DEXMETHYLPHENIDATE (Azstarys, Focalin, Focalin XR) Fact Sheet [G]

BOTTOM LINE:

Focalin is just Ritalin but more potent. It's available as a generic and may mean fewer tablets for patients. Focalin XR only recently went generic. Azstarys is a newly approved (and expensive) combination of Focalin and a prodrug version of Focalin—the Focalin is absorbed quickly while the prodrug is absorbed more slowly (it's the Vyvanse of methylphenidate, with less potential misuse than Focalin).

PEDIATRIC FDA INDICATIONS:

ADHD (6–17 years).

ADULT FDA INDICATIONS:

ADHD (Azstarys, Focalin XR).

OFF-LABEL USES:

Narcolepsy; obesity; treatment-resistant depression.

DOSAGE FORMS:

- Dexmethylphenidate tablets (Focalin, [G]): 2.5 mg, 5 mg, 10 mg.
- Dexmethylphenidate ER capsules (Focalin XR, [G]): 5 mg, 10 mg, 15 mg, 20 mg, 25 mg, 30 mg, 35 mg, 40 mg.
- Serdexmethylphenidate/dexmethylphenidate ER capsules (Azstarys): 26.1/5.2 mg, 39.2/7.8 mg, 52.3/10.4 mg.

PEDIATRIC DOSAGE GUIDANCE:

- d-MPH IR: Start 2.5 mg BID, ↑ by 5–10 mg/day every seven days. Max 20 mg/day; divide IR doses by at least four hours.
- d-MPH ER: Start 5 mg QAM, ↑ by 5 mg/day every seven days. Max 30 mg/day.
- Serdex-MPH/d-MPH: Start 39.2/7.8 mg QAM, ↑ to max dose of 52.3/10.4 mg after one week if indicated.

MONITORING: Weight, height, BP/P; ECG.

COST: IR: \$; ER: \$\$; Azstarys: \$\$\$\$

SIDE EFFECTS:

- Most common: Decreased appetite, insomnia, anxiety, GI distress, irritability, tics, headache, tachycardia, hypertension, dry mouth.
- Serious but rare: See cardiovascular class warning in chapter introduction.

MECHANISM, PHARMACOKINETICS, AND DRUG INTERACTIONS:

- Stimulant that inhibits reuptake of dopamine and norepinephrine.
- Serdexmethylphenidate (Serdex-MPH) is a prodrug of dexmethylphenidate (d-MPH). d-MPH is metabolized primarily via de-esterification, not CYP450; t ¹/₂: 2–4.5 hours (2–3 hours in children); d-MPH ER delivers 50% of dose immediately and 50% about five hours later. Azstarys t ¹/₂: 6–12 hours; delivers 30% d-MPH immediately and 70% as prodrug.
- Avoid use with MAOIs.

EVIDENCE AND CLINICAL PEARLS:

- Several randomized controlled trials and meta-analyses have shown dexmethylphenidate, like other stimulants, is effective for ADHD with a large treatment effect size.
- Focalin is the d-isomer of methylphenidate and is twice as potent as methylphenidate, which is why it is prescribed at about half the dose. Serdex-MPH is a prodrug, converted to d-MPH in the lower GI tract.
- Use the same total daily dose of Focalin IR as Focalin XR. The combined dose of Azstarys 26.1/5.2, 39.2/7.8, or 52.3/10.4 mg is equivalent to 20, 30, or 40 mg of Focalin, respectively.
- Focalin XR capsules contain two kinds of beads: Half are immediate-release beads and half are enteric-coated delayedrelease beads. A single, once-daily dose of XR capsule provides the same amount of dexmethylphenidate as two IR tablets given four hours apart.
- The ER capsules cannot be split in half. However, they can be opened and the beads sprinkled over food. The patient should then eat all that food—eating half won't work to split the dose accurately because it won't be possible to determine if the eaten portion contains more immediate-release or delayed-release beads.
- Give with food if GI side effects occur.

FUN FACT:

With two stereoactive centers, methylphenidate has four possible stereoisomers. Of the four, dexmethylphenidate is the most active biologically.