

LOFEXIDINE (Lucemyra) Fact Sheet

FDA Indications:
Opioid withdrawal.

Dosage Forms:
Tablets: 0.18 mg.

Dosage Guidance:

- Start three 0.18 mg tablets QID at 5- to 6-hour intervals during peak withdrawal symptoms (typically the first 5 to 7 days after last use of opioid). Withdrawal symptoms should be used clinically to guide gradual dose reduction.
- Maximum daily dose is 2.88 mg (16 tablets) and no single dose should exceed 0.72 mg (4 tablets).
- Discontinue over a 2- to 4-day period by gradually reducing in 1 tablet per dose increments every 1-2 days. Treatment course should be no more than 14 days.

Monitoring: Monitor blood pressure and pulse. Monitor ECG in patients with congestive heart failure, bradyarrhythmias, or patients at risk for QT prolongation.

Cost: \$\$\$\$\$

Side Effects:

- Most common: orthostatic hypotension, bradycardia, dizziness, somnolence, sedation, dry mouth.
- Serious but rare: syncope, QT interval prolongation.

Mechanism, Pharmacokinetics, and Drug Interactions:

- Centrally acting selective alpha 2-adrenergic receptor agonist
- Metabolized primarily by CYP450 2D6; t_{1/2}: 11-12 hours.
- Caution when used with CYP450 2D6 inhibitors (such as paroxetine) or in poor 2D6 metabolizers as there may be an increased risk for hypotension. Caution with other agents which may increase QT interval (eg methadone). Caution when used with CNS depressants (additive CNS depression).

Clinical Pearls:

- Analog of clonidine, another alpha 2-adrenergic agonist, available since 1992 in the U.K.
- Approved by the FDA in 2018 following two randomized, double-blind, placebo-controlled clinical trials of 866 adults with opioid dependence who were physically dependent on opioids and undergoing abrupt opioid discontinuation
- Lofexidine may lessen the severity of withdrawal symptoms, but may not completely prevent them.
- Dose taper and discontinuation can be guided by symptom triggered assessment such as the Short Opiate Withdrawal Scale of Gossop (SOWS-Gossop), a patient-rated instrument measuring opioid withdrawal symptoms including stomach cramps, muscle spasms/twitching, feeling of coldness, heart pounding, muscle tension, aches, pains, yawning, runny eyes, and insomnia or sleep problems.
- Lower dose if symptomatic hypotension or bradycardia occurs, and in patients with impaired hepatic or renal function.
- Not used for treatment of opioid use disorder.
- Some patients may experience markedly increased blood pressure when lofexidine is discontinued.
- Studied for alcohol withdrawal but not found to be effective.

Fun Fact:

Case reports support its use for hot flashes associated with menopause.

Bottom Line:

Some data indicate that lofexidine is more effective than clonidine for management of opioid withdrawal; however, given its much higher price tag we recommend you stick to clonidine.