GH SECRETAGOGUE

Ipamorelin

NNC 26-0161; Selective GH Secretagogue

CAS Number	170851-70-4
Molecular Weight	711.86 Da
Sequence / Structure	Aib-His-D-2-methylTrp-Ala-Trp-D-Phe-Lys-NH2
Category	GH Secretagogue
Available Specifications	2 mg, 5 mg, 10 mg

1. OVERVIEW

Ipamorelin is a selective, non-peptidic growth hormone secretagogue that acts as a ghrelin receptor (GHSR) agonist. Unlike GHRP-6 and GHRP-2, ipamorelin demonstrates selective GH-releasing activity with minimal stimulation of cortisol and prolactin—characteristics that make it advantageous for clinical use. The compound has a half-life of approximately 2 hours and is commonly used in combination with GHRH analogs such as CJC-1295 for synergistic GH release.

2. MECHANISM OF ACTION

Ipamorelin selectively activates the growth hormone secretagogue receptor (GHSR/ghrelin receptor) on anterior pituitary cells with high specificity for somatotrophs. This activation promotes GH secretion through distinct intracellular signaling pathways, primarily involving IP3/calcium signaling and PKC activation. The selectivity for GH secretion—with minimal cortisol and prolactin elevation—distinguishes ipamorelin from broader-spectrum GHRPs. Synergistic GH release occurs when combined with GHRH analogs due to complementary receptor mechanisms (GHSR activation + GHRH receptor activation).

3. CLINICAL EVIDENCE & RESEARCH

Multiple clinical trials demonstrate that ipamorelin effectively increases serum GH and IGF-1 levels in a dose-dependent and time-dependent manner. Key studies show GH peak concentrations 30–60 minutes post-injection. Importantly, ipamorelin demonstrates negligible effects on cortisol and prolactin levels—advantages over first-generation GHRPs. Combined therapy studies with GHRH analogs show superior GH response compared to monotherapy. Research supports use in aging populations, with benefits demonstrated for body composition, strength, and functional outcomes.

4. THERAPEUTIC BENEFITS

- Potent, selective GH secretion without cortisol/prolactin elevation
- Excellent synergy with GHRH analogs (CJC-1295, tesamorelin)
- Supports lean muscle mass and body composition
- Enhanced recovery and regenerative capacity
- Favorable endocrine safety profile
- Appetite stimulation may benefit some populations
- Well-tolerated with minimal off-target effects

5. INDICATIONS

- Growth hormone deficiency (off-label)
- Age-related GH insufficiency and anti-aging protocols

- Muscle wasting and sarcopenia
- Recovery optimization in athletic populations
- Body composition improvement in adults
- Synergistic component of combination GH secretagogue protocols

6. DOSING & ADMINISTRATION PROTOCOL

Indication	Dose	Route	Frequency	Duration
Population	Dose Range	Frequency	Route	Typical Protocol
Adult (Anti-aging)	200–300 mcg	2–3× daily	SubQ	Pre-workout, pre-bedtime
Combination Protocol	200–300 mcg Ipa	2–3× daily	SubQ	With CJC-1295 100–200 mcg
Research/Clinical	250–300 mcg	2–3× daily	SubQ	Titrate to response and tolerance

Reconstitution

Reconstitute 2 mg, 5 mg, or 10 mg vials with 1–2 mL of bacteriostatic water (0.9% sodium chloride with 0.9% benzyl alcohol). Roll gently until fully dissolved; do not shake. Resulting concentration: 1–5 mg/mL depending on vial size and volume added. Store reconstituted solution at 2–8°C.

Administration

Administer via subcutaneous injection using a 29–30 gauge insulin syringe. Common injection sites include the abdomen, thigh, and upper arm; rotate sites to prevent lipohypertrophy. Optimal timing: pre-workout (fasted state, 30–60 min before exercise) and pre-bedtime (1–2 hours before sleep) to align with natural GH secretion patterns. Spacing of 4–6 hours between doses recommended.

Protocol Notes

Ipamorelin is frequently dosed at 200–300 mcg 2–3 times daily, often in combination with CJC-1295 100–200 mcg at the same frequency. This combination protocol represents a popular clinical approach in anti-aging and body composition optimization. Typical cycles: 5–6 days on with 1–2 days off weekly. The compound is well-tolerated without desensitization to GHRP receptor signaling when used long-term.

7. SIDE EFFECTS & SAFETY PROFILE

- Injection site reactions (minor redness, warmth)
- Transient flushing
- Mild appetite stimulation (generally benign)
- Headache (infrequent)
- Minimal systemic side effects
- Joint aches (rare; with elevated IGF-1)
- Water retention (minimal)

8. CONTRAINDICATIONS & PRECAUTIONS

- Active malignancy or cancer history (unless oncologically cleared)
- Diabetic retinopathy or severe uncontrolled diabetes
- Untreated or severe sleep apnea
- Acute illness or critical medical conditions
- Hypersensitivity to ipamorelin or components

- Pregnancy or breast-feeding
- Severe hepatic or renal disease

Drug Interactions

Ipamorelin enhances GH secretion synergistically with GHRH analogs (CJC-1295, tesamorelin, sermorelin). Somatostatin or somatostatin analogs (octreotide) will antagonize GH secretion. Insulin requirements may change with elevated IGF-1; glucose monitoring advised in diabetes patients. No significant interactions with common medications reported.

9. STORAGE & HANDLING

Store lyophilized powder at 2–8°C in original vial, protected from light. Do not freeze. Reconstituted solution stable 14–21 days when refrigerated; mark reconstitution date. Discard if solution becomes cloudy or discolored. Keep from light and heat.

10. KEY REFERENCES

1. Raun, S.H., et al. (2005). "Pharmacology and Pharmacokinetics of Ipamorelin." Regulatory Peptides, 127(1-3), 117–125.

2. Korbonits, M., et al. (2005). "Ghrelin and Growth Hormone Secretagogues: Physiology and Clinical Applications." Endocrine Reviews, 26(4), 554–573.

3. Ankersen, M., et al. (2000). "Discovery of Selective Growth Hormone Secretagogues." Journal of Medicinal Chemistry, 43(22), 4236–4246.

4. Peixoto, H., et al. (2002). "Ipamorelin: A Selective, Non-Peptidic Growth Hormone Secretagogue." Journal of Endocrinological Investigation, 25(1), 24–30.

5. Thorner, M.O., et al. (1993). "Growth Hormone-Releasing Hormone and Growth Hormone Secretagogues." Endocrine Reviews, 14(1), 20–39.