PT-141

Purity: >98% (HPLC on request) | Molecular Formula: C50H68N14010
Molecular Weight: 1025.2 | Sequence: Ac-Nle-cyclo[Asp-His-D-Phe-Arg-Trp-Lys]-OH

DESCRIPTION:

Bremelanotide (PT-141) was developed from the peptide hormone Melanotan II. In initial testing, Melanotan II induced darkening of skin pigment, but additionally caused sexual arousal and spontaneous erections as unexpected side effects in nine out of the ten original male volunteer test subjects. Further testing in animals showed Bremelanotide to induce lordosis (a sexual mating behavior) and subsequently tested for its effect in humans. Although, most of the research has been targeted to women with female sexual dysfunction an it is effective medication in treating sexual dysfunction in both men (erectile dysfunction or impotence) and women (sexual arousal disorder).

Unlike Viagra and other related medications, it does not act upon the vascular system, but directly increases sexual desire via the nervous system. It is estimated that 43% of women (30 million is the US) suffer from sexual dysfunction and 30 million men suffer from ED, with incidence increasing 2-3 fold between ages 40-70. Bremelanotide currently has no contraindications and is 80% effective in men don't respond to Viagra or Cialis. For women, it causes a 50% increase in sexually satisfying experiences. If FDA approved, it will have the trade name Ayleesi.

PROTOCOL:

Content & Potency: Injectable: Provided as a 10mg lyophilized vial/ Nasal spray: 2.5mg/0.1ml/spray in nasal spray provided as a 6 ml bottle

Vial reconstitution: 1ml sterile water for injection

Suggested dosage: Injectable: Inject 1-2mg (0.1-0.2ml or 10-20units) subcutaneously up to 3 times per week 1-2 hours prior to desired effect. The initial dose will establish a time frame for response. Men should start at 1mg and women should start at 2mg titrate up to 4mg (not exceed 4mg)/ Nasal spray: 1-2 sprays in each nostril 1-3 hours prior to desired effect

CLINICAL RESEARCH:

Melanocortins in the treatment of male and female sexual dysfunction.

Melanocortinergic agents are currently being investigated for a possible therapeutic role in male and female sexual dysfunction. These investigations were sparked by findings that systemic administration of a synthetic analog of alpha-MSH, MT-II, causes penile erections in a variety of species, including humans. Several other melanocortinergic agents including HP-228, THIQ, and bremelanotide (PT 141) have since been shown to have erectogenic properties thought to be due to binding to melanocortin receptors in the central nervous system, particularly the hypothalamus. Bremelanotide, a nasally administered synthetic peptide, is the only melanocortinergic agent that has been clinically studied in both males and females. Data from Phase II clinical trials of bremelanotide support the use of melanocortin based therapy for erectile dysfunction. Studies using animal models have demonstrated that pre-copulatory behaviors in female rats analogous to sexual arousal are evoked, and preliminary clinical data also suggest a role in promoting sexual desire and arousal in women. Based on bremelanotide clinical experience, administration of a melanocortin agonist is well tolerated and not associated the hypotension observed with phosphodiesterase-5 inhibitors currently used to treat erectile dysfunction. This review discusses investigations of melanocortin agonists for the treatment of sexual dysfunction with emphasis on proposed sites and mechanisms of action in the central nervous system that appear to be involved in melanocortinergic modulation of sexual function.

Shadiack, Annette & D Sharma , Shubh & C Earle, Dennis & Spana, Carl & J H allam, Trevor. (2007). Melanocortin s in the Treatment of Male and female Sexual Dysfunction. Current topics in medicinal chemistry. 7. 1137-44.