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[1], Generic** OTC 2 mg, 4 mg original, cinnamon, fruit, mint, orange	**Nicorette Lozenge,[1]** **Nicorette Mini Lozenge,[1]** **Generic** OTC 2 mg, 4 mg cherry, mint	**NicoDerm CQ[1], Generic** OTC (NicoDerm CQ, generic) Rx (generic) 7 mg, 14 mg, 21 mg (24-hour release)	**Nicotrol NS[2]** Rx Metered spray 0.5 mg nicotine in 50 mcL aqueous nicotine solution	**Nicotrol Inhaler[2]** Rx 10 mg cartridge delivers 4 mg inhaled nicotine vapor	**Zyban[1], Generic** Rx 150 mg sustained-release tablet	**Chantix[2]** Rx 0.5 mg, 1 mg tablet
Precautions	▪ Recent (≤ 2 weeks) myocardial infarction ▪ Serious underlying arrhythmias ▪ Serious or worsening angina pectoris ▪ Temporomandibular joint disease ▪ Pregnancy[3] and breastfeeding ▪ Adolescents (<18 years)	▪ Recent (≤ 2 weeks) myocardial infarction ▪ Serious underlying arrhythmias ▪ Serious or worsening angina pectoris ▪ Pregnancy[3] and breastfeeding ▪ Adolescents (<18 years)	▪ Recent (≤ 2 weeks) myocardial infarction ▪ Serious underlying arrhythmias ▪ Serious or worsening angina pectoris ▪ Pregnancy[3] (Rx formulations, category D) and breastfeeding ▪ Adolescents (<18 years)	▪ Recent (≤ 2 weeks) myocardial infarction ▪ Serious underlying arrhythmias ▪ Serious or worsening angina pectoris ▪ Underlying chronic nasal disorders (rhinitis, nasal polyps, sinusitis) ▪ Severe reactive airway disease ▪ Pregnancy[3] (category D) and breastfeeding ▪ Adolescents (<18 years)	▪ Recent (≤ 2 weeks) myocardial infarction ▪ Serious underlying arrhythmias ▪ Serious or worsening angina pectoris ▪ Bronchospastic disease ▪ Pregnancy[3] (category D) and breastfeeding ▪ Adolescents (<18 years)	▪ Concomitant therapy with medications or medical conditions known to lower the seizure threshold ▪ Severe hepatic cirrhosis ▪ Pregnancy[3] (category C) and breastfeeding ▪ Adolescents (<18 years) **Warning:** ▪ BLACK-BOXED WARNING for neuropsychiatric symptoms[4] **Contraindications:** ▪ Seizure disorder ▪ Concomitant bupropion (e.g., Wellbutrin) therapy ▪ Current or prior diagnosis of bulimia or anorexia nervosa ▪ Simultaneous abrupt discontinuation of alcohol or sedatives/benzodiazepines ▪ MAO inhibitor therapy in previous 14 days	▪ Severe renal impairment (dosage adjustment is necessary) ▪ Pregnancy[3] (category C) and breastfeeding ▪ Adolescents (<18 years) **Warnings:** ▪ BLACK-BOXED WARNING for neuropsychiatric symptoms[4] ▪ Cardiovascular adverse events in patients with existing cardiovascular disease
Dosing	*1st cigarette ≤30 minutes after waking:* 4 mg *1st cigarette >30 minutes after waking:* 2 mg Weeks 1–6: 1 piece q 1–2 hours Weeks 7–9: 1 piece q 2–4 hours Weeks 10–12: 1 piece q 4–8 hours ▪ Maximum, 24 pieces/day ▪ Chew each piece slowly ▪ Park between cheek and gum when peppery or tingling sensation appears (~15–30 chews) ▪ Resume chewing when tingle fades ▪ Repeat chew/park steps until most of the nicotine is gone (tingle does not return; generally 30 min) ▪ Park in different areas of mouth ▪ No food or beverages 15 minutes before or during use ▪ Duration: up to 12 weeks	*1st cigarette ≤30 minutes after waking:* 4 mg *1st cigarette >30 minutes after waking:* 2 mg Weeks 1–6: 1 lozenge q 1–2 hours Weeks 7–9: 1 lozenge q 2–4 hours Weeks 10–12: 1 lozenge q 4–8 hours ▪ Maximum, 20 lozenges/day ▪ Allow to dissolve slowly (20–30 minutes for standard; 10 minutes for mini) ▪ Nicotine release may cause a warm, tingling sensation ▪ Do not chew or swallow ▪ Occasionally rotate to different areas of the mouth ▪ No food or beverages 15 minutes before or during use ▪ Duration: up to 12 weeks	*>10 cigarettes/day:* 21 mg/day x 4 weeks (generic) 6 weeks (NicoDerm CQ) 14 mg/day x 2 weeks 7 mg/day x 2 weeks *≤10 cigarettes/day:* 14 mg/day x 6 weeks 7 mg/day x 2 weeks ▪ May wear patch for 16 hours if patient experiences sleep disturbances (remove at bedtime) ▪ Duration: 8–10 weeks	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 ▪ Maximum – 5 doses/hour or – 40 doses/day ▪ For best results, initially use at least 8 doses/day ▪ Do not sniff, swallow, or inhale through the nose as the spray is being administered ▪ Duration: 3–6 months	6–16 cartridges/day Individualize dosing; initially use 1 cartridge q 1–2 hours ▪ Best effects with continuous puffing for 20 minutes ▪ Initially use at least 6 cartridges/day ▪ Nicotine in cartridge is depleted after 20 minutes of active puffing ▪ Inhale into back of throat or puff in short breaths ▪ Do NOT inhale into the lungs (like a cigarette) but "puff" as if lighting a pipe ▪ Open cartridge retains potency for 24 hours ▪ No food or beverages 15 minutes before or during use ▪ Duration: 3–6 months	150 mg po q AM x 3 days, then 150 mg po bid ▪ Do not exceed 300 mg/day ▪ Begin therapy 1–2 weeks **prior** to quit date ▪ Allow at least 8 hours between doses ▪ Avoid bedtime dosing to minimize insomnia ▪ Dose tapering is not necessary ▪ Can be used safely with NRT ▪ Duration: 7–12 weeks, with maintenance up to 6 months in selected patients	Days 1–3: 0.5 mg po q AM Days 4–7: 0.5 mg po bid Weeks 2–12: 1 mg po bid ▪ Begin therapy 1 week **prior** to quit date; alternatively, the patient can begin therapy and then quit smoking between days 8–35 of treatment ▪ Take dose after eating and with a full glass of water ▪ Dose tapering is not necessary ▪ Dosing adjustment is necessary for patients with severe renal impairment ▪ Duration: 12 weeks; an additional 12-week course may be used in selected patients

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Adverse Effects	▪ Mouth/jaw soreness ▪ Hiccups ▪ Dyspepsia ▪ Hypersalivation ▪ Effects associated with incorrect chewing technique: – Lightheadedness – Nausea/vomiting – Throat and mouth irritation	▪ Nausea ▪ Hiccups ▪ Cough ▪ Heartburn ▪ Headache ▪ Flatulence ▪ Insomnia	▪ Local skin reactions (erythema, pruritus, burning) ▪ Headache ▪ Sleep disturbances (insomnia, abnormal/vivid dreams); associated with nocturnal nicotine absorption	▪ Nasal and/or throat irritation (hot, peppery, or burning sensation) ▪ Rhinitis ▪ Tearing ▪ Sneezing ▪ Cough ▪ Headache	▪ Mouth and/or throat irritation ▪ Cough ▪ Headache ▪ Rhinitis ▪ Dyspepsia ▪ Hiccups	▪ Insomnia ▪ Dry mouth ▪ Nervousness/difficulty concentrating ▪ Rash ▪ Constipation ▪ Seizures (risk is 0.1%) ▪ Neuropsychiatric symptoms (rare; see Precautions)	▪ Nausea ▪ Sleep disturbances (insomnia, abnormal/vivid dreams) ▪ Constipation ▪ Flatulence ▪ Vomiting ▪ Neuropsychiatric symptoms (rare; see Precautions)
Advantages	▪ Might satisfy oral cravings ▪ Might delay weight gain ▪ Patients can titrate therapy to manage withdrawal symptoms ▪ Variety of flavors are available	▪ Might satisfy oral cravings ▪ Might delay weight gain ▪ Easy to use and conceal ▪ Patients can titrate therapy to manage withdrawal symptoms ▪ Variety of flavors are available	▪ Provides consistent nicotine levels over 24 hours ▪ Easy to use and conceal ▪ Once daily dosing associated with fewer compliance problems	▪ Patients can titrate therapy to rapidly manage withdrawal symptoms	▪ Patients can titrate therapy to manage withdrawal symptoms ▪ Mimics hand-to-mouth ritual of smoking (could also be perceived as a disadvantage)	▪ Easy to use; oral formulation might be associated with fewer compliance problems ▪ Might delay weight gain ▪ Can be used with NRT ▪ Might be beneficial in patients with depression	▪ Easy to use; oral formulation might be associated with fewer compliance problems ▪ Offers a new mechanism of action for patients who have failed other agents
Disadvantages	▪ Need for frequent dosing can compromise compliance ▪ Might be problematic for patients with significant dental work ▪ Patients must use proper chewing technique to minimize adverse effects ▪ Gum chewing may not be socially acceptable	▪ Need for frequent dosing can compromise compliance ▪ Gastrointestinal side effects (nausea, hiccups, heartburn) might be bothersome	▪ Patients cannot titrate the dose to acutely manage withdrawal symptoms ▪ Allergic reactions to adhesive might occur ▪ Patients with dermatologic conditions should not use the patch	▪ Need for frequent dosing can compromise compliance ▪ Nasal/throat irritation may be bothersome ▪ Patients must wait 5 minutes before driving or operating heavy machinery ▪ Patients with chronic nasal disorders or severe reactive airway disease should not use the spray	▪ Need for frequent dosing can compromise compliance ▪ Initial throat or mouth irritation can be bothersome ▪ Cartridges should not be stored in very warm conditions or used in very cold conditions ▪ Patients with underlying bronchospastic disease must use with caution	▪ Seizure risk is increased ▪ Several contraindications and precautions preclude use in some patients (see Precautions) ▪ Patients should be monitored for potential neuropsychiatric symptoms[4] (see Precautions)	▪ May induce nausea in up to one third of patients ▪ Patients should be monitored for potential neuropsychiatric symptoms[4] (see Precautions)
Cost/Day[5]	2 mg or 4 mg: $1.89–$5.48 (9 pieces)	2 mg or 4 mg: $3.05–$4.38 (9 pieces)	$1.52–$3.40 (1 patch)	$4.12 (8 doses)	$7.35 (6 cartridges)	$2.38–$6.22 (2 tablets)	$5.96–$6.50 (2 tablets)

[1] Marketed by GlaxoSmithKline.
[2] Marketed by Pfizer.
[3] 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.
[4] 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.
[5] Wholesale acquisition cost from Red Book Online. Thomson Reuters, September 2012.

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

For complete prescribing information, please refer to the manufacturers' package inserts.