

GUANFACINE (Intuniv, Tenex) Fact Sheet [G]

Bottom Line:

Guanfacine is an alpha-2 agonist that has no abuse potential, does not worsen tics, and does not cause insomnia. However, it is less effective than stimulants and has a delayed onset of effect (two to four weeks). Guanfacine ER is now available in generic and is easier to use than IR.

FDA Indications:

ADHD (children ages 6–17), as monotherapy or adjunctive therapy to stimulants (not approved for ADHD in adults).

Off-Label Uses:

Conduct disorder; Tourette's and motor tics; pervasive developmental disorders; migraine prophylaxis; opioid withdrawal.

Dosage Forms:

- **IR tablets (Tenex, [G]):** 1 mg, 2 mg.
- **ER tablets (Intuniv, [G]):** 1 mg, 2 mg, 3 mg, 4 mg.

Dosage Guidance:

- IR dosing depends on weight:
 - 27–40.5 kg (55–90 lbs): Start 0.5 mg QHS, ↑ by 0.5 mg/day at weekly intervals up to 1.5 mg/day; may ↑ to 2 mg/day after two weeks; max 2 mg/day in two to four divided doses.
 - 40.5–45 kg (90–99 lbs): Start 0.5 mg QHS, ↑ by 0.5 mg/day at weekly intervals; max 1 mg per dose, 3 mg/day.
 - >45 kg (>99 lbs): Start 1 mg QHS, ↑ by 1 mg/day at weekly intervals up to 3 mg/day; may ↑ to 4 mg/day after 2 weeks; max 1 mg per dose, 4 mg/day.
- ER: Start 1 mg QHS, ↑ by 1 mg/day at weekly intervals; max 4 mg/day. Alternative: 0.05–0.12 mg/kg QD or QHS; max 4 mg/day. Doses up to 7 mg/day ER studied as monotherapy in adolescents.

Monitoring: Blood pressure.

Cost: \$

Side Effects:

- Most common: Dry mouth, somnolence, dizziness, constipation, fatigue, headache.
- Serious but rare: Hypotension, syncope, orthostasis.
- Pregnancy/breastfeeding: Not well studied.

Mechanism, Pharmacokinetics, and Drug Interactions:

- Centrally acting, selective alpha-2 adrenergic agonist.
- Metabolized primarily through CYP3A4; $t_{1/2}$: 13–14 hours in children (16–18 hours in adults).
- Avoid use with MAOIs. Caution with 3A4 inhibitors (eg, clarithromycin, fluvoxamine) and inducers (eg, St. John's wort, carbamazepine).

Clinical Pearls:

- Not a controlled substance.
- Guanfacine IR and ER are not interchangeable on a mg:mg basis. When switching from one formulation to the other, taper and re-titrate.
- Guanfacine tends to be less sedating than clonidine, another alpha agonist.
- If patient misses two or more consecutive doses, consider repeating titration.
- ER tablets should not be taken with a high-fat meal due to increased medication exposure.
- Minimize side effects, especially somnolence, by administering at bedtime.
- Monitor blood pressure, especially during initial dosing titration.
- Risk of nervousness, anxiety, and possibly rebound hypertension two to four days after abrupt discontinuation. Taper dose in 1 mg/day decrements, every three to seven days.

Fun Fact:

Some prescribers have taken advantage of guanfacine's sympatholytic properties for the treatment of nightmares and dissociative symptoms in PTSD.