

RESEARCH MONOGRAPH . COMPOUND PROFILE

Armodafinil

The (R)-enantiomer of modafinil with extended duration of action and greater wakefulness-promoting potency per milligram.

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RESEARCH USE ONLY

ABSTRACT

Armodafinil (CAS 112111-43-0; molecular formula C₁₅H₁₅NO₂S; molecular weight 273.35) is the (R)-enantiomer of modafinil, marketed under the trade name Nuvigil and approved by the FDA in 2007 for the same indications as racemic modafinil. The (R)-enantiomer carries the majority of the wakefulness-promoting activity of the racemate; the (S)-enantiomer contributes a smaller fraction of the eugeroic effect and metabolizes more rapidly. Pharmacokinetics differ from racemic modafinil principally in elimination: the plasma half-life of armodafinil is 12 to 15 hours, similar to the (R)-enantiomer in racemic modafinil dosing, but absent the early peak from rapid (S)-enantiomer clearance. The result is a flatter plasma concentration curve, with sustained alertness later into the day at lower per-milligram doses. Approved doses are 150 or 250 mg once daily in the morning. Mechanism of action mirrors that of modafinil: weak dopamine transporter binding with downstream orexinergic, histaminergic, and glutamatergic effects. The cognitive enhancement evidence base in healthy individuals overlaps with that of modafinil; the effect sizes are modest and most consistent in sleep-deprived subjects. Schedule IV in the United States. Adverse events parallel those of modafinil; rare DRESS and Stevens-Johnson syndrome cases are documented. Drug interactions through CYP3A4 induction are clinically relevant for hormonal contraception and immunosuppressants.

1. Compound Identification

Armodafinil is described as eugeroic / wakefulness-promoting agent (r-enantiomer). The (R)-enantiomer of modafinil with extended duration of action and greater wakefulness-promoting potency per milligram.

1.1 Class summary

Eugeroic / wakefulness-promoting agent (R-enantiomer)

1.2 Subtitle

The (R)-enantiomer of modafinil with extended duration of action and greater wakefulness-promoting potency per milligram.

2. Abstract

Armodafinil (CAS 112111-43-0; molecular formula C₁₅H₁₅NO₂S; molecular weight 273.35) is the (R)-enantiomer of modafinil, marketed under the trade name Nuvigil and approved by the FDA in 2007 for the same indications as racemic modafinil. The (R)-enantiomer carries the majority of the wakefulness-promoting activity of the racemate; the (S)-enantiomer contributes a smaller fraction of the eugeroic effect and metabolizes more rapidly. Pharmacokinetics differ from racemic modafinil principally in elimination: the plasma half-life of armodafinil is 12 to 15 hours, similar to the (R)-enantiomer in racemic modafinil dosing, but absent the early peak from rapid (S)-enantiomer clearance. The result is a flatter plasma concentration curve, with sustained alertness later into the day at lower per-milligram doses. Approved doses are 150 or 250 mg once daily in the morning. Mechanism of action mirrors that of modafinil: weak dopamine transporter binding with downstream orexinergic, histaminergic, and glutamatergic effects. The cognitive enhancement evidence base in healthy individuals overlaps with that of modafinil; the effect sizes are modest and most consistent in sleep-deprived subjects. Schedule IV in the United States. Adverse events parallel those of modafinil; rare DRESS and Stevens-Johnson syndrome cases are documented. Drug interactions through CYP3A4 induction are clinically relevant for hormonal contraception and immunosuppressants.

3. Mechanism of Action

Same as modafinil; the (R)-enantiomer is the more potent component of the racemate.

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

150 to 250 mg once daily in clinical use; bioequivalent to approximately 200 to 400 mg of racemic modafinil for wakefulness endpoints.

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. Darwish M, et al. Armodafinil and modafinil have substantially different pharmacokinetic profiles despite having the same terminal half-lives. Clin Drug Investig 2009.
2. Harsh JR, et al. The efficacy and safety of armodafinil as treatment for adults with excessive sleepiness associated with narcolepsy. Curr Med Res Opin 2006.
3. Bogan RK. Armodafinil in the treatment of excessive sleepiness. Expert Opin Pharmacother 2010.

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