



## BENZOCAINE

This monograph is intended to serve as a guide to industry for the preparation of Product Licence Applications (PLAs) and labels for natural health product market authorization. It is not intended to be a comprehensive review of the medicinal ingredient.

### Notes

- ▶ Text in parentheses is additional optional information which can be included on the PLA and product label at the applicant's discretion.
- ▶ The solidus (/) indicates that the terms are synonyms or the statements are synonymous. Either term or statement may be selected by the applicant.

**Date** January 15, 2013

### Proper name(s)

4-aminobenzoic acid ethyl ester (Merck 2012)

### Common name(s)

benzocaine (USP 35; Merck 2012; CTFA 2008)

### Source material(s)

*p*-aminobenzoic acid (Merck 2012; CTFA 2008)

### Route(s) of administration

- ▶ buccal
- ▶ dental
- ▶ oral
- ▶ periodontal
- ▶ topical

### Dosage form(s)

Those dosage forms suited to the above routes of administration other than metered dose and chlorofluorocarbons (CFCs)-based aerosols/aerosol sprays, metered-dose pump sprays, dental adhesives, and lozenges for the relief of pain due to sore throat (for the latter, refer to HC 2005).

**Use(s) or Purpose(s)**                      Statement(s) to the effect of

**Buccal**

- ▶ For the temporary relief of occasional minor oral irritation/oral pain/sore mouth (US FDA 1991).
- ▶ For the temporary relief of pain associated with canker sores/aphthous stomatitis (USP DI 2006; US FDA 1991).
- ▶ For the temporary relief of pain associated with cold sores/fever blisters/oral herpes (USP DI 2006; CPhA 1996).
- ▶ For the temporary relief of occasional minor irritation or injury of the mouth (US FDA 1991).
- ▶ For the temporary relief of pain due to minor irritation of the mouth due to dentures or orthodontic appliances (US FDA 1991).

**Dental**

For the temporary relief of pain arising as a result of toothache (US FDA 1991).

**Oral**

- ▶ For the temporary relief of occasional minor oral irritation/oral pain/sore mouth and sore throat (US FDA 1991).
- ▶ For the temporary relief of pain associated with canker sores/aphthous stomatitis (USP DI 2006; US FDA 1991) and cold sores/fever blisters/oral herpes (USP DI 2006; CPhA 1996).
- ▶ For the temporary relief of occasional minor irritation or injury of the mouth (US FDA 1991).
- ▶ For the temporary relief of pain due to minor irritation of the mouth due to dentures or orthodontic appliances (US FDA 1991).

**Periodontal**

- ▶ For the temporary relief of occasional minor irritation or injury of the gums (US FDA 1991).
- ▶ For the temporary relief of pain due to minor dental procedures (US FDA 1991).
- ▶ For the temporary relief of pain due to minor irritation of the gums due to dentures or orthodontic appliances (US FDA 1991).

- ▶ For the temporary relief of sore gums due to teething in infants 4 months of age and older and children (US FDA 1991).

## **Topical**

For the temporary relief of pain associated with cold sores/fever blisters/oral herpes (USP DI 2006; CPhA 1996).

## **Dose(s)**

### **Subpopulation(s)**

Aerosols/aerosol sprays and pump sprays for the oral cavity or throat area:  
Adults, adolescents, and children  $\geq 2$  years (US FDA 1991)

Dental pastes and film-forming gels:  
Adults, adolescents and children  $\geq 6$  years (USP DI 2006)

Lozenges and tablets:  
Adults, adolescents and children  $\geq 6$  years (EMEA 2006)

Other products excluding dental pastes, film-forming gels, aerosols/aerosol sprays and pump sprays:  
Adults, adolescents, and children  $\geq 2$  years

Products for teething pain:  
Infants 4-12 months (USP DI 2006)  
Children  $\geq 1$  year (USP DI 2006)

### **Quantity(ies)**

Gels, creams, lotions, ointments, pastes, aerosols, sprays, and solutions:  
5–20% (US FDA 1991)

Lozenges and tablets:  
2–15 mg benzocaine (US FDA 1991)

Products for teething pain:  
Infants 4–23 months: 7.5–10% (USP DI 2006; APA 2002)  
Children  $\geq 2$  years:  $\geq 7.5$ –20% (US FDA 1991; USP DI 2006; APA 2002)

**Directions for use**                      Statement(s) to the effect of

All products:

- ▶ Avoid contact with eyes. If this occurs, immediately flush thoroughly with water.
- ▶ Keep out of reach of children.
- ▶ Use smallest amount possible to achieve desired result.

#### Dental pastes:

- ▶ Dab small amounts as needed onto the affected area with cotton applicator/swab, avoiding rubbing or spreading, to prevent crumbling or grittiness (USP DI 2006).
- ▶ Children under 12 years of age should be supervised by an adult in the use of this product.

#### Film-forming gels:

1. Dry the affected area with one of the swabs provided (USP DI 2006).
  2. Apply gel to a second swab and roll over the affected area (USP DI 2006).
  3. Keep mouth open and dry for 30 to 60 seconds after applying while film forms (USP DI 2006).
  4. Do not remove film which will slowly disintegrate over six hours (USP DI 2006).
  5. Apply up to four times a day or as directed by a dentist or health care practitioner (USP DI 2006).
- ▶ Children under 12 years of age should be supervised by an adult in the use of this product (USP DI 2006).

#### Gargles and rinses:

- ▶ Apply to the affected area. Gargle, swish around in the mouth, or allow to remain in place for at least one minute and then spit out. Use up to four times daily or as directed by a dentist or other health care practitioner (US FDA 1991).
- ▶ Children under 12 years of age should be supervised by an adult in the use of this product (US FDA 1991).

#### Gels, creams, lotions, ointments and products in solution dosage form other than gargles and rinses:

- ▶ Apply to the affected area up to four times daily with cotton, cotton applicator/swab or a fingertip up to four times daily or as directed by a dentist or other health care professional (USP DI 2006).
- ▶ Children under 12 years of age should be supervised by an adult in the use of this product (Pray 2006).

#### Products for the oral cavity or throat area:

- ▶ Do not eat for one hour following use (USP DI 2006; CPhA 1996).
- ▶ Do not chew gum or food while numbness persists (USP DI 2006; CPhA 1996).
- ▶ Children under 12 years of age should be supervised by an adult in the use of this product.

#### Products for relief of dental appliance pain:

- ▶ Apply to the affected area, wait until relief is obtained, and rinse the mouth before reinserting the appliance (USP DI 2006).
- ▶ Contact a dentist at regular intervals when using this product to relieve pain during adjustment of new dentures or other dental appliances (USP DI 2006).
- ▶ Children under 12 years of age should be supervised by an adult in the use of this product.

Products for relief of toothache:

- ▶ Contact a dentist to arrange an appointment; medication is a temporary measure only (USP DI 2006).
- ▶ Children under 12 years of age should be supervised by an adult in the use of this product.

Products recommended for children < 2 years:

Prior to use for children less than two years of age, consult a health care practitioner (HC 2011a; US FDA 2011b,c).

Products in solid dosage forms:

- ▶ Allow product to dissolve slowly in the mouth. Do not bite, chew or swallow whole. May be repeated every two hours as needed or as directed by a dentist or other health care practitioner (USP DI 2006; US FDA 1991).
- ▶ Children under 12 years of age should be supervised by an adult in the use of this product.

Spray dosage forms for the oral cavity or throat area:

- ▶ Spray on the affected area for one second or less up to four times daily (US FDA 1991).
- ▶ Avoid inhaling (USP DI 2006).
- ▶ Use only when specifically directed by a health care practitioner (USP DI 2006).
- ▶ Children under 12 years of age should be supervised in the use of this product (Pray 2006).

## **Duration of use**

For occasional use only

## **Risk information**

### **Caution(s) and warning(s)**

Products excluding those for teething pain:

If symptoms do not improve within seven days, irritation, pain or redness persists or worsens, or swelling, rash or fever develops, consult your dentist or other health care practitioner promptly (USP DI 2006; US FDA 1991).

Products for teething pain:

Fever and nasal congestion are not symptoms of teething and may indicate infection. If these symptoms occur, consult a health care practitioner (US FDA 1991).

## Contraindication(s)

All products:

- ▶ If the following symptoms appear: weakness, confusion, headache, difficulty breathing and/or pale, gray or blue coloured skin, stop use and consult a health care practitioner. These symptoms may be signs of methemoglobinemia, a rare disorder, which may appear up to 2 hours after use (HC 2011a,b; US FDA 2011a,b,c, 2006).
- ▶ If allergic to benzocaine, do not use this product (HC 2011a,b; US FDA 1991).

Products indicated for sore throat pain:

If sore throat is severe, persists for more than two days, or is accompanied by or followed by other symptoms such as fever, headache, rash, swelling, nausea, or vomiting, consult a health care practitioner promptly (Pray 2006; USP DI 2006; US FDA 1991).

## Known adverse reaction(s)

Hypersensitivity/allergy is known to occur. In such a case, discontinue use (HC 2011a;b US FDA 1991).

## Non-medicinal ingredients

Must be chosen from the current NHPD *Natural Health Products Ingredients Database* and must meet the limitations outlined in the database.

## Storage conditions

Statement(s) to the effect of

All products:

Store in airtight container. Protect from light. (Martindale 2010)

Aerosols/aerosol sprays and pump sprays:

Store below 40 °C (USP DI 2006).

Ointments and solutions:

Store below 30 °C (USP DI 2006).

Products other than ointments, solutions, aerosols/aerosol sprays and pump sprays:

Store between 15-30°C (USP DI 2006).

## Specifications

- ▶ The finished product specifications must be established in accordance with the requirements described in the NHPD *Quality of Natural Health Products Guide*.
- ▶ The medicinal ingredient must comply with the requirements outlined in the *Natural Health*

*Products Ingredients Database* (NHPID). In addition, the medicinal ingredient may comply with the specifications outlined in the pharmacopoeial monographs listed in Table 1 below.

Table 1 Benzocaine monographs published in the American (USP) Pharmacopoeia, British (BP) and European (Ph.Eur.) Pharmacopoeias

Pharmacopoeia	Monograph
BP	Benzocaine
Ph.Eur.	Benzocaine
USP	Benzocaine Benzocaine cream Benzocaine gel Benzocaine ointment Benzocaine lozenges Benzocaine topical solution Benzocaine topical aerosol

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BP 2012: *British Pharmacopoeia 2012*. London (GB): The Stationary Office on behalf of the Medicines and Healthcare products Regulatory Agency (MHRA); 2011.

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