Relexxii

(methylphenidate hydrochloride extended-release, OROS)

Relexxii (methylphenidate hydrochloride extended-release, OROS); CII

Full Prescribing Information	DailyMed Drug Information

Summary

Relexxii is a long-acting methylphenidate tablet using the same class of OROS osmotic delivery as Concerta, approved for ADHD from age 6 through 65. Its differentiator is the strength ladder: 45 mg, 63 mg and 72 mg exist as single tablets, so a patient needing more than 54 mg takes one tablet rather than two. It is not labeled as bioequivalent to Concerta. Schedule II; brand and authorized generic.

Forms & Strengths

- Extended-release tablets (OROS, swallow whole): 18 mg, 27 mg, 36 mg, 45 mg, 54 mg, 63 mg, 72 mg

Dosing

- **Age:** 6 to 65 y/o
- **Onset:** ~ 1 hour
- **Duration:** up to 12 hours
- **Release Profile:** 18% IR / 82% ER via OROS osmotic delivery
- **Initial Dose:**
  - 6 to 17 y/o, new to methylphenidate: 18 mg once daily in the morning
  - 18 to 65 y/o, new to methylphenidate: 18 mg or 36 mg once daily in the morning

    - From methylphenidate 2-3 times daily, per dose: 5 mg to 18 mg; 10 mg to 36 mg; 15 mg to 54 mg; 20 mg to 72 mg
- **Titration:** 18 mg every 7 days; 27, 45 and 63 mg give intermediate steps
- **Max Dose:**
    - 6 to 12 y/o: 54 mg/day
    - 13 to 17 y/o: 72 mg/day, not to exceed 2 mg/kg/day
    - 18 to 65 y/o: 72 mg/day
- **Considerations:** Swallow whole; chewing or dividing destroys the osmotic pump. The 45, 63 and 72 mg strengths avoid two-tablet regimens above 54 mg. Do not substitute milligram-for-milligram with another methylphenidate.

Pharmacology

- **Mechanism:** Blocks presynaptic dopamine and norepinephrine reuptake at DAT and NET; does not meaningfully promote catecholamine release at therapeutic doses
- **Delivery / Release:** 18% IR / 82% ER via OROS osmotic delivery. The overcoat dissolves within an hour, then a push layer forces drug through a laser-drilled orifice; two core layers make release rise over 6 to 7 hours.
- **Metabolism:** De-esterified to PPAA (ritalinic acid), inactive. Half-life ~3.5 hours, ~90% recovered in urine. Renal impairment has little effect.
- **Class Positioning:** Same osmotic principle as Concerta, not the same product: 18% against 22% immediate fraction, Tmax 5.5 h against 6 to 10 h, no bioequivalence study. Advantage: single-tablet dosing at 45, 63 and 72 mg.

Indications

- **ADHD** (ICD-10: F90.0, F90.1, F90.2, F90.8, F90.9): patients 6 to 65 y/o

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Off-Label Uses

- **ADHD in children 4 to 5 years old** (ICD-10: F90.x): behavioral parent training first line; if medication is needed use IR methylphenidate, not OROS. Expert consensus. (AAP 2019)
- **Narcolepsy** (ICD-10: G47.419): IR methylphenidate carries this indication, Relexxii does not. Insufficient.
- **Substituting for Concerta at the same strength:** common at 18 to 54 mg but unsupported by any bioequivalence study. Recheck response after a switch. Insufficient.
- **Where the evidence does not support use:** treatment-resistant depression, binge eating disorder, cancer-related and chronic fatigue. Adult literature only; insufficient in children. (AHRQ 2024)

- **Cognitive enhancement in a youth without ADHD:** not an indication and not supported.

Contraindications & Warnings

- **Boxed Warning:** Abuse, misuse and addiction, with overdose and death. Assess abuse risk before prescribing and reassess throughout treatment.
- **Contraindicated:**
  - Hypersensitivity to methylphenidate; angioedema and anaphylaxis reported
  - MAOI use, current or within 14 days: hypertensive crisis
- **Use with caution:**
  - Structural cardiac abnormality, cardiomyopathy, arrhythmia or coronary disease: avoid
  - Pre-existing hypertension: monitor blood pressure and pulse
  - Psychotic or bipolar disorder: exacerbation and treatment-emergent mania
  - GI narrowing: the tablet is nondeformable
  - Significant hyperopia or angle-closure risk: refer to ophthalmology
  - Personal or family history of tics or Tourette's syndrome
  - Substance use disorder in the patient or the household
- **Screen before starting:**
  - Cardiac history and exam including family sudden death; the label requires no routine ECG
  - Tics, and risk factors for a manic episode
  - Abuse and diversion risk in patient and household
  - Ability to swallow a tablet whole; any GI stricture or bowel surgery
  - Baseline height, weight, blood pressure and heart rate

Drug Interactions

- **MAOIs** (phenelzine, tranylcypromine, selegiline, linezolid, methylene blue): hypertensive crisis. Contraindicated within 14 days.
- **Antihypertensives:** effectiveness reduced. Increase BP monitoring and adjust the antihypertensive.
- **Halogenated anesthetics** (sevoflurane, isoflurane, desflurane): intraoperative BP and HR surge. Hold on the day of surgery.
- **Risperidone:** EPS may increase when either dose changes in either direction. Monitor across any titration.
- **Serotonergic agents** (SSRIs, SNRIs, TCAs, triptans, tramadol): serotonin syndrome in postmarketing reports only, not in the interaction table. Counsel on symptoms.

Administration

- Once daily in the morning, with or without food.
- Swallow whole. Do not chew, divide or crush; there is no sprinkle option.
- If the child cannot swallow a tablet, use a bead capsule (Ritalin LA) or a liquid (Quillivant XR).
- The intact shell passes in the stool; warn the family before the first dose.
- Never substitute milligram-for-milligram; use the labeled conversion table and recheck response after any switch.
- Missed dose: skip it rather than giving it late in the day.
- Store locked; the 63 and 72 mg strengths are high-value diversion targets.

Side Effects

- **Common, pediatric 6 to 17 y:** upper abdominal pain, the only reaction above 5%; insomnia, nasopharyngitis, vomiting, pyrexia 2% to 3%.
- **Common, adults** (all above 5%): decreased appetite, headache, dry mouth, nausea, insomnia, anxiety, dizziness, weight loss, irritability, hyperhidrosis.
- **Serious:**
  - Sudden death with structural cardiac disease: avoid the drug in that population
  - New psychosis or mania, including with no psychiatric history: consider discontinuing
  - Priapism, sometimes surgical, typically after a dose increase and also during drug holidays
  - Peripheral vasculopathy and Raynaud's with digital ulceration: assess digits each visit
  - Long-term growth suppression; GI obstruction from the nondeformable tablet in pre-existing narrowing
  - Acute angle closure glaucoma; new or worsening tics and Tourette's
  - Postmarketing: convulsion, dyskinesia, serotonin syndrome, hypersensitivity reactions

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Monitoring & Labs

- **Cardiovascular:** BP and HR at baseline, at every dose change, and at least every 6 months.
- **Growth:** height, weight and BMI at baseline and every 6 months; failure to gain triggers interruption.
- **Appetite and sleep:** at every visit and dose change; the usual reasons titration stalls short of 72 mg.

- **Psychiatric and tics:** screen for psychosis, mania, aggression, depressed mood and tics at baseline and every visit.
- **Abuse and diversion:** at every refill, pill count, ask about sharing and selling, check the PDMP. A boxed-warning obligation.
- **Dose ceiling:** at every titration step in a 13 to 17 year old, recalculate 2 mg/kg/day. Under 36 kg the weight cap bites before the 72 mg cap.
- **Laboratory:** none is required by this label.

Discontinuation & Taper

- No taper required; the label gives no tapering schedule.
- Discontinue if no improvement after appropriate dose adjustment over one month.
- Withdrawal after prolonged use: dysphoria, fatigue, vivid dreams, sleep change, increased appetite.
- Priapism has occurred during drug holidays and on discontinuation.
- Drug holidays are reasonable where growth or appetite limits treatment; an interrupted day is fully unmedicated.

Pregnancy & Lactation

- **Pregnancy:** no drug-associated risk of major birth defects or miscarriage identified. Stimulant vasoconstriction may reduce placental perfusion. Background risk 2% to 4% and 15% to 20%. Weigh against untreated maternal ADHD.
- **Lactation:** infant dose 0.16% to 0.7% of the maternal weight-adjusted dose; undetectable in infant serum in every reported case, including 72 mg daily. Not a reason to stop breastfeeding. (LactMed 2025)
- **Lactation, milk supply:** prolactin falls; large doses may interfere before supply is established. Monitor the infant for agitation, anorexia and poor weight gain. (LactMed 2025)
- **Exposure Registry:** National Pregnancy Registry for ADHD Medications, 1-866-961-2388.

Counseling Points

- **Counsel the family on:**
  - Relexxii and Concerta not being the same tablet even where milligrams match; watch the first week after a substitution.
  - The 45, 63 and 72 mg strengths being the reason for this product: one tablet replaces two.
  - Seeing the intact shell in the stool; it is the spent pump, not a wasted dose.

    - Half a 45 mg tablet being a broken osmotic pump, not 22.5 mg.
    - Dosing at the same early hour daily; a late dose pushes the ascending peak into the evening.
    - Moving the largest meal to breakfast and the evening, when appetite returns.
    - Locked storage; the high strengths are the ones peers ask for.
- **Advise them to call for:**
    - Chest pain, fainting, or a racing heart that does not settle.
    - New hallucinations, or new suspicious or fearful thinking.
    - Numbness, coldness or colour change in fingers or toes.
    - A new or markedly worse tic.
    - A painful erection lasting more than a few hours; a surgical emergency.
    - Severe abdominal pain or vomiting, especially with any history of bowel narrowing.
    - Eye pain with blurred vision or halos around lights.
    - Clothes fitting more loosely, or no weight gain across a few months.

References

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