BIOMOD LABS · RESEARCH PEPTIDE CO.

RESEARCH COMPOUND MONOGRAPH · SERIES 1 · NO. SOF-001

Tesofensine (Softgel Preparation)

A single-component SEDDS softgel preparation of the small-molecule triple monoamine reuptake inhibitor Tesofensine (NS-2330) — supplied at 0.5 mg active per softgel in a silver-shell immediate-release configuration.

CATALOG REFERENCE	FORM FACTOR	PACK SIZE	DATE OF ISSUE
BM-SOF-001	Softgel · 0.5 mg per capsule	60 capsules · 150 mL bottle	May 2026

*This research preparation is a single-component softgel formulation of **Tesofensine**, a triple monoamine reuptake inhibitor developed initially at NeuroSearch (Denmark). Tesofensine inhibits the reuptake of dopamine, norepinephrine, and serotonin at the presynaptic monoamine transporters (DAT, NET, SERT) with relative potency favouring DAT and NET over SERT. The molecule is structurally distinct from the small-peptide and dinucleotide compounds elsewhere in the BIOMOD catalog — Tesofensine is a small-molecule tropane derivative rather than a peptide or peptide-derived compound. The preparation is supplied at 0.5 mg per softgel capsule, 60 capsules per 150 mL bottle. **This monograph summarises published cellular pharmacology and preclinical findings for laboratory research reference only.***

01 Active Compound Profile

COMMON DESIGNATION	Tesofensine · NS-2330
COMPOUND CLASS	Small-molecule tropane derivative; triple monoamine reuptake inhibitor
CAS REGISTRY	457657-66-8
MOLECULAR FORMULA	$C_{17}H_{23}Cl_2NO$
AVERAGE MOLECULAR MASS	328.28 g · mol^{-1}
PRIMARY MOLECULAR TARGETS	Dopamine transporter (DAT) · Norepinephrine transporter (NET) · Serotonin transporter (SERT) — triple reuptake inhibition with relative potency DAT ≈ NET > SERT

02 Softgel Formulation Specifications

ACTIVE PER SOFTGEL	0.5 mg Tesofensine
PACK SIZE	60 softgels per 150 mL bottle
SHELL	Silver-coloured gelatin softgel
ENTERIC COATING	None (immediate-release configuration)
VEHICLE	SEDDS lipid-based fill for poorly water-soluble small-molecule actives
ANALYTICAL SPECIFICATION	Tesofensine ≥ 99 % purity by HPLC; content uniformity per softgel verified to USP standards

03 Origin and Cellular Pharmacology

Tesofensine was originally developed at NeuroSearch (Ballerup, Denmark) as a CNS small-molecule candidate exploring triple monoamine reuptake inhibition. The molecule structurally resembles the tropane class of monoamine transporter ligands, with structural features supporting binding at all three principal monoamine transporters (DAT, NET, SERT). In radioligand binding studies, Tesofensine exhibits high-affinity binding at DAT and NET with somewhat lower affinity at SERT, producing a triple-reuptake profile distinct from selective DAT or NET inhibitors. The downstream consequence in cellular preparations is elevated synaptic concentrations of dopamine, norepinephrine, and serotonin in regions where these transporters operate, with corresponding effects on monoamine receptor engagement and downstream signalling.

04 Preclinical Findings

SYSTEM	PREPARATION	REPORTED OBSERVATION	REF.
Transporter binding	Cell-line transfection systems	High-affinity DAT and NET binding; moderate SERT binding	1
Microdialysis	Rat brain microdialysis preparations	Elevated extracellular dopamine and norepinephrine in striatum and prefrontal cortex	2
Body weight in obese rats	Diet-induced obese rat preparations	Reduction in food intake and body weight in rodent obesity models	3

F Softgel Formulation Considerations

SEDDS-CLASS SOFTGEL CHEMISTRY

The softgel form factor employs a self-emulsifying drug delivery system (SEDDS) lipid-based vehicle inside a gelatin or modified-gelatin shell. SEDDS formulations consist of isotropic mixtures of oils, surfactants, co-surfactants, and the active compound, which spontaneously form fine oil-in-water emulsions upon contact with aqueous gastrointestinal fluids. This formulation strategy is particularly useful for poorly water-soluble actives, supporting dissolution and absorption from the gastrointestinal lumen. Key softgel-formulation considerations are (a) **shell composition** — gelatin shells are sensitive to moisture and temperature; modified-gelatin and plant-based shell alternatives are sometimes used; (b) **enteric coating** — pH-dependent polymer coatings (e.g., methacrylic acid copolymers) delay capsule disintegration until passage through the acidic stomach, releasing the contents in the more neutral environment of the small intestine; (c) **shell colour** — opacifiers and colourants protect light-sensitive actives and provide product identification; (d) **fill volume** — typical softgel fill volumes range from 0.3 to 1.5 mL per capsule, with corresponding shell sizes selected for the formulation.

05 Laboratory Handling and Storage

Sealed softgels held at controlled room temperature (15–25 °C), protected from moisture and direct light. Bottles should remain sealed until use; gelatin shells absorb moisture from humid environments. Working quantities are determined by the investigator's experimental design.

06 References

1. Lehr T, Staab A, Tillmann C, Trommeshauser D, Schaefer HG, Kloft C. A quantitative enterohepatic circulation model: development and evaluation with tesofensine and meloxicam. *Clin Pharmacokinet.* 2009;48(8):529–542. PMID: 19705924
2. Astrup A, Madsbad S, Breum L, Jensen TJ, Kroustrup JP, Larsen TM. Effect of tesofensine on bodyweight loss, body composition, and quality of life in obese patients: a randomised, double-blind, placebo-controlled trial. *Lancet.* 2008;372(9653):1906–1913. PMID: 18950853
3. Axel AM, Mikkelsen JD, Hansen HH. Tesofensine, a novel triple monoamine reuptake inhibitor, induces appetite suppression by indirect stimulation of α1 adrenoceptor and dopamine D1 receptor pathways in the diet-induced obese rat. *Neuropsychopharmacology.* 2010;35(7):1464–1476. PMID: 20200509

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