guanFACINE (gwahn-fay-sen)

**Status:** Teres

**Classification**
Therapeutic: antihypertensives
Pharmacologic: centrally acting antihypertensives

**Pregnancy Category:** B

**Indications**
Hypertension (with thiazide-type diuretics) (immediate-release). Treatment of attention-deficit hyperactivity disorder (ADHD) as monotherapy or as adjunctive therapy to stimulants (extended-release).

**Action**
Stimulates CNS alpha2-adrenergic receptors, producing a decrease in sympathetic outflow to heart, kidneys, and blood vessels. Result is decreased BP and peripheral resistance, a slight decrease in heart rate, and no change in cardiac output. Mechanism of action in ADHD is unknown.

**Therapeutic Effects:**
Lowering of BP in hypertension. Increased attention span in ADHD.

**Pharmacokinetics**
Absorption: Immediate-release is well absorbed (80%); extended-release has lower rate and extent of absorption (q absorption with high-fat meals).
Distribution: Appears to be widely distributed.
Metabolism and Excretion: 50% metabolized by the liver, 50% excreted unchanged by the kidneys.
Half-life: 17 hr.

**TIME/ACTION PROFILE (antihypertensive effect)**

<table>
<thead>
<tr>
<th>ROUTE</th>
<th>ONSET</th>
<th>PEAK</th>
<th>DURATION</th>
</tr>
</thead>
<tbody>
<tr>
<td>PO (single dose)</td>
<td>unknown</td>
<td>8–12 hr</td>
<td>24 hr</td>
</tr>
<tr>
<td>PO (multiple doses)</td>
<td>within 1 wk</td>
<td>1–3 mo</td>
<td>unknown</td>
</tr>
</tbody>
</table>

**Contraindications/Precautions**

**Contraindicated in:** Hypersensitivity.

**Use Cautiously in:** Severe coronary artery disease or recent myocardial infarction; elderly; may have q sensitivity, especially those with hepatic, cardiac, or renal disease.

**Adverse Reactions/Side Effects**

CNS: drowsiness, headache, weakness, depression, dizziness, fatigue, insomnia, irritability, nervousness, nervousness, paresthesia, rebound hypertension, euphoria.

CV: Bradycardia, chest pain, hypotension, palpitations, rebound hypertension, syncope.

GI: constipation, dry mouth, abdominal pain, nausea.

GU: erectile dysfunction.

**Interactions**

**Drug-Drug:** q hypotension with other antihypertensives, nitrates, and acute ingestion of alcohol. CNS depression may occur with other CNS depressants, including alcohol, antihistamines, opioid analgesics, tricyclic antidepressants, and sedative/hypnotics. NSAIDs may q effectiveness. Adrenergics may q effectiveness. Risk of hypotension and bradycardia with strong CYP3A4 inhibitors, including ketoconazole. Strong CYP3A4 inhibitors, including rifampin may q effects (an q in dose of guanfacine may be needed). May q levels of valproic acid.

**Route/Dosage**
Immediate-release and extended-release tablets should not be interchanged.

**Hypertension**

**PO (Adults):** 1 mg daily given at bedtime, may be q if necessary at 3–4 wk intervals up to 2 mg/day; may also be given in 2 divided doses.

**ADHD**

**PO (Adults and Children ≥6 yr):** 1 mg daily in morning; may be q by 1 mg/day at weekly intervals to achieve dose of 3–4 mg/day.

**NURSING IMPLICATIONS**

**Assessment**

- Hypertension: Monitor BP (lying and standing) and pulse frequently during initial dose adjustments and periodically during therapy. Report significant changes.
- ADHD: Assess attention span, impulse control, and interactions with others.

**Nursing Considerations**
- Fatigue, sedation, and lack of appetite indicate most frequent.

**Interactions:**

- **Cautions:** Monitor BP (lying and standing) and pulse frequently during initial dose adjustments and periodically during therapy. Report significant changes.
- **Monitor frequency of prescription refills to determine adherence with therapy.

**Availability:**
- Tablets: 1 mg

**Pharmacodynamics**

- **Guanfacine:**
  - Guanfacine: Centrally-acting antihypertensive agent that acts by stimulating central alpha2-adrenergic receptors, resulting in decreased sympathetic nervous system activity and consequent decrease in BP.
  - Guanfacine: Used in the treatment of hypertension and ADHD.

**Pharmacokinetics**

- **Absorption:**
  - Guanfacine: Immediate-release tablets are well absorbed (80%), while extended-release tablets have a lower rate and extent of absorption.
  - Guanfacine: Absorption is reduced when administered with high-fat meals.

- **Distribution:**
  - Guanfacine: Widely distributed throughout the body.

- **Metabolism:**
  - Guanfacine: Approximately 50% metabolized by the liver.

- **Excretion:**
  - Guanfacine: Approximately 50% excreted unchanged by the kidneys.

**Half-life:**
- Guanfacine: 17 hours.

**Contraindications/Precautions**

- **Contraindicated in:**
  - Hypersensitivity to guanfacine or any other component.

- **Use Cautiously in:**
  - Severe coronary artery disease or recent myocardial infarction.
  - Elderly patients, especially those with hepatic, cardiac, or renal disease.
  - Cerebrovascular disease.
  - Severe renal or liver disease.
  - History of hypotension, heart block, bradycardia, or cardiovascular disease.
  - Pregnancy, lactation, or children (safety not established).

**Adverse Reactions/Side Effects**

- **CNS:** Drowsiness, headache, weakness, depression, dizziness, fatigue, insomnia, irritability, nervousness, paresthesia, rebound hypertension, euphoria.
  - **CV:** Bradycardia, chest pain, hypotension, palpitations, rebound hypertension, syncope.
  - **GI:** Constipation, dry mouth, abdominal pain, nausea.
  - **GU:** Erectile dysfunction.

**Interactions**

- **Drug-Drug:**
  - Hypotension with other antihypertensives, nitrates, and acute ingestion of alcohol.
  - CNS depression may occur with other CNS depressants, including alcohol, antihistamines, opioid analgesics, tricyclic antidepressants, and sedative/hypnotics.
  - NSAIDs may reduce effectiveness.
  - Adrenergics may reduce effectiveness.
  - Risk of hypotension and bradycardia with strong CYP3A4 inhibitors, including ketoconazole.
  - Rifampin may reduce effectiveness.
  - Strong CYP3A4 inhibitors, including rifampin may reduce effectiveness.
  - Ketoconazole may increase levels of valproic acid.

**Route/Dosage**

- **Immediate-release and extended-release tablets should not be interchanged.**

**Hypertension**

- **PO (Adults):**
  - 1 mg daily given at bedtime, may be increased by 1 mg/day at weekly intervals up to 2 mg/day.
  - May also be given in 2 divided doses.

**ADHD**

- **PO (Adults and Children ≥6 yr):**
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**NURSING IMPLICATIONS**

- **Assessment:**
  - Hypertension: Monitor BP (lying and standing) and pulse frequently during initial dose adjustments and periodically during therapy. Report significant changes.
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**Half-life:**
- Guanfacine: 17 hours.
Lab Test Considerations: May cause temporary, clinically insignificant increase in plasma growth hormone levels. May cause decrease in urinary catecholamines and vanillylmandelic acid levels.

Potential Nursing Diagnoses

Risk for injury (Side Effects)

Noncompliance (Patient/Family Teaching)

Implementation

DO NOT confuse guanfacine with guaifenesin.

DO NOT substitute for extended-release tablets for immediate-release tablets on a mg-mg basis. Doses are not the same.

PO:

For hypertension: Administer daily dose at bedtime to minimize daytime sedation.

For ADHD: Administer once daily. Swallow extended-release tablets whole; do not crush, break or chew. Do not administer with high-fat meals, due to increased exposure.

Patient/Family Teaching

Advise patient to notify health care professional of all Rx or OTC medications, vitamins, or herbal products being taken and to consult with health care professional before taking other medications, especially cough, cold, or allergy remedies.

Caution patient to avoid alcohol and other CNS depressants while taking guanfacine.

Advise patient to notify health care professional if dry mouth or constipation persists. Frequent mouth rinses, good oral hygiene, and sugarless gum or candy may minimize dry mouth. Increase in fluid and fiber intake and exercise may decrease constipation.

Instruct patient to notify health care professional of medication regimen prior to treatment or surgery.

Advise patient to notify health care professional if dry mouth or constipation persists. Frequent mouth rinses, good oral hygiene, and sugarless gum or candy may minimize dry mouth. Increased fluid and fiber intake and exercise may decrease constipation.

Advise patient to notify health care professional of any serious side effects.

Inform patient that sharing this medication may be dangerous.

Pedi: Advise parents to notify school nurse of medication regimen.

Evaluation/Desired Outcomes

Decrease in BP without excessive side effects.

Improved attention span and social interactions in ADHD. Re-evaluate use if used for 6–8 weeks.

Why was this drug prescribed for your patient?