



# PHARMACOLOGIC PRODUCT GUIDE: FDA-APPROVED MEDICATIONS FOR SMOKING CESSATION

	NICOTINE REPLACEMENT THERAPY (NRT) FORMULATIONS					BUPROPION SR	VARENICLINE
	GUM	LOZENGE	TRANSDERMAL PATCH	NASAL SPRAY	ORAL INHALER		
PRODUCT	Nicorette <sup>1</sup> , Generic OTC 2 mg, 4 mg original, cinnamon, fruit, mint	Nicorette Lozenge, <sup>1</sup> Nicorette Mini Lozenge, <sup>1</sup> Generic OTC 2 mg, 4 mg; cherry, mint	NicoDerm CQ <sup>1</sup> , Generic OTC (NicoDerm CQ, generic) Rx (generic) 7 mg, 14 mg, 21 mg (24-hour release)	Nicotrol NS <sup>2</sup> Rx Metered spray 10 mg/mL aqueous nicotine solution	Nicotrol Inhaler <sup>2</sup> Rx 10 mg cartridge delivers 4 mg inhaled nicotine vapor	Zyban <sup>1</sup> , Generic Rx 150 mg sustained-release tablet	Chantix <sup>2</sup> Rx 0.5 mg, 1 mg tablet
PRECAUTIONS	<ul style="list-style-type: none"> <li>Recent (<math>\leq 2</math> weeks) myocardial infarction</li> <li>Serious underlying arrhythmias</li> <li>Serious or worsening angina pectoris</li> <li>Temporomandibular joint disease</li> <li>Pregnancy<sup>3</sup> and breastfeeding</li> <li>Adolescents (<math>&lt;18</math> years)</li> </ul>	<ul style="list-style-type: none"> <li>Recent (<math>\leq 2</math> weeks) myocardial infarction</li> <li>Serious underlying arrhythmias</li> <li>Serious or worsening angina pectoris</li> <li>Pregnancy<sup>3</sup> and breastfeeding</li> <li>Adolescents (<math>&lt;18</math> years)</li> </ul>	<ul style="list-style-type: none"> <li>Recent (<math>\leq 2</math> weeks) myocardial infarction</li> <li>Serious underlying arrhythmias</li> <li>Serious or worsening angina pectoris</li> <li>Pregnancy<sup>3</sup> (Rx formulations, category D) and breastfeeding</li> <li>Adolescents (<math>&lt;18</math> years)</li> </ul>	<ul style="list-style-type: none"> <li>Recent (<math>\leq 2</math> weeks) myocardial infarction</li> <li>Serious underlying arrhythmias</li> <li>Serious or worsening angina pectoris</li> <li>Underlying chronic nasal disorders (rhinitis, nasal polyps, sinusitis)</li> <li>Severe reactive airway disease</li> <li>Pregnancy<sup>3</sup> (category D) and breastfeeding</li> <li>Adolescents (<math>&lt;18</math> years)</li> </ul>	<ul style="list-style-type: none"> <li>Recent (<math>\leq 2</math> weeks) myocardial infarction</li> <li>Serious underlying arrhythmias</li> <li>Serious or worsening angina pectoris</li> <li>Bronchospastic disease</li> <li>Pregnancy<sup>3</sup> (category D) and breastfeeding</li> <li>Adolescents (<math>&lt;18</math> years)</li> </ul>	<ul style="list-style-type: none"> <li>Concomitant therapy with medications/conditions known to lower the seizure threshold</li> <li>Hepatic impairment</li> <li>Pregnancy<sup>3</sup> (category C) and breastfeeding</li> <li>Adolescents (<math>&lt;18</math> years)</li> </ul> <p><b>Warning:</b></p> <ul style="list-style-type: none"> <li>BLACK-BOXED WARNING for neuropsychiatric symptoms<sup>4</sup></li> </ul> <p><b>Contraindications:</b></p> <ul style="list-style-type: none"> <li>Seizure disorder</li> <li>Concomitant bupropion (e.g., Wellbutrin) therapy</li> <li>Current or prior diagnosis of bulimia or anorexia nervosa</li> <li>Simultaneous abrupt discontinuation of alcohol or sedatives/benzodiazepines</li> <li>MAO inhibitors in preceding 14 days; concurrent use of reversible MAO inhibitors (e.g., linezolid, methylene blue)</li> </ul>	<ul style="list-style-type: none"> <li>Severe renal impairment (dosage adjustment is necessary)</li> <li>Pregnancy<sup>3</sup> (category C) and breastfeeding</li> <li>Adolescents (<math>&lt;18</math> years)</li> </ul> <p><b>Warning:</b></p> <ul style="list-style-type: none"> <li>BLACK-BOXED WARNING for neuropsychiatric symptoms<sup>4</sup></li> </ul>
DOSING	<p><i>1<sup>st</sup> cigarette <math>\leq 30</math> minutes after waking:</i> 4 mg</p> <p><i>1<sup>st</sup> cigarette <math>&gt;30</math> minutes after waking:</i> 2 mg</p> <p>Weeks 1–6: 1 piece q 1–2 hours</p> <p>Weeks 7–9: 1 piece q 2–4 hours</p> <p>Weeks 10–12: 1 piece q 4–8 hours</p> <ul style="list-style-type: none"> <li>Maximum, 24 pieces/day</li> <li>Chew each piece slowly</li> <li>Park between cheek and gum when peppery or tingling sensation appears (~15–30 chews)</li> <li>Resume chewing when tingle fades</li> <li>Repeat chew/park steps until most of the nicotine is gone (tingle does not return; generally 30 min)</li> <li>Park in different areas of mouth</li> <li>No food or beverages 15 minutes before or during use</li> <li>Duration: up to 12 weeks</li> </ul>	<p><i>1<sup>st</sup> cigarette <math>\leq 30</math> minutes after waking:</i> 4 mg</p> <p><i>1<sup>st</sup> cigarette <math>&gt;30</math> minutes after waking:</i> 2 mg</p> <p>Weeks 1–6: 1 lozenge q 1–2 hours</p> <p>Weeks 7–9: 1 lozenge q 2–4 hours</p> <p>Weeks 10–12: 1 lozenge q 4–8 hours</p> <ul style="list-style-type: none"> <li>Maximum, 20 lozenges/day</li> <li>Allow to dissolve slowly (20–30 minutes for standard; 10 minutes for mini)</li> <li>Nicotine release may cause a warm, tingling sensation</li> <li>Do not chew or swallow</li> <li>Occasionally rotate to different areas of the mouth</li> <li>No food or beverages 15 minutes before or during use</li> <li>Duration: up to 12 weeks</li> </ul>	<p><i><math>&gt;10</math> cigarettes/day:</i> 21 mg/day x 4–6 weeks 14 mg/day x 2 weeks 7 mg/day x 2 weeks</p> <p><i><math>\leq 10</math> cigarettes/day:</i> 14 mg/day x 6 weeks 7 mg/day x 2 weeks</p> <ul style="list-style-type: none"> <li>May wear patch for 16 hours if patient experiences sleep disturbances (remove at bedtime)</li> <li>Duration: 8–10 weeks</li> </ul>	<p>1–2 doses/hour (8–40 doses/day) One dose = 2 sprays (one in each nostril); each spray delivers 0.5 mg of nicotine to the nasal mucosa</p> <ul style="list-style-type: none"> <li>Maximum <ul style="list-style-type: none"> <li>– 5 doses/hour or</li> <li>– 40 doses/day</li> </ul> </li> <li>For best results, initially use at least 8 doses/day</li> <li>Do not sniff, swallow, or inhale through the nose as the spray is being administered</li> <li>Duration: 3–6 months</li> </ul>	<p>6–16 cartridges/day Individualize dosing; initially use 1 cartridge q 1–2 hours</p> <ul style="list-style-type: none"> <li>Best effects with continuous puffing for 20 minutes</li> <li>Initially use at least 6 cartridges/day</li> <li>Nicotine in cartridge is depleted after 20 minutes of active puffing</li> <li>Inhale into back of throat or puff in short breaths</li> <li>Do NOT inhale into the lungs (like a cigarette) but “puff” as if lighting a pipe</li> <li>Open cartridge retains potency for 24 hours</li> <li>No food or beverages 15 minutes before or during use</li> <li>Duration: 3–6 months</li> </ul>	<p>150 mg po q AM x 3 days, then 150 mg po bid</p> <ul style="list-style-type: none"> <li>Do not exceed 300 mg/day</li> <li>Begin therapy 1–2 weeks prior to quit date</li> <li>Allow at least 8 hours between doses</li> <li>Avoid bedtime dosing to minimize insomnia</li> <li>Dose tapering is not necessary</li> <li>Duration: 7–12 weeks, with maintenance up to 6 months in selected patients</li> </ul>	<p>Days 1–3: 0.5 mg po q AM</p> <p>Days 4–7: 0.5 mg po bid</p> <p>Weeks 2–12: 1 mg po bid</p> <ul style="list-style-type: none"> <li>Begin therapy 1 week prior to quit date</li> <li>Take dose after eating and with a full glass of water</li> <li>Dose tapering is not necessary</li> <li>Dosing adjustment is necessary for patients with severe renal impairment</li> <li>Duration: 12 weeks; an additional 12-week course may be used in selected patients</li> </ul>

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ADVERSE EFFECTS	<ul style="list-style-type: none"> <li>■ Mouth/jaw soreness</li> <li>■ Hiccups</li> <li>■ Dyspepsia</li> <li>■ Hypersalivation</li> <li>■ Effects associated with incorrect chewing technique:               <ul style="list-style-type: none"> <li>– Lightheadedness</li> <li>– Nausea/vomiting</li> <li>– Throat and mouth irritation</li> </ul> </li> </ul>	<ul style="list-style-type: none"> <li>■ Nausea</li> <li>■ Hiccups</li> <li>■ Cough</li> <li>■ Heartburn</li> <li>■ Headache</li> <li>■ Flatulence</li> <li>■ Insomnia</li> </ul>	<ul style="list-style-type: none"> <li>■ Local skin reactions (erythema, pruritus, burning)</li> <li>■ Headache</li> <li>■ Sleep disturbances (insomnia, abnormal/vivid dreams); associated with nocturnal nicotine absorption</li> </ul>	<ul style="list-style-type: none"> <li>■ Nasal and/or throat irritation (hot, peppery, or burning sensation)</li> <li>■ Rhinitis</li> <li>■ Tearing</li> <li>■ Sneezing</li> <li>■ Cough</li> <li>■ Headache</li> </ul>	<ul style="list-style-type: none"> <li>■ Mouth and/or throat irritation</li> <li>■ Cough</li> <li>■ Headache</li> <li>■ Rhinitis</li> <li>■ Dyspepsia</li> <li>■ Hiccups</li> </ul>	<ul style="list-style-type: none"> <li>■ Insomnia</li> <li>■ Dry mouth</li> <li>■ Nervousness/difficulty concentrating</li> <li>■ Nausea</li> <li>■ Dizziness</li> <li>■ Constipation</li> <li>■ Rash</li> <li>■ Seizures (risk is 0.1%)</li> <li>■ Neuropsychiatric symptoms (rare; see PRECAUTIONS)</li> </ul>	<ul style="list-style-type: none"> <li>■ Nausea</li> <li>■ Sleep disturbances (insomnia, abnormal/vivid dreams)</li> <li>■ Constipation</li> <li>■ Flatulence</li> <li>■ Vomiting</li> <li>■ Neuropsychiatric symptoms (rare; see PRECAUTIONS)</li> </ul>
ADVANTAGES	<ul style="list-style-type: none"> <li>■ Might serve as an oral substitute for tobacco</li> <li>■ Might delay weight gain</li> <li>■ Can be titrated to manage withdrawal symptoms</li> <li>■ Can be used in combination with other agents to manage situational urges</li> </ul>	<ul style="list-style-type: none"> <li>■ Might serve as an oral substitute for tobacco</li> <li>■ Might delay weight gain</li> <li>■ Can be titrated to manage withdrawal symptoms</li> <li>■ Can be used in combination with other agents to manage situational urges</li> </ul>	<ul style="list-style-type: none"> <li>■ Once daily dosing associated with fewer adherence problems</li> <li>■ Of all NRT products, its use is least obvious to others</li> <li>■ Can be used in combination with other agents; delivers consistent nicotine levels over 24 hours</li> </ul>	<ul style="list-style-type: none"> <li>■ Can be titrated to rapidly manage withdrawal symptoms</li> <li>■ Can be used in combination with other agents to manage situational urges</li> </ul>	<ul style="list-style-type: none"> <li>■ Might serve as an oral substitute for tobacco</li> <li>■ Can be titrated to manage withdrawal symptoms</li> <li>■ Mimics hand-to-mouth ritual of smoking</li> <li>■ Can be used in combination with other agents to manage situational urges</li> </ul>	<ul style="list-style-type: none"> <li>■ Twice daily oral dosing is simple and associated with fewer adherence problems</li> <li>■ Might delay weight gain</li> <li>■ Might be beneficial in patients with depression</li> <li>■ Can be used in combination with NRT agents</li> </ul>	<ul style="list-style-type: none"> <li>■ Twice daily oral dosing is simple and associated with fewer adherence problems</li> <li>■ Offers a different mechanism of action for patients who have failed other agents</li> </ul>
DISADVANTAGES	<ul style="list-style-type: none"> <li>■ Need for frequent dosing can compromise adherence</li> <li>■ Might be problematic for patients with significant dental work</li> <li>■ Proper chewing technique is necessary for effectiveness and to minimize adverse effects</li> <li>■ Gum chewing might not be acceptable or desirable for some patients</li> </ul>	<ul style="list-style-type: none"> <li>■ Need for frequent dosing can compromise adherence</li> <li>■ Gastrointestinal side effects (nausea, hiccups, heartburn) might be bothersome</li> </ul>	<ul style="list-style-type: none"> <li>■ When used as monotherapy, cannot be titrated to acutely manage withdrawal symptoms</li> <li>■ Not recommended for use by patients with dermatologic conditions (e.g., psoriasis, eczema, atopic dermatitis)</li> </ul>	<ul style="list-style-type: none"> <li>■ Need for frequent dosing can compromise adherence</li> <li>■ Nasal administration might not be acceptable or desirable for some patients; nasal irritation often problematic</li> <li>■ Not recommended for use by patients with chronic nasal disorders or severe reactive airway disease</li> </ul>	<ul style="list-style-type: none"> <li>■ Need for frequent dosing can compromise adherence</li> <li>■ Cartridges might be less effective in cold environments (<math>\leq 60^{\circ}\text{F}</math>)</li> </ul>	<ul style="list-style-type: none"> <li>■ Seizure risk is increased</li> <li>■ Several contraindications and precautions preclude use in some patients (see PRECAUTIONS)</li> <li>■ Patients should be monitored for potential neuropsychiatric symptoms<sup>4</sup> (see PRECAUTIONS)</li> </ul>	<ul style="list-style-type: none"> <li>■ Should be taken with food or a full glass of water to reduce the incidence of nausea</li> <li>■ Patients should be monitored for potential neuropsychiatric symptoms<sup>4</sup> (see PRECAUTIONS)</li> </ul>
COST/DAY <sup>5</sup>	2 mg or 4 mg: \$1.90–\$3.70 (9 pieces)	2 mg or 4 mg: \$2.66–\$4.10 (9 pieces)	\$1.52–\$3.48 (1 patch)	\$5.00 (8 doses)	\$8.51 (6 cartridges)	\$2.72–\$6.22 (2 tablets)	\$8.24 (2 tablets)

<sup>1</sup> Marketed by GlaxoSmithKline.

<sup>2</sup> Marketed by Pfizer.

<sup>3</sup> The U.S. Clinical Practice Guideline states that pregnant smokers should be encouraged to quit without medication based on insufficient evidence of effectiveness and theoretical concerns with safety. Pregnant smokers should be offered behavioral counseling interventions that exceed minimal advice to quit.

<sup>4</sup> In July 2009, the FDA mandated that the prescribing information for all bupropion- and varenicline-containing products include a black-boxed warning highlighting the risk of serious neuropsychiatric symptoms, including changes in behavior, hostility, agitation, depressed mood, suicidal thoughts and behavior, and attempted suicide. Clinicians should advise patients to stop taking varenicline or bupropion SR and contact a healthcare provider immediately if they experience agitation, depressed mood, and any changes in behavior that are not typical of nicotine withdrawal, or if they experience suicidal thoughts or behavior. If treatment is stopped due to neuropsychiatric symptoms, patients should be monitored until the symptoms resolve.

<sup>5</sup> Wholesale acquisition cost from Red Book Online. Thomson Reuters, December 2014.

Abbreviations: MAO, monoamine oxidase; NRT, nicotine replacement therapy; OTC, over-the-counter (non-prescription product); Rx, prescription product.

**For complete prescribing information and a comprehensive listing of warnings and precautions, please refer to the manufacturers' package inserts.**

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