CLASSES

Other Agents for Local Oral Treatment
Otic Analgesic Formulations
Throat Preparations
Topical Anti-hemorrhoidals
Topical Local Anesthetics

DEA CLASS

OTC, Rx

DESCRIPTION

Short-acting local anesthetic of the ester type
Used for topical anesthesia
Contraindicated for teething pain and use in pediatric patients younger than 2 years; risk for methemoglobinemia

COMMON BRAND NAMES

Advocate Pain Relief Stick, Americaine, Anbesol, Banadyne-3, Benz-O-Sthetic, Benzodent, Boil-Ease, Cepacol Sensations, Chloraseptic, Comfort Caine, Dry Socket Remedy, Freez Eez, Little Remedies for Teethers, Orabase, Orajel, Orajel Baby, Orajel Severe Pain, Oral Pain Relief, Outgro, Pro-Caine, Topex, Topicale Xtra, Zilactin-B

HOW SUPPLIED

Advocate Pain Relief Stick/Banadyne-3/Outgro Topical Sol: 5%, 10%, 20%
Americaine Topical Spray: 20%
Americaine/Boil-Ease Topical Ointment: 20%
Anbesol/Benzocaine/Benz-O-Sthetic/Boil-Ease/Comfort Caine/Freez Eez/Little Remedies for Teethers/Orajel/Orajel Severe Pain/Oral Pain Relief/Pro-Caine/Topicale Xtra/Zilactin-B Periodontal Gel: 7.5%, 10%, 20%
Anbesol/Benzocaine/Dry Socket Remedy Periodontal Sol: 10%, 20%
Benzocaine/Benz-O-Sthetic/Topex Oropharyngeal Spray: 20%
Benzodent Periodontal Cream: 20%
Cepacol Sensations/Chloraseptic Oropharyngeal Lozenge: 3mg, 4mg, 15mg
Orabase Buccal Paste: 20%
Orabase Periodontal Paste: 20%

DOSAGE & INDICATIONS

For mild pain to provide topical anesthesia or local anesthesia.

NOTE: For oral or dental uses, see dental anesthesia.

For pain and pruritus associated with minor skin abrasion, burns, sunburn, insect bites or stings, or minor skin irritations.

Topical dosage (Americaine Topical Anesthetic Spray, Hurricaine Gel)

Adults, Adolescents and Children 2 years or older

Apply gel or use spray on affected area not more than 3 to 4 times daily. If using the spray, do not exceed a spray duration of 2 seconds.

For self-medication of rectal pain, especially soreness and/or pruritus associated with hemorrhoids and anorectal inflammation (temporary relief only).

Rectal topical dosage (Americaine Hemorrhoidal)

Adults and Adolescents

When practical, cleanse the affected area with mild soap and warm water and rinse thoroughly. Gently dry by patting or blotting with toilet tissue or a soft cloth before application. Apply to perianal area up to 6 times daily. Medication should not be inserted into the rectum. If condition worsens, or does not improve within 7 days, a physician should be consulted.

For anesthesia of unbroken skin.

Topical dosage (cream, gel, ointment, or solution)

Adults, Adolescents and Children 2 years or older

Apply to affected areas 3 to 4 times daily, or as needed. If condition worsens, or if symptoms persist for more than 7 days or clear up and occur again within a few days, discontinue and consult a physician.

Topical dosage (spray)

Adults, Adolescents and Children 2 years or older

Spray on affected areas 3 to 4 times daily, or as needed. Do not exceed a spray duration of 2 seconds. If condition worsens, or if symptoms persist for more than 7 days or clear up and occur again within a few days, discontinue and consult a physician.

For oral mucosal anesthesia to control pain and/or suppress the pharyngeal and tracheal gag reflex; or for local anesthesia of accessible mucous membranes before examination, endoscopy or instrumentation; and to facilitate

passage of fiberoptic gastroscopes, laryngoscopes, proctoscopes, and sigmoidoscopes.

Topical dosage (Hurricaine Spray)

Adults and Adolescents

Spray on area for one second. May repeat if necessary. Do not exceed a spray duration of 2 seconds.

Topical dosage (gel or solution)

Adults

Apply 20% gel or topical solution either directly to mucosa or to instrument prior to examination, as needed.

For topical anesthesia in the external auditory canal to relieve ear pain related to acute otitis media and otitis externa.

Otic dosage

Adults, Adolescents, and Children

Instill 4 to 5 drops into external ear canal; insert cotton plug. May repeat every 1 to 2 hours as needed. Do not use if there is a perforated eardrum or ear discharge.

For dental anesthesia or temporary relief of dental pain or oral pain.

For self-medication of pain associated with aphthous ulcer (i.e., canker sore), dental pain associated with toothache, or minor irritations of the mouth and gums (for temporary relief only).

Local oral dosage (Hurricane Gel, Hurricane Liquid, Orajel Gel, Orajel Maximum Strength Gel, Orajel Maximum Strength Liquid, Orajel Mouth-Aid Liquid, Orajel P.M. Maximum Strength, SensoGARD Canker Sore Relief Gel)

Adults

Apply to affected area using either a cotton swab or fingertip up to 4 times daily or as directed by a physician. For toothaches, apply to affected cavity and around gum surrounding the teeth.

Children and Adolescents 2 to 17 years

Apply to affected area using either a cotton swab or fingertip up to 4 times daily or as directed by a physician. For toothaches, apply to affected cavity and around gum surrounding the teeth.

For self-medication of pain and discomfort of irritations caused by dentures or orthodontic appliances (for temporary relief only).

Local oral dosage (Benzodent Cream, Orajel Denture, Orajel Denture Maximum Strength)

Adults

Clean and dry dentures or orthodontic appliance. Apply directly to the gums or to the denture surface that comes in contact with the affected area of the gum. Wait a few seconds, then reinsert dentures or orthodontic appliance. Use up to 4 times a day or as directed by a dentist. Do not use for more than 7 days. Regular denture adhesives may be used with these product.

Local oral dosage (topical cream, gel, paste, or solution)

Adults

Apply dental cream (20%), gel (10% or 20%), paste (20%), or solution (20%) to affected area as needed. Apply a small amount topically with a cotton swab or clean fingertip into cavity and around gums up to 4 times per day.

Children and Adolescents 7 to 17 years

Apply dental cream (20%), gel (10% or 20%), paste (20%), or solution (20%) to affected area as needed. Apply a small amount topically with a cotton swab or clean fingertip into cavity and around gums up to 4 times per day.

MAXIMUM DOSAGE

Adults

The maximum dosage is dependent on route of administration and indication for therapy.

Geriatric

The maximum dosage is dependent on route of administration and indication for therapy.

Adolescents

The maximum dosage is dependent on route of administration and indication for therapy.

Children

2 to 12 years: The maximum dosage is dependent on route of administration and indication for therapy.
1 year: OTC benzocaine products are contraindicated.

Infants

OTC benzocaine products are contraindicated.

DOSING CONSIDERATIONS

Hepatic Impairment

Specific guidelines for dosage adjustments in hepatic impairment are not available; it appears that no dosage adjustments are needed.

Renal Impairment

Specific guidelines for dosage adjustments in renal impairment are not available; it appears that no dosage adjustments are needed.

ADMINISTRATION

Topical Administration

Cream/Ointment/Lotion Formulations

Apply using a cotton applicator to the desired area.

Other Topical Formulations

Aerosol: Shake container gently once or twice each time before using. Spray each area liberally from a distance of about 6 to 12 inches.
Solution: Apply using a cotton applicator to the desired area.
Gel: Apply using a cotton applicator to the desired area or to instrument prior to insertion.

Rectal Administration

Ointment: For external use only. Apply to cleansed affected area.

Otic Administration

Administer in external ear canal; insert cotton plug into the meatus after instillation.

Other Administration Route(s)

Dental Administration
Gel: Apply to affected area using clean fingertip or a cotton applicator. For toothache, apply to cavity and to the surrounding gums.
Liquid: Apply to the affected area using a clean fingertip, cotton, or a cotton applicator.
Ointment: Apply to cleaned and dried dentures.
Paste: Dab paste onto affected area using a cotton applicator; do not rub or spread paste to avoid crumbling or grittiness.

STORAGE

Generic:
- Store at room temperature (between 59 to 86 degrees F)
Advocate Pain Relief Stick:
- Do not freeze
- Store at room temperature (between 59 to 86 degrees F)
Americaine:
- Store at room temperature (between 59 to 86 degrees F)
Anbesol:
- Storage information not available
Banadyne-3:
- Protect from freezing
- Store at room temperature (between 59 to 86 degrees F)
Benzodent:
- Storage information not listed
Benz-O-Sthetic:
- Store at controlled room temperature (between 68 and 77 degrees F)
Boil-Ease:
- Storage information not available
Cepacol Sensations:
- Avoid excessive humidity
- Store at controlled room temperature (between 68 and 77 degrees F)
Chloraseptic:
- Protect from moisture
- Store at room temperature (between 59 to 86 degrees F)
Comfort Caine :
- Store at controlled room temperature (between 68 and 77 degrees F)
Dry Socket Remedy:
- Storage information not listed
Freez Eez:
- Store at controlled room temperature (between 68 and 77 degrees F)
Little Remedies for Teethers:
- Store at controlled room temperature (between 68 and 77 degrees F)
Orabase:
- Storage information not available
Orajel:
- Store at controlled room temperature (between 68 and 77 degrees F)
Orajel Baby:
- Storage information not listed
Orajel Denture Plus:
- Storage information not listed
Orajel Protective:
- Store at room temperature (between 59 to 86 degrees F)
- Store in a dry place
Orajel Severe Pain:
- Store at controlled room temperature (between 68 and 77 degrees F)
Orajel Swabs:
- Protect from freezing
- Store at room temperature (between 59 to 86 degrees F)
Orajel Ultra:
- Storage information not listed
Oral Pain Relief :
- Store at controlled room temperature (between 68 and 77 degrees F)
Oticaine :
- Store at room temperature (between 59 to 86 degrees F)
Otocain:
- Store at room temperature (between 59 to 86 degrees F)
Outgro:
- Avoid exposure to heat
- Store at room temperature (between 59 to 86 degrees F)
Pinnacaine:
- Store at room temperature (between 59 to 86 degrees F)
Pro-Caine:
- Store at controlled room temperature (between 68 and 77 degrees F)
RE Benzotic:
- Store at room temperature (between 59 to 86 degrees F)

Topex:
- Store at controlled room temperature (between 68 and 77 degrees F)
Topicale Xtra:
- Store at controlled room temperature (between 68 and 77 degrees F)
Zilactin-B:
- Store at room temperature (between 59 to 86 degrees F)

CONTRAINDICATIONS / PRECAUTIONS

General Information

Do not use benzocaine 72 hours before having pancreatic function tests with bentiromide because this could interfere with results.

Burns, eczema, occlusive dressing, skin abrasion

Prolonged use of topical anesthetics is not recommended. Preparations should not be used for more than 2 days without consulting the physician. Applying topical benzocaine preparations to severely traumatized skin (e.g., skin abrasion, eczema, burns), to large surface areas, or to warm skin (i.e., after exercise or application of thermal heat wraps or heating pads) can increase its absorption, possibly increasing the risk of systemic toxicity. Also, applying large amounts of benzocaine or using an occlusive dressing (skin wraps) can increase benzocaine absorption. At least 2 reports of deaths exist after application of topical anesthetics prior to cosmetic procedures. In both instances, women, aged 22 and 25 years, applied topical anesthetics to their legs and wrapped the treated area, as directed, in plastic wrap to enhance the numbing effect of the cream. Both women died from toxic effects of the topical anesthetic. The preparations used in both cases were compounded in pharmacies and contained high amounts of lidocaine and tetracaine. In order to reduce the risk of toxicity due to increased absorption of topical anesthetic, the FDA recommends patients use a topical anesthetic containing the lowest amount of medication needed to relieve pain, apply the medication sparingly. and only treat known or anticipated areas of pain. Further, do not apply the anesthetic to broken or irritated skin, be aware of potential adverse reactions, and do not cover or apply heat to the treated area.

Ear discharge, infection, tympanic membrane perforation

Benzocaine can mask the symptoms of acute otitis media infection and should be used with caution in patients with otic pain syndromes. Do not use in patients who have a tympanic membrane perforation or unexplained ear discharge.

Ester local anesthetic hypersensitivity, para-aminobenzoic acid, PABA hypersensitivity, paraben hypersensitivity, tartrazine dye hypersensitivity

Benzocaine is a local ester anesthetic and should not be used in those with ester local anesthetic hypersensitivity. Also, ester-type local anesthetics such as benzocaine are metabolized to para-aminobenzoic acid (PABA) and should not be used in patients with para-aminobenzoic acid, PABA hypersensitivity. Some preparations contain tartrazine, which can cause allergic reactions and bronchospasm in susceptible individuals. Although the overall incidence of tartrazine dye hypersensitivity is low, it occurs more frequently in patients with aspirin sensitivity. Further, some preparations contain parabens, and cautious use of these preparations in patients with paraben hypersensitivity is warranted. The Kank-A SoftBrush product contains butylparaben, ethylparaben, methylparaben, and propylparaben.

Pregnancy

Animal reproduction studies with benzocaine have not been performed (FDA pregnancy risk category C drug). Use with caution during pregnancy.

Breast-feeding

It is not known whether benzocaine is excreted in human breast milk, however in general, topically applied benzocaine is unlikely to affect the nursing infant if it is applied away from the breast. Benzocaine has been associated with life threatening cases of methemoglobin; products should be applied and used only as directed and the drug should never be applied to the breast or nipple in order to avoid infant ingestion. Benzocaine has not been evaluated by the American Academy of Pediatrics (AAP), however lidocaine is classified as usually compatible with breast-feeding.

Asthma, bronchitis, cardiac disease, chronic obstructive pulmonary disease (COPD), diabetes mellitus, emphysema, G6PD deficiency, geriatric, Graves' disease, hepatic disease, hyperthyroidism, methemoglobin reductase deficiency, methemoglobinemia, shock, tobacco smoking

Geriatric patients and those with hepatic disease; cardiac disease or shock; endocrine diseases such as hyperthyroidism, diabetes mellitus, or Graves' disease; or CNS disease are at an increased risk of developing benzocaine-related adverse effects. Benzocaine use can result in potentially dangerous levels of methemoglobinemia. Patients with reduced oxygenation status may have signs and symptoms of methemoglobinemia at lower serum methemoglobin concentrations than healthy individuals. Patients with breathing problems such as those with asthma, bronchitis, emphysema, chronic obstructive pulmonary disease (COPD), or cardiac disease, tobacco smoking patients, and the elderly are at greater risk for complications related to methemoglobinemia. Patients with certain hereditary defects including G6PD deficiency, hemoglobin-M disease, methemoglobin reductase deficiency, and pyruvate-kinase deficiency may also be at greater risk for developing methemoglobinemia. These patients may have an absence or reduced level of enzymes that help reverse methemoglobinemia. OTC benzocaine products should be used sparingly and only as needed; do not apply more frequently than 4 times per day. Signs and symptoms of methemoglobinemia may appear within minutes to 1 to 2 hours after benzocaine exposure and may occur with initial and/or subsequent use. Advise patients to seek immediate medical attention for discoloration (pale, gray, or blue-colored) of skin, lips, or nail beds; shortness of breath; fatigue; confusion; headache; lightheadedness; or rapid heart rate. Health care professionals using local anesthetics during medical procedures should monitor patients closely for methemoglobinemia, use co-oximetry when possible (methemoglobinemia can cause unreliable readings on standard two-wavelength pulse oximeters), and have resuscitation equipment and medications readily available, including methylene blue. Several factors influence the amount of benzocaine contained in a single spray including manufacturer differences, varying concentrations, length of time actuator is depressed, residual container volume, and orientation of the spray.

Children, infants, neonates, teething pain

Over-the-counter (OTC) oral drug products containing benzocaine should not be used for teething pain and are contraindicated in neonates, infants, and children younger than 2 years. Any potential benefits of using these products to treat teething pain do not outweigh their risks. Use of benzocaine can result in life-threatening and fatal methemoglobinemia. OTC benzocaine products should be used sparingly and only as needed in older children and adolescents; do not apply more frequently than 4 times per day. Signs and symptoms of methemoglobinemia may appear within minutes to 1 to 2 hours after benzocaine exposure and may occur with initial and/or subsequent use. Advise patients to seek immediate medical attention for discoloration (pale, gray, or blue-colored) of skin, lips, or nail beds; shortness of breath; fatigue; confusion; headache; lightheadedness; or rapid heart rate. Health care professionals using local anesthetics during medical procedures should monitor patients closely for methemoglobinemia, use co-oximetry when possible (methemoglobinemia can cause unreliable readings on standard two-wavelength pulse oximeters), and have resuscitation equipment and medications readily available, including methylene blue. Several factors influence the amount of benzocaine contained in a single spray including manufacturer differences, varying concentrations, length of time actuator is depressed, residual container volume, and orientation of the spray.

ADVERSE REACTIONS

Severe

angioedema / Rapid / Incidence not known
coma / Early / Incidence not known

seizures / Delayed / Incidence not known
cardiac arrest / Early / Incidence not known
bradycardia / Rapid / Incidence not known
respiratory arrest / Rapid / Incidence not known
methemoglobinemia / Early / Incidence not known

Moderate

edema / Delayed / Incidence not known
contact dermatitis / Delayed / Incidence not known
erythema / Early / Incidence not known
sinus tachycardia / Rapid / Incidence not known
hypotension / Rapid / Incidence not known
blurred vision / Early / Incidence not known
confusion / Early / Incidence not known
hypertension / Early / Incidence not known

Mild

urticaria / Rapid / Incidence not known
photosensitivity / Delayed / Incidence not known
rash / Early / Incidence not known
pruritus / Rapid / Incidence not known
dizziness / Early / Incidence not known
headache / Early / Incidence not known
shivering / Rapid / Incidence not known
anxiety / Delayed / Incidence not known
tremor / Early / Incidence not known
restlessness / Early / Incidence not known
drowsiness / Early / Incidence not known

DRUG INTERACTIONS

Adapalene; Benzoyl Peroxide: (Moderate) Concurrent use of benzoyl peroxide and topical anesthetics may decrease the efficacy of the anesthetic. In a clinical study, an estimated 75% increase in patient-reported, prick-induced pain was noted in areas treated with both 5% benzoyl peroxide and 6% benzocaine cream as compared to areas treated with 6% benzocaine cream alone. Investigators attributed the decreased anesthetic effect to a breakdown of the benzocaine molecule by either or both benzoyl peroxide or benzoyl peroxide-derived free radicals. It is recommended that the skin area that is to be topically anesthetized have no previous treatment with benzoyl peroxide or that the skin is thoroughly washed prior to the application of the anesthetic.
Amyl Nitrite: (Moderate) Rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine products. Nitrates may also induce methemoglobin formation that will be additive to that formed by benzocaine products. Therefore, caution is warranted when combining nitrate medications with topical or oromucosal benzocaine products. Patients using OTC benzocaine gels and liquids should be advised to seek immediate medical attention if signs or symptoms of methemoglobinemia develop. In addition, clinicians should closely monitor patients for the development of methemoglobinemia when benzocaine sprays are used during a procedure.
Benzocaine; Butamben; Tetracaine: (Moderate) Caution is advised if combining topical local anesthetics. The toxic effects of local anesthetics are additive. In addition, rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine-containing products. Clinicians should closely monitor patients for the development of methemoglobinemia when a combination local anesthetic is used during a procedure. If a patient becomes cyanotic or if elevated methemoglobin concentrations are suspected, immediately institute treatment to counteract methemoglobinemia (such as administration of methylene blue) as oxygen delivery is ineffective throughout the body until the condition is reversed.
Benzoyl Peroxide: (Moderate) Concurrent use of benzoyl peroxide and topical anesthetics may decrease the efficacy of the anesthetic. In a clinical study, an estimated 75% increase in patient-reported, prick-induced pain was noted in areas treated with both 5% benzoyl peroxide and 6% benzocaine cream as compared to areas treated with 6% benzocaine cream alone. Investigators attributed the decreased anesthetic effect to a breakdown of the benzocaine molecule by either or both benzoyl peroxide or benzoyl peroxide-derived free radicals. It is recommended that the skin area that is to be topically anesthetized have no previous treatment with benzoyl peroxide or that the skin is thoroughly washed prior to the application of the anesthetic.
Benzoyl Peroxide; Clindamycin: (Moderate) Concurrent use of benzoyl peroxide and topical anesthetics may decrease the efficacy of the anesthetic. In a clinical study, an estimated 75% increase in patient-reported, prick-induced pain was noted in areas treated with both 5% benzoyl peroxide and 6% benzocaine cream as compared to areas treated with 6% benzocaine cream alone. Investigators attributed the decreased anesthetic effect to a breakdown of the benzocaine molecule by either or both benzoyl peroxide or benzoyl peroxide-derived free radicals. It is recommended that the skin area that is to be topically anesthetized have no previous treatment with benzoyl peroxide or that the skin is thoroughly washed prior to the application of the anesthetic.
Benzoyl Peroxide; Erythromycin: (Moderate) Concurrent use of benzoyl peroxide and topical anesthetics may decrease the efficacy of the anesthetic. In a clinical study, an estimated 75% increase in patient-reported, prick-induced pain was noted in areas treated with both 5% benzoyl peroxide and 6% benzocaine cream as compared to areas treated with 6% benzocaine cream alone. Investigators attributed the decreased anesthetic effect to a breakdown of the benzocaine molecule by either or both benzoyl peroxide or benzoyl peroxide-derived free radicals. It is recommended that the skin area that is to be topically anesthetized have no previous treatment with benzoyl peroxide or that the skin is thoroughly washed prior to the application of the anesthetic.
Benzoyl Peroxide; Sulfur: (Moderate) Concurrent use of benzoyl peroxide and topical anesthetics may decrease the efficacy of the anesthetic. In a clinical study, an estimated 75% increase in patient-reported, prick-induced pain was noted in areas treated with both 5% benzoyl peroxide and 6% benzocaine cream as compared to areas treated with 6% benzocaine cream alone. Investigators attributed the decreased anesthetic effect to a breakdown of the benzocaine molecule by either or both benzoyl peroxide or benzoyl peroxide-derived free radicals. It is recommended that the skin area that is to be topically anesthetized have no previous treatment with benzoyl peroxide or that the skin is thoroughly washed prior to the application of the anesthetic.
Chloroxylenol; Hydrocortisone; Pramoxine: (Moderate) Caution is advised if combining topical local anesthetics. The toxic effects of local anesthetics are additive. In addition, rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine-containing products. Clinicians should closely monitor patients for the development of methemoglobinemia when a combination local anesthetic is used during a procedure. If a patient becomes cyanotic or if elevated methemoglobin concentrations are suspected, immediately institute treatment to counteract methemoglobinemia (such as administration of methylene blue) as oxygen delivery is ineffective throughout the body until the condition is reversed.
Dibucaine: (Moderate) Caution is advised if combining topical local anesthetics. The toxic effects of local anesthetics are additive. In addition, rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine-containing products. Clinicians should closely monitor patients for the development of methemoglobinemia when a combination local anesthetic is used during a procedure. If a patient becomes cyanotic or if elevated methemoglobin concentrations are suspected, immediately institute treatment to counteract methemoglobinemia (such as administration of methylene blue) as oxygen delivery is ineffective throughout the body until the condition is reversed.
Erythromycin; Sulfisoxazole: (Moderate) Rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine products. Examples of other drugs that can cause methemoglobinemia include the sulfonamides. Therefore, caution is warranted when combining such medications with topical or oromucosal benzocaine products. Patients using OTC benzocaine gels and liquids should be advised to seek immediate medical attention if signs or symptoms of methemoglobinemia develop. In addition, clinicians should closely monitor patients for the development of methemoglobinemia when benzocaine sprays are used during a procedure.
Ethyl Chloride: (Moderate) Caution is advised if combining topical local anesthetics. The toxic effects of local anesthetics are additive. In addition, rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine-containing products.

Clinicians should closely monitor patients for the development of methemoglobinemia when a combination local anesthetic is used during a procedure. If a patient becomes cyanotic or if elevated methemoglobin concentrations are suspected, immediately institute treatment to counteract methemoglobinemia (such as administration of methylene blue) as oxygen delivery is ineffective throughout the body until the condition is reversed.
Hydralazine; Isosorbide Dinitrate, ISDN: (Moderate) Rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine products. Nitrates may also induce methemoglobin formation that will be additive to that formed by benzocaine products. Therefore, caution is warranted when combining nitrate medications with topical or oromucosal benzocaine products. Patients using OTC benzocaine gels and liquids should be advised to seek immediate medical attention if signs or symptoms of methemoglobinemia develop. In addition, clinicians should closely monitor patients for the development of methemoglobinemia when benzocaine sprays are used during a procedure.
Hydrocortisone; Lidocaine: (Moderate) Caution is advised if combining topical local anesthetics. The toxic effects of local anesthetics are additive. In addition, rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine-containing products. Clinicians should closely monitor patients for the development of methemoglobinemia when a combination local anesthetic is used during a procedure. If a patient becomes cyanotic or if elevated methemoglobin concentrations are suspected, immediately institute treatment to counteract methemoglobinemia (such as administration of methylene blue) as oxygen delivery is ineffective throughout the body until the condition is reversed.
Hydrocortisone; Pramoxine: (Moderate) Caution is advised if combining topical local anesthetics. The toxic effects of local anesthetics are additive. In addition, rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine-containing products. Clinicians should closely monitor patients for the development of methemoglobinemia when a combination local anesthetic is used during a procedure. If a patient becomes cyanotic or if elevated methemoglobin concentrations are suspected, immediately institute treatment to counteract methemoglobinemia (such as administration of methylene blue) as oxygen delivery is ineffective throughout the body until the condition is reversed.
Isosorbide Dinitrate, ISDN: (Moderate) Rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine products. Nitrates may also induce methemoglobin formation that will be additive to that formed by benzocaine products. Therefore, caution is warranted when combining nitrate medications with topical or oromucosal benzocaine products. Patients using OTC benzocaine gels and liquids should be advised to seek immediate medical attention if signs or symptoms of methemoglobinemia develop. In addition, clinicians should closely monitor patients for the development of methemoglobinemia when benzocaine sprays are used during a procedure.
Isosorbide Mononitrate: (Moderate) Rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine products. Nitrates may also induce methemoglobin formation that will be additive to that formed by benzocaine products. Therefore, caution is warranted when combining nitrate medications with topical or oromucosal benzocaine products. Patients using OTC benzocaine gels and liquids should be advised to seek immediate medical attention if signs or symptoms of methemoglobinemia develop. In addition, clinicians should closely monitor patients for the development of methemoglobinemia when benzocaine sprays are used during a procedure.
Lidocaine: (Moderate) Caution is advised if combining topical local anesthetics. The toxic effects of local anesthetics are additive. In addition, rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine-containing products. Clinicians should closely monitor patients for the development of methemoglobinemia when a combination local anesthetic is used during a procedure. If a patient becomes cyanotic or if elevated methemoglobin concentrations are suspected, immediately institute treatment to counteract methemoglobinemia (such as administration of methylene blue) as oxygen delivery is ineffective throughout the body until the condition is reversed.
Lidocaine; Prilocaine: (Moderate) Caution is advised if combining topical local anesthetics. The toxic effects of local anesthetics are additive. In addition, rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine-containing products. Clinicians should closely monitor patients for the development of methemoglobinemia when a combination local anesthetic is used during a procedure. If a patient becomes cyanotic or if elevated methemoglobin concentrations are suspected, immediately institute treatment to counteract methemoglobinemia (such as administration of methylene blue) as oxygen delivery is ineffective throughout the body until the condition is reversed.
Lidocaine; Tetracaine: (Moderate) Caution is advised if combining topical local anesthetics. The toxic effects of local anesthetics are additive. In addition, rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine-containing products. Clinicians should closely monitor patients for the development of methemoglobinemia when a combination local anesthetic is used during a procedure. If a patient becomes cyanotic or if elevated methemoglobin concentrations are suspected, immediately institute treatment to counteract methemoglobinemia (such as administration of methylene blue) as oxygen delivery is ineffective throughout the body until the condition is reversed.
Nitrates: (Moderate) Rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine products. Nitrates may also induce methemoglobin formation that will be additive to that formed by benzocaine products. Therefore, caution is warranted when combining nitrate medications with topical or oromucosal benzocaine products. Patients using OTC benzocaine gels and liquids should be advised to seek immediate medical attention if signs or symptoms of methemoglobinemia develop. In addition, clinicians should closely monitor patients for the development of methemoglobinemia when benzocaine sprays are used during a procedure.
Nitroglycerin: (Moderate) Rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine products. Nitrates may also induce methemoglobin formation that will be additive to that formed by benzocaine products. Therefore, caution is warranted when combining nitrate medications with topical or oromucosal benzocaine products. Patients using OTC benzocaine gels and liquids should be advised to seek immediate medical attention if signs or symptoms of methemoglobinemia develop. In addition, clinicians should closely monitor patients for the development of methemoglobinemia when benzocaine sprays are used during a procedure.
Pramoxine: (Moderate) Caution is advised if combining topical local anesthetics. The toxic effects of local anesthetics are additive. In addition, rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine-containing products. Clinicians should closely monitor patients for the development of methemoglobinemia when a combination local anesthetic is used during a procedure. If a patient becomes cyanotic or if elevated methemoglobin concentrations are suspected, immediately institute treatment to counteract methemoglobinemia (such as administration of methylene blue) as oxygen delivery is ineffective throughout the body until the condition is reversed.
Pyrimethamine; Sulfadoxine: (Moderate) Rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine products. Examples of other drugs that can cause methemoglobinemia include the sulfonamides. Therefore, caution is warranted when combining such medications with topical or oromucosal benzocaine products. Patients using OTC benzocaine gels and liquids should be advised to seek immediate medical attention if signs or symptoms of methemoglobinemia develop. In addition, clinicians should closely monitor patients for the development of methemoglobinemia when benzocaine sprays are used during a procedure.
Sulfadiazine: (Moderate) Rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine products. Examples of other drugs that can cause methemoglobinemia include the sulfonamides. Therefore, caution is warranted when combining such medications with topical or oromucosal benzocaine products. Patients using OTC benzocaine gels and liquids should be advised to seek immediate medical attention if signs or symptoms of methemoglobinemia develop. In addition, clinicians should closely monitor patients for the development of methemoglobinemia when benzocaine sprays are used during a procedure.
Sulfamethoxazole; Trimethoprim, SMX-TMP, Cotrimoxazole: (Moderate) Rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine products. Examples of other drugs that can cause methemoglobinemia include the sulfonamides. Therefore, caution is warranted when combining such medications with topical or oromucosal benzocaine products. Patients using OTC benzocaine gels and liquids should be advised to seek immediate medical attention if signs or symptoms of methemoglobinemia develop. In addition, clinicians should closely monitor patients for the development of methemoglobinemia when benzocaine sprays are used during a procedure.
Sulfasalazine: (Moderate) Rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine products. Examples of other drugs that can cause methemoglobinemia include the sulfonamides. Therefore, caution is warranted when combining such medications with topical or oromucosal benzocaine products. Patients using OTC benzocaine gels and liquids should be advised to seek immediate medical attention if signs or symptoms of methemoglobinemia develop. In addition, clinicians should closely monitor patients for the development of methemoglobinemia when benzocaine sprays are used during a procedure.
Sulfisoxazole: (Moderate) Rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine products. Examples of other drugs that can cause methemoglobinemia include the sulfonamides. Therefore, caution is warranted when combining such medications with topical or oromucosal benzocaine products. Patients using OTC benzocaine gels and liquids should be advised to seek immediate medical attention if signs or symptoms of methemoglobinemia develop. In addition, clinicians should closely monitor patients for

the development of methemoglobinemia when benzocaine sprays are used during a procedure.
Sulfonamides: (Moderate) Rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine products. Examples of other drugs that can cause methemoglobinemia include the sulfonamides. Therefore, caution is warranted when combining such medications with topical or oromucosal benzocaine products. Patients using OTC benzocaine gels and liquids should be advised to seek immediate medical attention if signs or symptoms of methemoglobinemia develop. In addition, clinicians should closely monitor patients for the development of methemoglobinemia when benzocaine sprays are used during a procedure.
Tetracaine: (Moderate) Caution is advised if combining topical local anesthetics. The toxic effects of local anesthetics are additive. In addition, rare and sometimes fatal cases of methemoglobinemia have been reported with the use of topical or oromucosal benzocaine-containing products. Clinicians should closely monitor patients for the development of methemoglobinemia when a combination local anesthetic is used during a procedure. If a patient becomes cyanotic or if elevated methemoglobin concentrations are suspected, immediately institute treatment to counteract methemoglobinemia (such as administration of methylene blue) as oxygen delivery is ineffective throughout the body until the condition is reversed.

PREGNANCY AND LACTATION

Pregnancy

Animal reproduction studies with benzocaine have not been performed (FDA pregnancy risk category C drug). Use with caution during pregnancy.

It is not known whether benzocaine is excreted in human breast milk, however in general, topically applied benzocaine is unlikely to affect the nursing infant if it is applied away from the breast. Benzocaine has been associated with life threatening cases of methemoglobin; products should be applied and used only as directed and the drug should never be applied to the breast or nipple in order to avoid infant ingestion. Benzocaine has not been evaluated by the American Academy of Pediatrics (AAP), however lidocaine is classified as usually compatible with breast-feeding.

MECHANISM OF ACTION

Like all local anesthetics, benzocaine causes a reversible blockade of nerve conduction by decreasing nerve membrane permeability to sodium. This decreases the rate of membrane depolarization, thereby increasing the threshold for electrical excitability. The blockade affects all nerve fibers in the following sequence: autonomic, sensory, and motor, with effects diminishing in reverse order. Clinically, loss of function occurs as follows: pain, temperature, touch, proprioception, and skeletal muscle tone. Direct nerve membrane penetration is necessary for effective anesthesia, which is achieved by applying the anesthetic topically to the area to be anesthetized.

PHARMACOKINETICS

Benzocaine is applied topically. Benzocaine is metabolized hepatically. Metabolites are renally excreted.

Topical Route

Benzocaine is minimally absorbed after topical administration. Systemic absorption is favored by broken skin or mucosa. Onset of action is rapid with initial effects obtained in about 1 minute and action lasting about 15—20 minutes.