TESAMORELIN

GH RELEASING HORMONE

MOLECULAR FORMULA $C_{221}H_{366}N_{72}O_{67}S$

MOLECULAR WEIGHT 5135.77

SEQUENCE Trans-hexenoyl-acid-Tyr-Ala-Asp-Ala-lle-Phe-Thr-Asn-Ser-Tyr-Arg-Lys-Val-Leu-Gly-Gln-Leu-Ser-Ala-Arg-Lys-Leu-LeuGln-Asp-lle-Met-Ser-Arg-Gln-Gln-Gly-Glu-Ser-Asn-Gln-Glu-Arg-Gly-Ala-Arg-Ala-Arg-Leu-NH2

PROTOCOL

CONTENT & POTENCY

Tesamorelin/Ipamorelin 6 mg/1.5 mg Lyophilized Kit (4 vials per kit) for subcutaneous injection.

SUGGESTED DOSAGE

Reconstitute each vial with 3 mL and inject 0.5 mL subcutaneously before bed 6 out of 7 nights 90 minutes after last food intake. One vial should be reconstituted at a time.

DESCRIPTION

Tesamorelin is a growth hormone releasing hormone analog that increases IGF-1 levels in men and women by an average of 181 micrograms/liter. It binds to and stimulates GHRH receptors with similar potency as endogenous GHRH. It has a host of other benefits including nootropic effects and reducing triglycerides.

Tesamorelin has subsequently been shown to decrease carotid intima-media thickness (cIMT), visceral adipose tissue (VAT), and c-reactive protein (CRP). It has not been linked to significantly affect other pituitary hormones and their respective mechanisms in the body. Additionally, it may improve cognitive function for healthy seniors and patients with an increased risk of Alzheimer's disease, due to mild cognitive impairment.

Stanley T, Chen C, Branch K, et. al. Effects of a Growth Hormone-Releasing Hormone Analog on Endogenous GH Pulsatility and Insulin Sensitivity in Healthy Men. J. Clin Endocrinol Metab, January 2011, 96(1):150-158

Makimura H, Feldpausch M, Rope A, et. al. Metabolic Effects of a Growth Hormone-Releasing Factor in Obese Subjects with Reduced Growth Hormone Secretion: A Randomized Controlled Trial. J. Clin Endocrinol Metab, December 2012, 97(12):4769-4779

CLINICAL RESEARCH

Effects of a Growth Hormone-Releasing Hormone Analog on Endogenous GH Pulsatility & Insulin Sensitivity in Healthy Man.

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Background: Strategies to augment pulsatile GH may be beneficial in patients with excess visceral adiposity, in whom GH secretion is reduced. The objective of this study was to determine the effects of a novel GHRH (GHRH1 – 44) analog, tesamorelin, on endogenous GH pulsatility and insulin sensitivity in healthy men.

Methods: Thirteen males (mean age 45 ± 3 yr and body mass index 27.3 ± 1.2 kg/m2) received tesamorelin 2 mg sc once daily for 2 wk, with assessment made at baseline, after 2 wk of treatment, and after 2 wk of withdrawal. The primary end point was change in mean overnight GH as determined by overnight frequent sampling. Secondary end points included insulin-stimulated glucose uptake as measured by euglycemic hyperinsulinemic clamp; IGF-I; and GH secretion parameters, including pulse area, pulse frequency, and basal secretion.

Results: Tesamorelin treatment increased mean overnight GH (change +0.5 ± 0.1 μ,g/liter, P = 0.004), average log10 GH peak area (change +0.4 ± 0.1 log10 μ,g/liter, P = 0.001), and basal GH secretion (change +0.008 ± 0.003 μ,g/liter · min, P = 0.008). IGF-I increased by 181 ± 22 μ,g/liter (P < 0.0001). Neither fasting glucose (P = 0.93) nor insulin-stimulated glucose uptake (P+ 0.61) was significantly affected by tesamorelin.

Conclusion: Once-daily short-term treatment with a GHRH1– 44 analog, tesamorelin, augments basal and pulsatile GH secretion. Moreover, although tesamorelin significantly increases IGF-I, peripheral insulin-stimulated glucose uptake appears to be preserved. (J Clin Endocrinol Metab 96: 150 –158, 2011).

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