

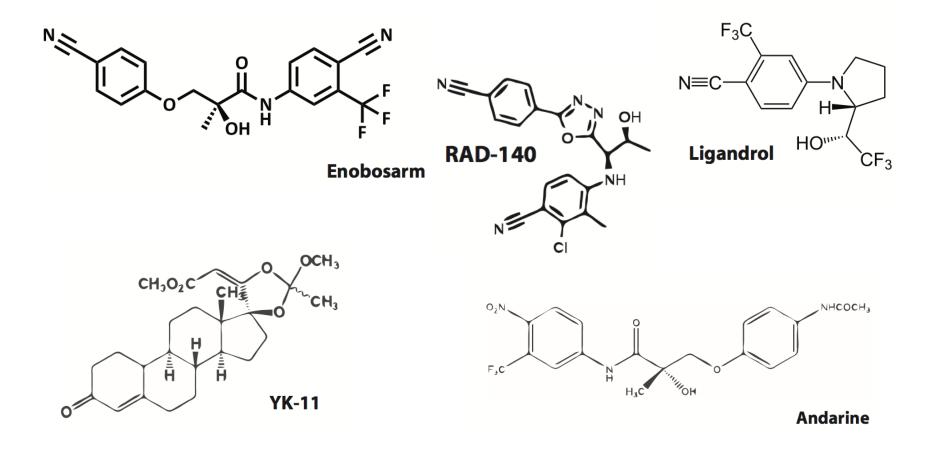
by William Llewellyn (Liverpool John Moores University, 13 October 2017) SARMs, Peptides, and Other Emerging Drugs.

#### "The only thing that is constant is change."

— Heraclitus



#### Selective Androgen Receptor Modulators





#### Better than Steroids.

#### All the gains, without side effects.

## SARMs (Basic Facts)

- Not Structurally related to Testosterone
- Bind Same Receptor (Androgen Receptor)
- Anabolic towards Muscle/Bone
- Reduced relative and rogenicity
- Many of the same Potential applications
- Many of the same Side Effects

## **Potential Medical Applications**

- I Hypogonadism
- Osteoporosis
- 2 Anemia
- Anti-Wasting
- Breast Cancer
- Prostate Cancer

## Side Effects of SARMs & Steroids

#### Cardiovascular

- Cholesterol (HDL/LDL ratio)
- Ventricular hypertrophy
- Blood pressure

#### Reproductive

- Infertility
- Sexual dysfunction
- Hypogonadism (men)
- Menstrual irregularities (women)

#### Liver Toxicity

#### Cosmetic

- Acne
- Hair loss (androgenetic alopecia)
- Gynecomastia
- Water or fat retention

#### Psychological

#### Virilization

Prostate (hypertrophy)

## SARMs: A Crude Comparison

Opiate: A class of drugs that are derived from opium.

Opioid: A class of drugs that mimic opium, but are not related to it structurally.

SARMs = the Opioids of Anabolic Steroids

## Ostarine (enobosarm)

#### Two dozen clinical trials

- Did not meet endpoints for cancer wasting
- Studies ongoing for other applications
- May be first SARM to be approved

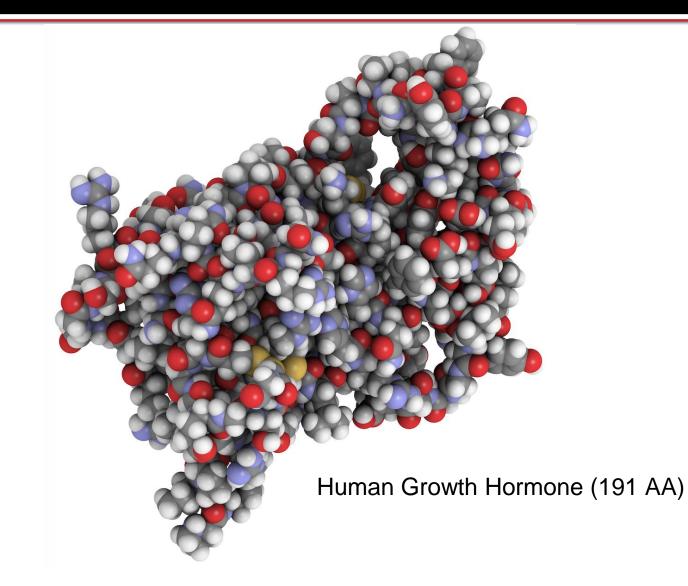


#### **Better than Steroids?**

All the gains?

No side effects?

## Peptides



## Peptides: GH Secretagogues

- Drugs that increase the secretion of growth hormone
- Two families of compounds
- GHRH: Growth Hormone Releasing Hormone
- □ GHRP: GH Releasing Peptides (Ghrelin)

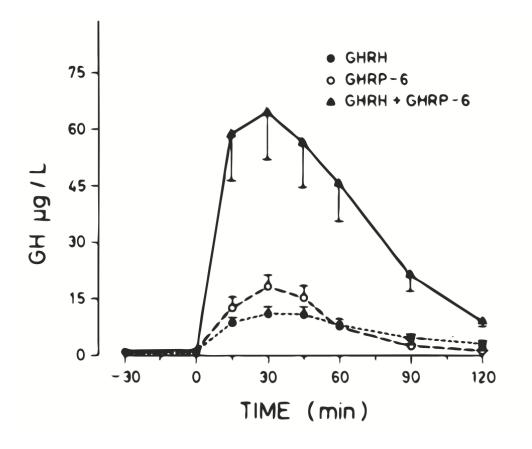
## Peptides: GH Secretagogues

GHRH: GHRP: CJC-1295 GHRP-2 Tesamorelin, Egrifta® GHRP-6 Mod GRF 1-29 Hexarelin

Ibutamoren (oral) Ipamorelin

## Peptides: GH Secretagogues

#### Combination use highly common



#### **GH Secretagogues: Side Effects**

GH-Related: Impaired glucose tolerance/elevated blood sugar, water retention, joint pain, carpal tunnel syndrome, numbness or tingling in the extremities.

GHRPs: Sleepiness, Hunger, Increased GI Motility

## Peptides: HGH Fragment 176-191

- 16 Terminal amino-acids of HGH peptide
- No anabolic effect
- May retain lipolytic effect of HGH (fat loss only)
- Clinical research seems to have stalled

## Peptides: MGF and Myostatin

- Mechano Growth Factor: Splice variant of IGF-1
- Myostatin negative regulator of muscle growth
- Mechanisms/stories are compelling
- Development in both areas VERY early
- No approved drugs. No clinical trials.
- Viability of these agents as drugs uncertain

#### Cardarine (GW-501516)



## Cardarine: (GW 501516)

- PPARD (Peroxisome Proliferator-Activated Receptor Delta) agonist.
- Enhances Fat Oxidation
- Exercise Mimetic: Remodels Muscle Fiber Type (Slow Twitch)
- Improves Endurance
- Improves Lipids
- Failed Safety Study. Possible Carcinogen.

## Cardarine: (GW 501516)

- Subject to Phase I and II Clinical Studies
- 2.5, 5, 10 mg daily
- Early human studies appeared successful
- Animal toxicology studies: Disaster
- Tumors: liver, bladder, thyroid, tongue, stomach, skin, testes, eyes, uterus
- Carcinogen at all doses tested. 5 mg/kg per day in rats.
- Rough equivalent is 65 mg per day for 80 kg human. NOT 400 mg!

# WADA issues alert on GW501516

It has come to WADA's attention that the black market substance GW501516 is being sold to and used by some athletes.

The side effect of this chemical compound is so serious that WADA is taking the rare step of warning "cheats" to ensure that there is complete awareness of the possible health risks to athletes who succumb to the temptation of using GW501516 for performance enhancement.

GW501516 was a developmental drug that was withdrawn from research by the pharmaceutical company and terminated when serious toxicities were discovered in **pre-clinical studies** (please see page 185, abstracts 895 & 896).

## Why So Many New Drugs?

# The illicit supply for performance drugs has changed DRASTICALLY since 2000.

Dealers can go directly to the labs. Diversion unnecessary.

Anyone with money can order research compounds.

### Contact Info:

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