**LORCASERIN**

### Therapeutics

**Brands** • Belviq, Belviq XR  
*see index for additional brand names*

**Generic?** No

**Class**
- Serotonin 2C agonist; weight management medication

#### Commonly Prescribed for
*(bold for FDA approved)*
- Chronic weight management (adjunct to reduced-calorie diet and increased physical activity) in adults with an initial BMI of at least 30 kg/m$^2$ (obese) or at least 27 kg/m$^2$ (overweight) in the presence of at least 1 weight-related comorbid condition

#### How the Drug Works
- Selectively activates serotonin 2C receptors on anorexigenic pro-opiomelanocortin neurons in the hypothalamus

#### How Long Until It Works
- Significant weight loss (at least 5%) is generally achieved by week 12

#### If It Works
- Patients may achieve 5–10% reduction from baseline in body weight

#### If It Doesn’t Work
- Discontinue if 5% weight loss is not achieved by week 12

#### Best Augmenting Combos for Partial Response or Treatment Resistance
- Lorcaserin itself should be administered in conjunction with reduced-calorie diet and increased physical activity
- Often best to try another strategy prior to resorting to augmentation

#### Tests
- Consider periodic monitoring of complete blood count during treatment with lorcaserin

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#### Measurement of blood glucose levels prior to starting lorcaserin and during lorcaserin treatment is recommended in patients with type 2 diabetes

### Side Effects

#### How Drug Causes Side Effects
- Serotonin 2C agonist actions in parts of the brain and body other than those that cause therapeutic actions
- Agonist actions at serotonin 2C receptors could theoretically diminish norepinephrine and dopamine neurotransmission in some brain areas

#### Notable Side Effects
- Nausea, constipation, dry mouth
- Fatigue, headache, dizziness
- Prolactin elevation

#### Life-Threatening or Dangerous Side Effects
- Hypoglycemia
- Rare priapism
- Rare serotonin syndrome
- Theoretical activation of suicidal ideation
- Theoretical risk of pulmonary hypertension

#### Weight Gain
- Reported but not expected

#### Sedation
- Reported but not expected

#### What to Do About Side Effects
- Wait
- Wait
- In a few weeks, switch to another agent

#### Best Augmenting Agents for Side Effects
- Often best to try another treatment prior to resorting to augmentation strategies to treat side effects
in patients with type 2 diabetes mellitus treated with insulin and/or insulin secretagogues, and medication adjustments may be necessary.

Do Not Use
- If patient is pregnant
- If patient is taking an agent that is a serotonin 2B agonist and known to increase the risk for cardiac valvulopathy (e.g., cabergoline)
- If there is a proven allergy to lorcaserin

Dosage and Use
Usual Dosage Range
- 20 mg/day

Dosage Forms
- Extended-release tablet 20 mg
- Tablet 10 mg

How to Dose
- 20 mg/day, in 1 dose (extended-release) or 2 doses (immediate-release)

Dosing Tips
- Can be taken with or without food
- No dose titration necessary

Overdose
- No overdoses have been reported
- Headache, nausea, dizziness, abdominal discomfort, euphoria, hallucination

Long-Term Use
- Has been evaluated in controlled studies up to 2 years

Habit Forming
- No
- Lorcaserin is a Schedule IV drug

How to Stop
- Taper not necessary

Pharmacokinetics
- Plasma half-life approximately 11 hours
- Inhibits CYP450 2D6

Drug Interactions
- Use extreme caution if administering lorcaserin with an agent that affects the serotonergic neurotransmitter system due to the potential risk of serotonin toxicity
- Via CYP450 2D6 inhibition, lorcaserin could increase plasma concentrations of drugs metabolized by CYP450 2D6 (e.g., desipramine), potentially requiring dose reduction of the substrate

Other Warnings/Precautions
- Lorcaserin has not been studied in combination with insulin; weight loss may increase the risk of hypoglycemia

SPECIAL POPULATIONS
Renal Impairment
- No dose adjustment necessary in patients with mild impairment
- Use with caution in patients with moderate impairment
- Not recommended in patients with severe impairment or end-stage renal disease

Hepatic Impairment
- No dose adjustment necessary in patients with mild to moderate impairment
- Use with caution in patients with severe impairment

Cardiac Impairment
- Not systematically evaluated in patients with cardiac impairment
- Use with caution in patients with congestive heart failure, bradycardia, or a history of heart block greater than first degree

Elderly
- Some patients may tolerate lower doses better

Children and Adolescents
- Safety and efficacy have not been established
- Not recommended for use in children or adolescents

Pregnancy
- Contraindicated in pregnancy
Breast Feeding
- Unknown if lorcaserin is secreted in human breast milk, but all psychotropics assumed to be secreted in breast milk
- Recommended to discontinue drug or bottle feed

Primary Target Symptoms
- Excess weight

Pearls
- In clinical studies patients who did not lose at least 5% of baseline body weight by week 12 were unlikely to achieve at least 5% weight loss at week 52
- Pooled analysis shows that the risk of FDA-defined valvulopathy with lorcaserin is generally low and not statistically significantly different from placebo; thus, the FDA has concluded that lorcaserin is unlikely to elevate the risk of valvulopathy
- Unknown whether lorcaserin can be used safely or effectively with atypical antipsychotic agents that may cause weight gain, some of which have serotonin 2C antagonist properties
- Could theoretically be effective in binge eating disorder

THE ART OF PSYCHOPHARMACOLOGY

Potential Advantages
- For some patients who have failed to respond to or tolerate other treatments for obesity
- For patients who do not wish to take an agent with higher controlled substance designation
- For patients who do not wish to take a stimulant or who have past stimulant abuse

Potential Disadvantages
- Patients taking serotonergic agents concomitantly

Suggested Reading

