

RESEARCH MONOGRAPH . COMPOUND PROFILE

Testolone (RAD-140)

A potent non-steroidal SARM developed for muscle wasting and breast cancer; one of the highest-potency SARMs by binding affinity.

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COMPOUND CLASS	Selective androgen receptor modulator (high-potency)

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ABSTRACT

Testolone (RAD-140; CAS 1182367-47-0; molecular formula C₂₀H₁₆ClN₅O₂; molecular weight 393.83) is a non-steroidal SARM developed by Radius Health for muscle wasting and (subsequently) AR-positive metastatic breast cancer. The compound is a high-potency AR ligand (K_i approximately 7 nM with high intrinsic activity) and a tissue-selective agonist; preclinical studies demonstrated anabolic activity in skeletal muscle exceeding that of testosterone propionate at equivalent molar doses, with reduced prostate effects. Phase 1 trials in postmenopausal women with metastatic breast cancer demonstrated dose-dependent AR pathway engagement; the program was advanced into phase 2. The compound is among the most widely used SARMs recreationally despite no regulatory approval. Plasma half-life is approximately 60 hours, supporting once-daily dosing. The principal safety concerns are HPG axis suppression and hepatic enzyme elevation at supratherapeutic doses; long-term safety data are absent.

1. 1. Compound Identification

Testolone (RAD-140) is described as selective androgen receptor modulator (high-potency). A potent non-steroidal SARM developed for muscle wasting and breast cancer; one of the highest-potency SARMS by binding affinity.

1.1. 1.1 Class summary

Selective androgen receptor modulator (high-potency)

1.2. 1.2 Subtitle

A potent non-steroidal SARM developed for muscle wasting and breast cancer; one of the highest-potency SARMS by binding affinity.

2. Abstract

Testolone (RAD-140; CAS 1182367-47-0; molecular formula C₂₀H₁₆ClN₅O₂; molecular weight 393.83) is a non-steroidal SARM developed by Radius Health for muscle wasting and (subsequently) AR-positive metastatic breast cancer. The compound is a high-potency AR ligand (K_i approximately 7 nM with high intrinsic activity) and a tissue-selective agonist; preclinical studies demonstrated anabolic activity in skeletal muscle exceeding that of testosterone propionate at equivalent molar doses, with reduced prostate effects. Phase 1 trials in postmenopausal women with metastatic breast cancer demonstrated dose-dependent AR pathway engagement; the program was advanced into phase 2. The compound is among the most widely used SARMs recreationally despite no regulatory approval. Plasma half-life is approximately 60 hours, supporting once-daily dosing. The principal safety concerns are HPG axis suppression and hepatic enzyme elevation at supratherapeutic doses; long-term safety data are absent.

3. Mechanism of Action

Non-steroidal SARM with high anabolic potency exceeding testosterone in preclinical models. Tissue-selective AR agonism.

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. 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. 5. Reported Research Dose Ranges

Trial doses 0.1 to 0.3 mg/kg per oral administration daily; recreational use 10 to 30 mg daily.

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. 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. 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. 9. References

1. Miller CP, et al. Design, synthesis, and preclinical characterization of RAD140, a selective androgen receptor modulator. ACS Med Chem Lett 2010.
2. Jayaram BM, et al. RAD140 is neuroprotective and reduces neuropathology in transgenic mouse models of Alzheimer's disease. PLoS ONE 2017.
3. Solomon ZJ, et al. Selective androgen receptor modulators: current knowledge and clinical applications. Sex Med Rev 2019.

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