three to four times daily and can be used in children from one month of age.^{3,4} A syrup containing 0.1% (5 mg/5 ml) of hyoscine butylbromide is available for infants and children under 6 years of age.³

Table I: Hyoscine butylbromide oral dose recommendations^{3,4,7}

Age	Dose	Frequency of dosing
Infants 1–3 months of age	2.5 mg	Three times daily
Infants 3–12 months of age	2.5–5 mg	Three times daily
Children 1 up to 3 years of age	5–10 mg	Three times daily
Children older than 3 up to 6 years of age	10 mg	Three times daily
Adults and children older than 6 years	10–20 mg	Three to four times daily

Dosing should start with the lowest effective dose³ based on age in children and can be increased up to 20 mg given five times per day in adults.^{2,4} Hyoscine butylbromide should not be taken on a continuous daily basis or for extended periods without investigating the cause of abdominal pain.⁵

Efficacy

A review on the efficacy of hyoscine butylbromide for treatment of functional abdominal pain and discomfort evaluated 10 placebo-controlled studies that included 3 699 patients of which 911 received oral or rectal hyoscine butylbromide.² The remainder of the

patients received paracetamol, placebo, or hyoscine butylbromide combined with other drugs. The patient populations included both those with functional abdominal pain and discomfort and those with irritable bowel syndrome. Treatment duration of all placebo-controlled trials ranged from a single 20 mg dose study with a four-hour observation time to a three-month regimen of 10 mg administered four times daily. The maximum daily dose ranged from 20 mg to 200 mg per day.²

Although seven of the studies included a relatively small number of subjects, the other three studies were conducted in larger populations and despite a strong placebo effect, the efficacy of hyoscine butylbromide was significantly superior to placebo in at least one of the efficacy variables.²

Another randomised, double blind, placebo-controlled study in 175 patients with self-reported functional cramping abdominal pain, reported pain relief within 15 min after the first dose and patients in the hyoscine butylbromide group reported pain reduction earlier than patients in the placebo group.²

Head-to-head comparisons between hyoscine butylbromide and other smooth-muscle relaxants are rare and underpowered. However, meta-analyses indicate that any differences in efficacy between hyoscine butylbromide and other antispasmodics are probably small and not clinically significant.²

Safety

Contraindications

The use of hyoscine butylbromide is contraindicated in patients with porphyria, tachycardia, closed angle glaucoma and patients with an enlarged prostate with urinary retention. Patients with megacolon, pyloric stenosis, paralytic ileus and other mechanical stenoses or obstruction of the GIT should not take hyoscine butylbromide.^{3,4,6} Hyoscine butylbromide may reduce sweating and should therefore not be used in patients with fever.^{3,5}

Warnings and special precautions

Hyoscine butylbromide can increase the heart rate and should be used with caution in patients with conditions associated with tachycardia such as thyrotoxicosis, cardiac failure or insufficiency, and in patients who had cardiac surgery to avoid a further increase in heart rate.⁵ Patients with undiagnosed narrow angle glaucoma should use hyoscine with caution and should seek urgent medical advice in case they develop a painful, red eye with loss of vision whilst or after taking hyoscine butylbromide.^{3,5}

Medical advice should be sought immediately if severe, unexplained abdominal pain persists or worsens, or occurs together with symptoms such as fever, nausea, vomiting, changes in bowel movements, abdominal tenderness, decreased blood pressure, fainting, or blood in the stool.⁵

Adverse effects

Due to the low absorption rates, hyoscine butylbromide is generally well-tolerated with no significant differences in adverse events reported between treatment- and placebo-groups. Adverse events occurred at a low incidence (less than 1.5%) and were mild and self-limiting. Doses as high as 600 mg per day did not induce CNS effects.² The adverse events reported are mostly due to anticholinergic effects and include tachycardia, urinary retention, dilatation of pupils, increased intraocular pressure, dryness of the mouth, thirst, difficulty in swallowing, and constipation. Vomiting and pain behind the breastbone (retrosternal pain) may occur due to increased gastric reflux. Hypersensitivity reactions have also been reported.³

Drug interactions

The risk of added anticholinergic effects when using hyoscine butylbromide with other medicines that have anticholinergic effects is low because of the low absorption rates of hyoscine butylbromide, but cannot be excluded.² There may therefore be an increased risk of anticholinergic effects when hyoscine butylbromide is used with drugs such as tricyclic antidepressants, antihistamines, quinidine, amantadine, disopyramide, tiotropium, and ipratropium. Simultaneous use is not recommended. Use of hyoscine with beta-adrenergic agents (e.g. bronchodilators) can enhance their tachycardic effects.⁵

Simultaneous use of metoclopramide and hyoscine butylbromide may reduce the effect of both medicines in the GIT.⁵

Conclusion

Hyoscine butylbromide induces smooth muscle relaxation locally in the GIT to relieve abdominal pain and cramping. Because of the low systemic absorption and inability to cross the blood-brain barrier, hyoscine butylbromide is generally well tolerated and is a valuable treatment option for the treatment of abdominal pain or discomfort associated with cramping.²

References

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