

RESEARCH MONOGRAPH . COMPOUND PROFILE

Toremifene

A chlorinated triphenylethylene SERM analog of tamoxifen; alternative SERM in postmenopausal breast cancer.

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COMPOUND CLASS	Triphenylethylene SERM (tamoxifen analog)

ABSTRACT

Toremifene (2-[4-[(Z)-4-chloro-1,2-diphenyl-1-buten-1-yl]phenoxy]-N,N-dimethylethanamine; CAS 89778-26-7; molecular formula C₂₆H₂₈ClNO; molecular weight 405.96) is a triphenylethylene SERM developed at Orion Pharma (Finland) and approved by the FDA in 1997 under the trade name Fareston. The compound is a chlorinated analog of tamoxifen, sharing the triphenylethylene scaffold and tissue-selective ER modulation profile. Pharmacokinetic differences from tamoxifen include reduced CYP3A4-dependent metabolism (decreasing some drug-drug interaction potential) and a different metabolite spectrum (notably absent the highly active endoxifen analog of tamoxifen). Approved for metastatic breast cancer in postmenopausal women with ER-positive or ER-unknown tumors. Off-label PCT use in athletes is documented. Plasma half-life is approximately 5 days. Adverse events parallel tamoxifen including thromboembolism and hot flashes. Used as a tamoxifen alternative in clinical and research contexts.

1. 1. Compound Identification

Toremifene is described as triphenylethylene serm (tamoxifen analog). A chlorinated triphenylethylene SERM analog of tamoxifen; alternative SERM in postmenopausal breast cancer.

1.1. 1.1 Class summary

Triphenylethylene SERM (tamoxifen analog)

1.2. 1.2 Subtitle

A chlorinated triphenylethylene SERM analog of tamoxifen; alternative SERM in postmenopausal breast cancer.

2. Abstract

Toremifene (2-[4-[(Z)-4-chloro-1,2-diphenyl-1-buten-1-yl]phenoxy]-N,N-dimethylethanamine; CAS 89778-26-7; molecular formula C₂₆H₂₈ClNO; molecular weight 405.96) is a triphenylethylene SERM developed at Orion Pharma (Finland) and approved by the FDA in 1997 under the trade name Fareston. The compound is a chlorinated analog of tamoxifen, sharing the triphenylethylene scaffold and tissue-selective ER modulation profile. Pharmacokinetic differences from tamoxifen include reduced CYP3A4-dependent metabolism (decreasing some drug-drug interaction potential) and a different metabolite spectrum (notably absent the highly active endoxifen analog of tamoxifen). Approved for metastatic breast cancer in postmenopausal women with ER-positive or ER-unknown tumors. Off-label PCT use in athletes is documented. Plasma half-life is approximately 5 days. Adverse events parallel tamoxifen including thromboembolism and hot flashes. Used as a tamoxifen alternative in clinical and research contexts.

3. Mechanism of Action

Triphenylethylene SERM with tissue-selective ER modulation. Reduced CYP3A4 metabolism compared to tamoxifen; different metabolite spectrum.

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

Clinical 60 mg per oral administration daily for breast cancer. Rodent studies 1 to 10 mg/kg/day.

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. Pyrhonen S, et al. Comparison of toremifene and tamoxifen in postmenopausal patients with advanced breast cancer. Br J Cancer 1997.
2. Ellmen J, Hakulinen P, et al. Toremifene: pharmacology and clinical experience. Cancer Treat Rev 1995.
3. Jain S, et al. Toremifene in breast cancer: a systematic review. Drug Discov Today 2014.

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