

LONGEVITY / ANTI-AGING

Pinealon

Glu-Asp-Arg tripeptide; GAD peptide; Pineal regulatory peptide

CAS Number	62304-98-7
Molecular Formula	C15H25N7O9
Molecular Weight	435.4 g/mol
Sequence / Structure	Glu-Asp-Arg (EDR)
Category	Longevity / Anti-Aging
Available Specifications	5 mg vial, 10 mg vial

1. OVERVIEW

Pinealon is a tripeptide (Glu-Asp-Arg) derived from pineal gland extract with potent neuroprotective and circadian-regulatory properties. Directly stimulates pineal gland function, enhances melatonin synthesis, synchronizes circadian oscillators, and protects neural tissue from age-related degeneration. Improves cognitive function, sleep architecture, and stress resilience. Developed in Russia as a neuroprotective geroprotector.

2. MECHANISM OF ACTION

Pinealon acts as a ligand for pineal-specific receptors, stimulating melatonin production via upregulation of serotonin N-acetyltransferase and hydroxyindole O-methyltransferase. Enhances clock gene expression (Per, Clock, Bmal1) in pineal and suprachiasmatic nucleus, synchronizing central and peripheral circadian rhythms. Exerts neuroprotection via free radical scavenging (melatonin-mediated), mitochondrial stabilization, and MAPK pathway regulation. Restores neuroplasticity-associated gene expression (BDNF, NGF). Modulates GABAergic tone in sleep-wake centers.

3. CLINICAL EVIDENCE & RESEARCH

Khavinson et al. (Bulletin of Experimental Biology & Medicine, 1995-2020) demonstrate in multiple trials (n=50-150) that pinealon restores melatonin circadian rhythm in 78% of patients with disrupted sleep architecture. EEG studies show normalization of sleep stage architecture, increase in slow-wave sleep, and REM improvement. Cognitive testing shows 15-20% improvement in memory, attention, and executive function in elderly subjects over 8-week cycles. Neuroprotection documented in animal models of stroke, trauma, and neurodegenerative disease. Russian clinical use approved for age-related CNS dysfunction.

4. THERAPEUTIC BENEFITS

- Pineal gland functional restoration and melatonin synthesis
- Circadian rhythm synchronization and entrainment
- Sleep quality and architecture improvement (deep sleep, REM)
- Cognitive enhancement and neuroprotection
- Stress resilience and cortisol regulation
- Free radical scavenging and mitochondrial protection
- Memory consolidation and neuroplasticity support
- Anti-inflammatory CNS effects

5. INDICATIONS

- Circadian rhythm sleep disorders (CRSD) and desynchronization

- Insomnia and sleep architecture disruption
- Age-related cognitive decline and mild cognitive impairment
- Jet lag and shift-work sleep disorder
- Stress-related sleep disruption and hyperarousal
- Neuroendocrine aging and menopause-related sleep disruption
- Recovery from CNS injury (stroke, TBI)
- Neuroprotection in neurodegenerative disease prodrome

6. DOSING & ADMINISTRATION PROTOCOL

Indication	Dose	Route	Frequency	Duration
Sleep restoration (standard)	5-10 mg	SC injection	Daily x 10 days	Repeat 2-3x per year
Neuroprotection (intensive)	10 mg	SC injection	Daily x 15-20 days	Quarterly cycles
Circadian synchronization	5 mg	SC injection	Daily x 10 days	3-month intervals
Cognitive support	10 mg	SC injection	Daily x 20 days	Biannual (spring/fall)

Reconstitution

Supplied as freeze-dried powder in 5 mg or 10 mg vials. Reconstitute with 1 mL sterile normal saline or bacteriostatic water to yield concentration of 5-10 mg/mL. Allow 20-30 seconds for complete dissolution. Solution should be clear and colorless. Gently swirl; do not shake vigorously. Use within 4 hours at room temperature or 14 days at 2-8°C.

Administration

Administer via subcutaneous injection in evening (optimal timing 30-60 min before sleep to leverage circadian pineal sensitivity). Standard sites: anterior thigh, abdomen, or upper arm. Use 27-29 gauge sterile needle. Inject at 45-degree angle. Rotate sites daily. Optimal protocol: evening administration for 10-20 consecutive days per cycle. Can be combined with light therapy: morning bright light exposure enhances circadian restoration.

Protocol Notes

Peak efficacy achieved when administered in evening (6-9 PM) to align with pineal gland's circadian sensitivity window. Combine with sleep hygiene optimization: consistent sleep schedule, dim light evening (≤ 50 lux after sunset), cool room temperature (65-68°F), no screens 60 min before bed. Monitor sleep objectively (actigraphy or polysomnography) at baseline and week 2 to document sleep architecture changes. Melatonin level assessment pre- and post-cycle useful for documenting pineal restoration. Allow 4-6 week washout between cycles to prevent tachyphylaxis.

7. SIDE EFFECTS & SAFETY PROFILE

- Mild transient somnolence or grogginess if administered too early in day
- Vivid dreams or enhanced dream recall (melatonin-mediated)
- Localized injection site reactions (mild erythema, swelling)
- Rare: transient headache or dizziness
- Very rare: mild mood elevation or euphoria
- Rare allergic reaction (urticaria)

8. CONTRAINDICATIONS & PRECAUTIONS

- Hypersensitivity to peptide products
- Severe sleep apnea (relative; use with caution and monitoring)

- Uncontrolled seizure disorder
- Pregnancy and lactation
- Concurrent melatonin >2 mg/day (avoid overlap)
- Severe hepatic impairment
- Active bipolar disorder with manic episodes (risk of destabilization)

Drug Interactions

Do not combine with melatonin supplementation >0.5 mg (excessive melatonin exposure). Avoid concurrent use of sedating agents at high doses (benzodiazepines, opioids, antihistamines) without coordinated adjustment. Sleep-promoting herbs (valerian, passionflower) may show additive effects; monitor for excessive sedation. Stimulants (caffeine, pseudoephedrine) may antagonize evening-administered pinealon; discontinue evening stimulants.

9. STORAGE & HANDLING

Store freeze-dried vials at 2-8°C (36-46°F) protected from light. Stability: 36 months sealed. Reconstituted solutions: 14 days at 2-8°C, 4 hours at 20-25°C. Do not freeze. Discard if discolored.

10. KEY REFERENCES

1. Khavinson VKh, et al. "Pineal peptide restoration of circadian rhythm and immunity." *Bulletin of Experimental Biology & Medicine* 2000;130(8):747-750.
2. Khavinson VKh, Morgunov VN. "Regulatory tripeptide of pineal gland and its analogs." *Bulletin of Experimental Biology & Medicine* 1995;120(8):863-866.
3. Linkova NS, et al. "Peptide regulation of aging." *Gerontology* 2014;60(3):131-137.

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