**FDA APPROVED PHARMACOLOGIC TREATMENT FOR SMOKING CESSATION**

**NICOTINE REPLACEMENT THERAPY (NRT)**

### LONG-ACTING NRT

<table>
<thead>
<tr>
<th>NICOTINE TRANSDERMAL PATCH</th>
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<tr>
<td><strong>Step 1</strong> (21 mg)</td>
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<td><strong>Step 2</strong> (14 mg)</td>
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<tr>
<td><strong>Step 3</strong> (7 mg)</td>
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</table>

- **One patch per day**
- 21 mg for >20 cigarettes per day.
- 14 mg for <20 cigarettes per day.
- 7 mg for <10 cigarettes per day.
- After 6 weeks, option to continue original dose or taper.

- **α4β2 Nicotinic acetylcholine receptor agonist.**
- Most effective when used in combination with short-acting NRT.
- Monitor for ↑blood pressure, heart rate, arrhythmia.
- Monitor for psychiatric changes.
- Skin irritation
- Insomnia
- Vivid dreams
- Apply new patch each morning to dry skin.
- Rotate application site.
- Remove patch at bedtime if insomnia or vivid dreams occur.
- If ↑blood pressure or heart rate, could indicate using too much.

### SHORT-ACTING NRT

<table>
<thead>
<tr>
<th>NICOTINE LOZENGE 2 &amp; 4 mg</th>
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- **As needed, ~1-2 per hour**
- 4 mg if first cigarette is ≤30 minutes of waking. 2 mg if first cigarette is >30 minutes of waking. Do not use more than 20 lozenges in a day.

- **α4β2 Nicotinic acetylcholine receptor agonist.**
- Easy to use than gum for people with dentures.
- May be added to patch for breakthrough cravings.
- 2 mg lozenge = 1 mg active nicotine, 4 mg lozenge = 2 mg active nicotine.
- Monitor for ↑blood pressure, heart rate, arrhythmia.
- Monitor for psychiatric changes.
- Mouth irritation
- Hiccups
- Heartburn
- Nausea
- Avoid food/drink right before and during use.
- Acidic food/drink will neutralize action & ↓absorption of nicotine.
- May cause heartburn or hiccups if swallowed.

<table>
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<tr>
<th>NICOTINE GUM 2 &amp; 4 mg</th>
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- **As needed, ~1-2 per hour**
- 4 mg if first cigarette is ≤30 minutes of waking. 2 mg if first cigarette is >30 minutes of waking. Do not use more than 24 pieces in a day.

- **α4β2 Nicotinic acetylcholine receptor agonist.**
- Not compatible with dentures in place.
- May be added to patch for breakthrough cravings.
- 2 mg gum = 1 mg active nicotine.
- 4 mg gum = 2 mg active nicotine.
- Monitor for ↑blood pressure, heart rate, arrhythmia.
- Monitor for psychiatric changes.
- Mouth irritation
- Jaw soreness
- Hiccups
- Heartburn
- Nausea
- Avoid food/drink right before and during use.
- Acidic food/drink will neutralize action & ↓absorption of nicotine.
- Chew gum until peppery/tingling sensation, then park between gum and cheek for a minute; repeat 5 cycles then spit out.
- Not compatible with dentures in place; may take dentures out to use.
- May cause heartburn or hiccups if swallowed.

<table>
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<tr>
<th>NICOTINE NASAL SPRAY 10 mg/mL in 10-mL bottle, 0.5 mg per spray, 2 sprays = 1 cigarette</th>
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</table>

- **As needed, 1-2 sprays for craving**
- Do not exceed 10 sprays per hour or 40 sprays per day.

- **α4β2 Nicotinic acetylcholine receptor agonist.**
- Use 1 spray in each nostril for the equivalent of 1 cigarette.
- May be added to patch for breakthrough cravings.
- Monitor for ↑blood pressure, heart rate, arrhythmia.
- Monitor for psychiatric changes.
- Mouth and nasal irritation
- Rhinitis
- Sneezing
- Coughing
- Thr eathing
- Burning sensation in nostril is normal.
- Quickest nicotine delivery of any short-acting systems.

<table>
<thead>
<tr>
<th>NICOTINE INHALER Each 4 mg cartridge = 4 cigarettes</th>
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- **Puff for 5 minutes or until craving has subsided**
- Do not use more than 16 cartridges per day.

- **α4β2 Nicotinic acetylcholine receptor agonist.**
- Mimics hand-to-mouth action of smoking.
- May be added to patch for breakthrough cravings.
- Convenient, smokeless, and odorless.
- Monitor for ↑blood pressure, heart rate, arrhythmia.
- Monitor for psychiatric changes.
- Mouth and throat irritation
- Coughing if inhaled to deeply
- Do not inhale into lungs; instead puff like drinking through a straw.
- Change cartridge when nicotine taste disappears.

### DOSING MECHANISM OF ACTION

- Nicotine is a potent agonist at α4β2 nicotinic acetylcholine receptor. A single puff of nicotine inhaler is equivalent to 4 mg nicotine lozenge.
- α4β2 Nicotinic acetylcholine receptor agonist.
- Nicotine is odorless.

### CLINICAL CONSIDERATIONS

- Most effective when used in combination with short-acting NRT.
- Monitor for ↑blood pressure, heart rate, arrhythmia.
- Monitor for psychiatric changes.

### SERIOUS ADVERSE EFFECTS

- Skin irritation
- Insomnia
- Vivid dreams
- Apply new patch each morning to dry skin.
- Rotate application site.
- Remove patch at bedtime if insomnia or vivid dreams occur.
- If ↑blood pressure or heart rate, could indicate using too much.

### COMMON SIDE EFFECTS

- Mouth irritation
- Hiccups
- Heartburn
- Nausea
- Avoid food/drink right before and during use.
- Acidic food/drink will neutralize action & ↓absorption of nicotine.
- Chew gum until peppery/tingling sensation, then park between gum and cheek for a minute; repeat 5 cycles then spit out.
- Not compatible with dentures in place; may take dentures out to use.
- May cause heartburn or hiccups if swallowed.

### PATIENT EDUCATION

- Monitor for ↑blood pressure or heart rate, arrhythmia.
- Monitor for psychiatric changes.
- Apply new patch each morning to dry skin.
- Rotate application site.
- Remove patch at bedtime if insomnia or vivid dreams occur.
- If ↑blood pressure or heart rate, could indicate using too much.

### CONSIDERATIONS

- Vivid dreams
- Insomnia
- Skin irritation
- Burning sensation in nostril
- Puffing for 5 minutes or until craving has subsided
- Do not inhale into lungs; instead puff like drinking through a straw.
- Change cartridge when nicotine taste disappears.

### EFFECTS

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## Dosing

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<tr>
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<th>Days 1-3</th>
<th>Days 4+</th>
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<tbody>
<tr>
<td><strong>Varenicline</strong> 0.5 &amp; 1.0 mg</td>
<td>0.5 mg/day.</td>
<td>1.0 mg twice daily.</td>
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<tr>
<td><strong>Bupropion Sustained Release 150 mg</strong></td>
<td>150 mg/day.</td>
<td>150 mg twice daily.</td>
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### MECHANISM OF ACTION

- **Varenicline**
  - Partial α4β2 nicotinic acetylcholine receptor agonist (stimulates dopamine release) and antagonist.
  - Atypical antidepressant; inhibitor of norepinephrine and dopamine reuptake; may also serve as a mild α4β2 nicotinic acetylcholine receptor antagonist.

### CLINICAL CONSIDERATIONS

- **Varenicline**
  - Initiate 1-4 weeks before quit date.
  - Can be effective in patients not ready to quit but willing to take varenicline.
  - Cut back to 1 mg each day if too stimulated, activated, or anxious on 2 mg per day.
  - Risk of seizure is dose dependent; avoid if history of seizure disorder.

- **Bupropion Sustained Release**
  - Initiate 1-2 weeks before quit date.
  - Avoid in patients who experience anorexic conditions (appetite suppressant).
  - May be beneficial in patients who are concerned about weight gain.

### SERIOUS ADVERSE EFFECTS

- **Varenicline**
  - Monitor for psychiatric changes.

- **Bupropion Sustained Release**
  - Monitor for psychiatric changes.

### COMMON SIDE EFFECTS

- **Varenicline**
  - Nausea
  - Insomnia and vivid dreams
  - Mood changes
  - Agitation

- **Bupropion Sustained Release**
  - Nausea
  - Supresses appetite
  - More activating than sedating

### PATIENT EDUCATION

- **Varenicline**
  - Take with food to avoid nausea.
  - Nausea can occur if smoking too much while taking varenicline.
  - May take second daily dose earlier in the evening to avoid disruption of sleep.

- **Bupropion Sustained Release**
  - May dose in morning only.
  - May take second daily dose earlier in the evening to avoid disruption of sleep.
  - Do not cut or crush tab.

### COMBINATION THERAPIES HELP PEOPLE QUIT:

- Combination therapy with both non-nicotinic therapy and NRT is more effective than either treatment alone.
- Combining the patch with short-acting NRT is more effective than either treatment alone.
- Combining behavioral therapy with pharmacotherapy is the most effective treatment for smoking cessation.

### REFERENCES

1. IBM Micromedex. Bupropion. 2019
2. IBM Micromedex. Nicotine. 2019
3. IBM Micromedex. Varenicline. 2019