

RESEARCH MONOGRAPH . COMPOUND PROFILE

Ostarine (MK-2866)

A selective androgen receptor modulator developed for cachexia and muscle wasting; the prototype SARM and the most thoroughly characterized in human trials.

DOCUMENT	KDC-MN-261
ISSUED	2026-05-09
REVISION	1.0
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COMPOUND CLASS	Selective androgen receptor modulator (non-steroidal)

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ABSTRACT

Ostarine (Enobosarm, MK-2866, GTx-024; CAS 841205-47-8; molecular formula $C_{19}H_{14}F_3N_3O_3$; molecular weight 389.33) is a selective androgen receptor modulator (SARM) developed at GTx Inc. (later licensed to Merck). The compound is a non-steroidal aryl propionamide that binds the androgen receptor (AR) with tissue-selective agonism: full agonist activity in skeletal muscle and bone, partial or absent activity in prostate and sebaceous glands. The selectivity arises from tissue-specific differences in AR coactivator and corepressor expression and from differential conformational induction by non-steroidal versus steroidal ligands. Phase 2 trials in cancer cachexia and stress urinary incontinence demonstrated lean body mass gains and bone density improvements, but a definitive phase 3 trial in cancer cachexia (POWER) failed to meet primary endpoints in 2013. The compound retains significant academic interest as a SARM prototype and is widely used recreationally (banned by WADA in sport) despite no regulatory approval. Plasma half-life is approximately 24 hours; oral bioavailability is high. The principal safety concerns are hepatic enzyme elevation and HPG axis suppression at supratherapeutic doses.

1. Compound Identification

Ostarine (MK-2866) is described as selective androgen receptor modulator (non-steroidal). A selective androgen receptor modulator developed for cachexia and muscle wasting; the prototype SARM and the most thoroughly characterized in human trials.

1.1 Class summary

Selective androgen receptor modulator (non-steroidal)

1.2 Subtitle

A selective androgen receptor modulator developed for cachexia and muscle wasting; the prototype SARM and the most thoroughly characterized in human trials.

2. Abstract

Ostarine (Enobosarm, MK-2866, GTx-024; CAS 841205-47-8; molecular formula C₁₉H₁₄F₃N₃O₃; molecular weight 389.33) is a selective androgen receptor modulator (SARM) developed at GTx Inc. (later licensed to Merck). The compound is a non-steroidal aryl propionamide that binds the androgen receptor (AR) with tissue-selective agonism: full agonist activity in skeletal muscle and bone, partial or absent activity in prostate and sebaceous glands. The selectivity arises from tissue-specific differences in AR coactivator and corepressor expression and from differential conformational induction by non-steroidal versus steroidal ligands. Phase 2 trials in cancer cachexia and stress urinary incontinence demonstrated lean body mass gains and bone density improvements, but a definitive phase 3 trial in cancer cachexia (POWER) failed to meet primary endpoints in 2013. The compound retains significant academic interest as a SARM prototype and is widely used recreationally (banned by WADA in sport) despite no regulatory approval. Plasma half-life is approximately 24 hours; oral bioavailability is high. The principal safety concerns are hepatic enzyme elevation and HPG axis suppression at supratherapeutic doses.

3. Mechanism of Action

Non-steroidal SARM with tissue-selective AR agonism (full agonist in muscle/bone; weak in prostate/sebaceous glands). Mechanism via differential conformation and coactivator recruitment.

The mechanistic profile described above represents the consensus position from peer-reviewed literature where available; for compounds with sparse formal characterization, this section reflects vendor-grade reports and structurally-related class pharmacology and should be interpreted with appropriate caution.

4. Pharmacokinetics and Routes of Administration

Pharmacokinetic data are summarized within the abstract for compounds with formal Phase 1 records. Compounds without published human pharmacokinetic studies are noted as such; investigators should treat the absence of data as a research limitation.

5. Reported Research Dose Ranges

Trial doses 1 to 3 mg per oral administration daily; recreational use commonly 10 to 25 mg.

Doses are scaled from clinical use where the compound is approved as a medicine, from Phase 2/3 trials where development advanced, or from rodent dose-response data scaled by allometric assumptions where the compound has no human clinical record. Investigators should treat dose ranges from research-chemical-grade vendors as starting points for their own pharmacokinetic and tolerability characterization rather than validated dosing recommendations.

6. Sourcing and Quality Verification

Investigators should obtain analytical confirmation of identity (mass spectrometry; for peptides, additionally amino acid analysis or N-terminal sequencing) and purity (HPLC area-percent, ideally greater than 95 percent) on every lot. Vendor certificates of analysis vary in quality; third-party analytical confirmation through independent laboratories (e.g., Janoshik Analytical, Anabolic Lab) is the gold standard for research-grade peptides and small molecules.

For compounds with established pharmaceutical-grade marketing in any jurisdiction, sourcing from the marketed product (where legal and accessible) provides the highest quality assurance.

7. Reconstitution and Handling

Peptides should be reconstituted in bacteriostatic water or sterile water for injection in the volume appropriate to the desired concentration; lyophilized peptides should be allowed to come to room temperature before reconstitution to minimize precipitation. Reconstituted peptides should be stored refrigerated and used within the timeframe specified by the manufacturer (typically 14 to 30 days for bacteriostatic water reconstitutions, shorter for sterile water).

Small molecules: storage conditions vary by compound; consult the certificate of analysis for the specific product. Most are stable at room temperature in dry, dark conditions; oxidation-sensitive compounds (e.g., catecholamine precursors) require cool storage and protection from light.

8. Stack Interaction Considerations

Investigators combining this compound with other research substances should consider receptor-level interactions (additive effects at shared targets), enzyme-level interactions (CYP-mediated drug-drug interactions where applicable), and pharmacodynamic interactions (additive sedation, additive serotonergic activity, additive hemodynamic effects).

The stack interaction section in published monographs of well-characterized compounds is necessarily incomplete for any specific combination; investigators should treat novel combinations with elevated caution and titrate gradually.

9. References

1. Dalton JT, et al. The selective androgen receptor modulator GTx-024 (enobosarm) improves lean body mass and physical function in healthy elderly men and postmenopausal women. J Cachexia Sarcopenia Muscle 2011.
2. Crawford J, et al. Study design and rationale for the phase 3 clinical development program of enobosarm. Expert Opin Investig Drugs 2017.
3. Mohler ML, et al. Nonsteroidal selective androgen receptor modulators (SARMs). J Med Chem 2009.

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