

RESEARCH MONOGRAPH . COMPOUND PROFILE

Brexanolone

*Synthetic allopregnanolone formulated for
IV administration, FDA-approved as
Zulresso for postpartum depression.*

DOCUMENT	KDC-MN-161
ISSUED	2026-05-09
REVISION	1.0
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COMPOUND CLASS	Synthetic allopregnanolone (IV)

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ABSTRACT

Brexanolone (Zulresso; SAGE-547; CAS 516-54-1, same as endogenous allopregnanolone) is a synthetic preparation of allopregnanolone formulated for 60-hour intravenous infusion, developed by Sage Therapeutics and approved by the FDA in 2019 for postpartum depression. The compound is identical in structure to endogenous allopregnanolone; the development innovation was the formulation enabling sustained therapeutic plasma concentrations through prolonged IV infusion. Mechanism is GABA-A receptor positive allosteric modulation. Schedule IV. Restricted distribution program (REMS) due to risk of excessive sedation and loss of consciousness. The closely related zuranolone provides oral dosing with similar pharmacology.

1. Compound Identification

Brexanolone is described as synthetic allopregnanolone (iv). Synthetic allopregnanolone formulated for IV administration, FDA-approved as Zulresso for postpartum depression.

1.1 Class summary

Synthetic allopregnanolone (IV)

1.2 Subtitle

Synthetic allopregnanolone formulated for IV administration, FDA-approved as Zulresso for postpartum depression.

2. Abstract

Brexanolone (Zulresso; SAGE-547; CAS 516-54-1, same as endogenous allopregnanolone) is a synthetic preparation of allopregnanolone formulated for 60-hour intravenous infusion, developed by Sage Therapeutics and approved by the FDA in 2019 for postpartum depression. The compound is identical in structure to endogenous allopregnanolone; the development innovation was the formulation enabling sustained therapeutic plasma concentrations through prolonged IV infusion. Mechanism is GABA-A receptor positive allosteric modulation. Schedule IV. Restricted distribution program (REMS) due to risk of excessive sedation and loss of consciousness. The closely related zuranolone provides oral dosing with similar pharmacology.

3. Mechanism of Action

GABA-A positive allosteric modulator (synthetic allopregnanolone).

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

60 to 90 mcg/kg/hr IV over 60 hours (clinical use).

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. Meltzer-Brody S, et al. Brexanolone injection in post-partum depression. Lancet 2018.

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