

RESEARCH MONOGRAPH . COMPOUND PROFILE

Ligandrol (LGD-4033)

A non-steroidal SARM developed for muscle wasting; one of the more potent SARMs at AR binding.

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COMPOUND CLASS	Selective androgen receptor modulator

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ABSTRACT

Ligandrol (LGD-4033, VK5211; CAS 1165910-22-4; molecular formula $C_{14}H_{12}F_6N_2O$; molecular weight 338.25) is a non-steroidal SARM developed by Ligand Pharmaceuticals (later out-licensed to Viking Therapeutics as VK5211). The compound is a high-affinity AR ligand (K_i approximately 1 nM, several-fold higher affinity than ostarine) with tissue-selective agonism similar to other SARMs. Phase 1 trials in healthy male volunteers demonstrated dose-dependent increases in lean body mass at doses of 0.1 to 1 mg daily over 21 days, with no significant prostate effects but with HPG axis suppression at the higher dose tier. Subsequent phase 2 development (VK5211) targeted hip fracture recovery; results have been intermittent. Plasma half-life is approximately 24 to 36 hours. The compound is widely used recreationally at supratherapeutic doses (5 to 10 mg) and is banned by WADA. Used as the canonical high-affinity SARM in mechanism studies.

1. Compound Identification

Ligandrol (LGD-4033) is described as selective androgen receptor modulator. A non-steroidal SARM developed for muscle wasting; one of the more potent SARMs at AR binding.

1.1 Class summary

Selective androgen receptor modulator

1.2 Subtitle

A non-steroidal SARM developed for muscle wasting; one of the more potent SARMs at AR binding.

2. Abstract

Ligandrol (LGD-4033, VK5211; CAS 1165910-22-4; molecular formula C₁₄H₁₂F₆N₂O; molecular weight 338.25) is a non-steroidal SARM developed by Ligand Pharmaceuticals (later out-licensed to Viking Therapeutics as VK5211). The compound is a high-affinity AR ligand (K_i approximately 1 nM, several-fold higher affinity than ostarine) with tissue-selective agonism similar to other SARMs. Phase 1 trials in healthy male volunteers demonstrated dose-dependent increases in lean body mass at doses of 0.1 to 1 mg daily over 21 days, with no significant prostate effects but with HPG axis suppression at the higher dose tier. Subsequent phase 2 development (VK5211) targeted hip fracture recovery; results have been intermittent. Plasma half-life is approximately 24 to 36 hours. The compound is widely used recreationally at suprathreshold doses (5 to 10 mg) and is banned by WADA. Used as the canonical high-affinity SARM in mechanism studies.

3. Mechanism of Action

Non-steroidal SARM with high AR affinity (~1 nM); tissue-selective anabolic activity.

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 0.1 to 1 mg per oral administration daily; recreational use 5 to 10 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. 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. Basaria S, et al. The safety, pharmacokinetics, and effects of LGD-4033, a novel nonsteroidal oral, selective androgen receptor modulator, in healthy young men. J Gerontol A Biol Sci Med Sci 2013.
2. Bhasin S, et al. SARM development for cachexia and frailty. Expert Opin Investig Drugs 2014.
3. Solomon ZJ, et al. Selective androgen receptor modulators: current knowledge and clinical applications. Sex Med Rev 2019.

This monograph is provided for research use only. Not for medical, diagnostic, or therapeutic purposes. Not for human consumption. Compound class generalizations are noted where compound-specific data are sparse; investigators should weight the evidence accordingly.