

Medication Guide

Potential Uses & Benefits

- Increased lean muscle mass
- Optimized fat metabolism
- Improved exercise recovery and healing
- Better sleep quality
- Enhanced tissue repair
- Enhanced cognitive function

Dosage

Sermorelin 500 mcg / GHRP-2 500 mcg

Place ½ troche between gums and check twice daily before meals or at bedtime.

Pharmacology

Combines upstream GHRH signaling (sermorelin) with ghrelin receptor activation (GHRP2), enhancing **duration** and **amplitude** of GH pulses.

Mechanism of Action

GHRP-2 mimics ghrelin and acts as a potent agonist at the ghrelin/GHSR1a receptor, primarily found in the hypothalamus and pituitary. Binds to these receptors, activating phospholipase C, protein kinase C, and intracellular calcium pathways, triggering pulsatile GH release. GHRP-2 also enhances protein synthesis, cell proliferation, extracellular matrix formation, and may aid angiogenesis — collectively supporting tissue regeneration.

Sermorelin binds specifically to GHRH receptors (GHRHR) on somatotroph cells in the anterior pituitary gland. Activates G protein–coupled receptor signaling, stimulating adenylate cyclase and raising intracellular cAMP levels. Elevated cAMP leads to increased growth hormone (GH) gene transcription, synthesis, and pulsatile GH secretion. Preserves physiological GH feedback via somatostatin, preventing supraphysiologic GH levels typical of direct GH therapy.

Efficacy

Eight-Month Treatment in GH-Deficient Children Study: Mericq et al., *J Clin Endocrinol Metab.*, July 1998. Investigated the use of GHRP-2:

- Dose-dependent increase in overnight GH secretion
- Brief GH pulses; no sustained nocturnal levels
- IGFI and IGFBP3 levels remained unchanged
- Increased growth velocity during treatment
- No significant side effects or toxicity

In animal models data showed improved tendonbone healing and decreased proinflammatory M1 macrophage activity with GHRP2 administration.

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Drug Interactions

1. Somatostatin Analogues (e.g., octreotide, lanreotide)

These agents inhibit GH secretion and can significantly reduce the effectiveness of both sermorelin and GHRP2.

Clinical Action: Avoid concurrent use or closely monitor GH response

2. Glucocorticoids (e.g., prednisone, dexamethasone)

Glucocorticoids suppress endogenous GH release, which may blunt the therapeutic response to both peptides.

Clinical Action: Minimize or monitor use; consider dose adjustments

3. Insulin and Antidiabetic Agents

The GH release induced by both peptides may impair insulin sensitivity and alter glucose metabolism.

Clinical Action: Monitor glycemic control closely; adjust insulin or antidiabetic medications as needed

4. Psychotropic Medications (e.g., SSRIs, benzodiazepines)

These CNS-active agents may interact pharmacodynamically, potentially affecting mood, sleep, or other central functions during peptide therapy.

Clinical Action: Monitor for CNS-related effects and adjust treatment if necessary

Contraindications

Active malignancy
Pregnancy or breastfeeding
Untreated hypothyroidism
Brain trauma

Potential Adverse Effects

- Injection site reactions
- Headache
- Mild flushing
- Dizziness
- Increased appetite
- Water retention
- Joint discomfort

Patient Monitoring

IGF-1 levels
Thyroid function
HbA1C
Cortisol levels

Stability

Store at or below 77°F (25°C) room temperature. Excursions permitted between 59–86°F (15–30°C) refrigeration. Do not freeze.

References

1. BerlangaAcosta, Jorge, et al. "Synthetic Growth HormoneReleasing Peptides (GHRPs): A Historical Appraisal of the Evidence Supporting Their Cytoprotective Effects." Clinical Medicine Insights: Cardiology, vol. 11, 2017, pp. 1–9.
2. Gianturco, Stephanie L., et al. Sermorelin Acetate: Summary Report. UMB Digital Archive, University of Maryland Center of Excellence in Regulatory Science and Innovation (MCERSI); University of Maryland School of Pharmacy; Food and Drug Administration, Dec. 2020. Clinical Use of Bulk Drug Substances Nominated for Inclusion on the 503B Bulks List, grant no. 5U01FD005946.
3. Sermorelin Acetate: Indications, Side Effects, Warnings." Drugs.com, Wolters Kluwer, <https://www.drugs.com/cdi/sermorelin-acetate.html>. Accessed 27 Oct. 2025