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## DIAZEPAM (Valium) Fact Sheet [G]

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### Bottom Line:

Diazepam has a long history of use with good efficacy for anxiety. Its long half-life makes it a particularly effective anxiolytic for some patients, and it is a good alternative to chlordiazepoxide for alcohol withdrawal.

### FDA Indications:

**Generalized anxiety disorder; alcohol withdrawal;** seizures; muscle spasms.

### Off-Label Uses:

Other anxiety disorders; insomnia; acute mania or psychosis; catatonia.

### Dosage Forms:

- **Tablets (G):** 2 mg (scored), 5 mg (scored), 10 mg (scored).
- **Oral liquid (G):** 5 mg/5 mL, 5 mg/1 mL.
- **Injection (G):** 5 mg/1 mL.
- **Rectal gel (Diastat, [G]):** 2.5 mg, 10 mg, 20 mg per applicator.

### Dosage Guidance:

Anxiety: Start 2–5 mg BID, ↑ by 2–5 mg/day increments every two to four days to max 40 mg/day divided BID–QID.

**Monitoring:** No routine monitoring recommended unless clinical picture warrants.

**Cost:** \$

### Side Effects:

- Most common: Somnolence, daytime grogginess, confusion, ataxia.
- Serious but rare: Anterograde amnesia, increased fall risk, paradoxical reaction (irritability, agitation), respiratory depression (avoid in patients with sleep apnea or on opioids).
- Pregnancy/breastfeeding: Potential risks with exposure in early and late pregnancy; use caution in breastfeeding.

### Mechanism, Pharmacokinetics, and Drug Interactions:

- Binds to benzodiazepine receptors to enhance GABA effects.
- Metabolized primarily through CYP3A4 and CYP2C19;  $t_{1/2}$ : >100 hours.
- Avoid concomitant use with other CNS depressants, including alcohol and opioids (additive effects).

### Clinical Pearls:

- Schedule IV controlled substance.
- Long-acting benzodiazepine with active metabolites that may accumulate.
- Tolerance to sedative effect may develop more rapidly (within two to four weeks of use) than tolerance to anti-anxiety effect.
- Withdrawal effects may not be seen until three to five days after abrupt discontinuation and may last 10–14 days due to long half-life and active metabolites of diazepam.
- Diazepam has the highest lipid solubility of all benzos, which means very rapid distribution into and out of the CNS, resulting in the greatest “rush” felt by patients using in a single-dose manner. This feature makes diazepam an especially abusable benzo.

### Fun Fact:

Valium has been glorified in music more than once. The Rolling Stones’ “little yellow pill” in “Mother’s Little Helper” and Lou Reed’s “Walk on the Wild Side” (“Jackie is just speeding away/Thought she was James Dean for a day/Then I guess she had to crash/Valium would have helped that bash”) are two good examples.