

RESEARCH MONOGRAPH . COMPOUND PROFILE

Edaravone

*A pyrazolone free radical scavenger;
approved in Japan and the US for
amyotrophic lateral sclerosis (ALS) and
acute ischemic stroke.*

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ABSTRACT

Edaravone (3-methyl-1-phenyl-2-pyrazolin-5-one; CAS 89-25-8; molecular formula C₁₀H₁₀N₂O; molecular weight 174.20) is a pyrazolone free radical scavenger developed at Mitsubishi-Tokyo Pharmaceuticals. Originally approved in Japan in 2001 for acute ischemic stroke (Radicut), and in 2017 approved by the FDA for amyotrophic lateral sclerosis (Radicava). Mechanism: edaravone scavenges peroxy, hydroxy, and other reactive oxygen species, reducing oxidative damage to neurons and the surrounding glia in the affected region. The ALS approval was supported by a Japanese phase 3 trial (MCI186-19) demonstrating slowed functional decline (ALSFRS-R score) in a subset of patients with early disease and preserved respiratory function. Initially IV-only; an oral formulation (Radicava ORS) was approved in 2022. Plasma half-life is approximately 4.5 hours. Used as the canonical free radical scavenger in neurology research.

1. Compound Identification

Edaravone is described as free radical scavenger. A pyrazolone free radical scavenger; approved in Japan and the US for amyotrophic lateral sclerosis (ALS) and acute ischemic stroke.

1.1 Class summary

Free radical scavenger

1.2 Subtitle

A pyrazolone free radical scavenger; approved in Japan and the US for amyotrophic lateral sclerosis (ALS) and acute ischemic stroke.

2. Abstract

Edaravone (3-methyl-1-phenyl-2-pyrazolin-5-one; CAS 89-25-8; molecular formula C₁₀H₁₀N₂O; molecular weight 174.20) is a pyrazolone free radical scavenger developed at Mitsubishi-Tokyo Pharmaceuticals. Originally approved in Japan in 2001 for acute ischemic stroke (Radicut), and in 2017 approved by the FDA for amyotrophic lateral sclerosis (Radicava). Mechanism: edaravone scavenges peroxy, hydroxyl, and other reactive oxygen species, reducing oxidative damage to neurons and the surrounding glia in the affected region. The ALS approval was supported by a Japanese phase 3 trial (MCI186-19) demonstrating slowed functional decline (ALSFRS-R score) in a subset of patients with early disease and preserved respiratory function. Initially IV-only; an oral formulation (Radicava ORS) was approved in 2022. Plasma half-life is approximately 4.5 hours. Used as the canonical free radical scavenger in neurology research.

3. Mechanism of Action

Pyrazolone free radical scavenger; quenches peroxy, hydroxyl, and other reactive oxygen species in CNS tissue.

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

Clinical IV 60 mg over 60 minutes daily for 14 days, then 14-day off cycles. Oral 105 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. Abe K, et al. Safety and efficacy of edaravone in well defined patients with amyotrophic lateral sclerosis. Lancet Neurol 2017.
2. Yoshida H, et al. Edaravone: a novel free radical scavenger. CNS Drug Rev 2006.
3. Brooks BR, et al. Edaravone in amyotrophic lateral sclerosis: real-world evidence. Amyotroph Lateral Scler Frontotemporal Degener 2022.

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