**Technical Description**

**Name of the plant**: *Duboisia leichardtii*

**Part of the plant used**: Leaves

**Formula**: C_{21}H_{30}BrNO_{4}

**IUPAC Name**: [7(S)-(1",2ß,4ß,5",7ß)-9-butyl-7-(3-hydroxy-1-oxo-2-phenylpropoxy)buta-1,5-dien-9-one bromide]

**CAS N.**: 440,40 g/mol

**Molecular Weight**: 395.44 g/mol

**Structural Formula**

```
  H
 / \
H  /  \H
/  / \
H - H - H
   |   |
   C   C
```

**Appearance**: white or almost white, crystalline powder

**Solubility**: freely soluble in water and methylene chloride, sparingly soluble in ethanol

**Identification**

- A) Specific Optical rotation: -20.0 to +20.0 (dry basis)
- B) Melting point: between 139 °C and 141 °C
- C) IR spectrum: complies
- D, E) Colorimetric test: it gives the reaction of bromides
- F) Bromides test: between 98.0 % and 101.0 % AgNO₃

**Appearance of solution**: the 5 % water solution is clear and colourless

**pH**: between 5.0 and 6.5

**Loss on drying**: NMT 2.5 %

**Subsalts ash**: NMT 0.10 %

**HPLC related substances**

- (S)-scopolamine hydrobromide: NMT 0.20 %
- pseudo-hyoscine butylbromide: NMT 0.20 %
- tropic acid: NMT 0.20 %
- (S)-scopolamine methylbromide: NMT 0.20 %
- (S)-scopolamine propylbromide: NMT 0.20 %
- (-)-scopolamine butylbromide: NMT 0.20 %

**Assay**: between 98.0 % and 103.0 % AgNO₃

**Microbiology**: complies with EP

**Storage**: sealed container

---

**Bibliographic References**


---

**Linnea SA**

**Via Cantonale 1**

**CH-6595 Riazzino (TI)**

**Switzerland**

**Tel:** +41 (0)91 850 5050

**Fax:** +41 (0)91 850 5070

**E-Mail:** info@linnea.ch

**Web:** www.linnea.ch
Butylscopolamine decreases the mobility of the gastrointestinal tract and the genitourinary tract and is useful in the treatment of spasms in these regions, as may be seen in gastrointestinal, colitis, inflammatory bowel disease, diverticulitis, biliary colic, cystitis, uretic colic and primary dysmenorrhoea. The inhibitory action on glands in the oral cavity, gastrointestinal tract, and respiratory tract causes a reduction in secretion. The scientific base of its activity was objectively demonstrated by a recent study conducted to investigate its electrical and biochemical activity in the stomach. A quantity of 20 mg of intravenous Butylscopolamine was shown to decrease both the mechanical and electrical motility index [3,4].

The addition of the butyl-bromide moiety to Scopolamine has resulted in a significant increase in the water solubility of this drug, which effectively prevents movement across the blood-brain barrier with a marked peripheral activity.

Since it is only partially absorbed following oral administration, it remains available at the site of action in the intestine and has a local relaxing/spasmolytic effect with a low systemic availability.

Common Applications

Scopolamine butylbromide is a generally safe and affordable drug with a wide range of applications. It was originally used as a treatment for non-specific colicky abdominal pain (in adults and children) for which it is currently recommended symptomatic treatment.

Abdominal pain and cramps are a frequent problem in the adult population, estimated to occur in up to 30% of the population. They are considered a functional gastrointestinal tract disorder and are effectively controlled using antispasmodic agents.

Butylscopolamine is also effective as an analgesic in the treatment of renal colic due to its ability to control the intense colicky pain associated with this condition. The benefit of using Butylscopolamine in the control of non-specific abdominal colic is clinically manifested in the improvement/resolution of the spasms/cramps perceived by the patient, so it is also recommended for treating the irritable bowel syndrome [5].

Finally, it is considered useful in some invasive diagnostic procedures performed on the gastrointestinal tract, such as colonoscopy and sigmoidoscopy.

Clinical Evidence

This butylamine derivative of Scopolamine has been used for more than half a century all over the world and has found a wide range of applications as a spasmylytic agent. Evidence of its effectiveness is the regular doctor's recommendation and the high patient compliance. Several clinical trials have also recently been performed to strengthen the scientific base for its efficacy and tolerability.

Anti spasmodic

Butylscopolamine has been studied in patients with non-specific abdominal pain in several recent studies. One of the most recent large studies compared the efficacy and tolerability of oral Butylscopolamine 10 mg given three times a day to patients with recurrent crampy abdominal pain. A total of 1037 patients were all given a week of placebo, then randomized to three weeks of treatment. Pain intensity (as measured on the Visual Analogue Scale and the Verbal Rating Scale) decreased in all treatment groups, by statistically significant amounts, in comparison with the placebo [7].

Tytgat et al. published two reviews on the use of oralanticholinergic Butylscopolamine specifically for the treatment of abdominal pain and cramps in a number of clinical scenarios. The drug was considered beneficial in all the trials conducted. The author concluded that the drug's rapid action and efficacy, together with its high tolerability, support its use in a number of applications ranging from the treatment of acute abdominal spasm, labour and palliative care to support for diagnostic and therapeutic abdominal procedures, in which spasms may be proven to be a problem [8,9].

There is plenty of clinical evidence of the efficacy of Butylscopolamine in the treatment of other specific spasmodic syndromes like IBD flintoids Bovine Breast (510.11) and Renal Coll [7,13.

Dysmenorrhoea

Two recent studies were conducted to assess the effect of Butylscopolamine on primary and secondary dysmenorrhoea in combination with NSAID (Non-Steroidal Anti-Inflammatory Drugs) in comparison with a placebo. In both studies the treatment arm showed a consistent decrease in subjective pain intensity score and was statistically more effective than the placebo in providing satisfactory relief [14,15].

Toxicity and Safety

Acute: Butylscopolamine has a low index of toxicity. In one LDOE values were in the range of 4000–7000 mg/kg in mice, 1040–2000 mg/kg in rats, and 850 mg/kg in dogs. The intravenous LDOE values were 10–23 mg/kg in mice and 18 mg/kg in rats. At 3 mg/kg, convulsions occurred immediately after injection. Rats died with 3 mg/kg after respiratory paralysis. Sub-chronic toxicity tests, with oral administration in rats, showed NOAEL value of 500 mg/kg. Intraperitoneal dose of 1 mg/kg was well tolerated by rats in a 4-week study. The NOAEL of the 20-week oral (capsule) dog study was 20 mg/kg. Butylscopolamine was neither embryotoxic, nor teratogenic and revealed no significant adverse effects, or potential in the specific tests. It show a lowrogenic potential in vivo into 20-week-studies in rats given up to 1000 mg/kg [16].

These plantations had initially been established by the German scientist Albert Ludenber in 1892. The use of various preparations from its plant-based form is learnt from the healing arts of some of the world’s oldest cultures and perhaps even pre-historic times. In India Ancient Hindu physicians knew of the antispasmodic effects of a relative of the Duboisia shrub. In the research for a safe and effective treatment for abdominal pain and cramp, scientists based at Ingham prepared a semi-synthetic derivative from the extract of elite Duboisia plants grown in greenhouses. This molecule, Butylscopolamine, was free of the undesirable side-effects on the central nervous system, typical of Scopolamine and the medication, on sale since 1952, was immediately recognized as a safe and effective antispasmodic.

Today, Butylscopolamine, also known as Scopolamine butylbromide, butylscopolamine or hyoscine butylbromide, is the world’s leading and most trusted treatment for pain and discomfort caused by muscle spasms and cramps. It cannot be considered an analgesic in the normal sense, in that it does not ‘mask’ or ‘cover’ the pain, but rather works to prevent the pain from occurring in the first place.