BIOMOD LABS · RESEARCH PEPTIDE CO.

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

Bremelanotide (Nasal Spray Preparation)

A single-compound aqueous nasal spray preparation of Bremelanotide, a cyclic heptapeptide melanocortin receptor agonist with MC3R/MC4R selectivity over MC1R — formulated for intranasal mucosal delivery via a metered-dose 200-actuation bottle at 0.15 mg active per spray.

CATALOG REFERENCE	FORM FACTOR	STRENGTH	DATE OF ISSUE
BM-SPR-001	Nasal spray · 30 mg / bottle · 200 sprays · 0.15 mg per spray	30 mg active per bottle	May 2026

*This research preparation is a single-component nasal spray formulation of **Bremelanotide** (also designated PT-141), a cyclic heptapeptide melanocortin receptor agonist developed at Palatin Technologies from the Melanotan-II scaffold. The molecule has sequence Ac-Nle-cyclo(Asp-His-D-Phe-Arg-Trp-Lys)-OH and retains potent full-agonist activity at MC3R and MC4R with reduced MC1R engagement relative to the parent Melanotan-II — a structure-activity distinction conferred by the C-terminal free acid (vs. the C-terminal amide of MT-II). The preparation is supplied at 30 mg total mass per bottle with 200 sprays per bottle at 0.15 mg per spray (0.1 mL per spray, aqueous nasal vehicle). The cyclic-lactam architecture closes the canonical melanocortin pharmacophore (His-D-Phe-Arg-Trp) into a defined β-turn conformation through an Asp-Lys ε-amide bridge that locks receptor-binding geometry and confers protease resistance.* ***This monograph summarises published cellular pharmacology, preclinical findings, and nasal vehicle formulation considerations for laboratory research reference only.***

01 Active Compound Profile

COMMON DESIGNATION	Bremelanotide · PT-141
PRIMARY SEQUENCE	Ac-Nle-cyclo(Asp-His-D-Phe-Arg-Trp-Lys)-OH
CYCLISATION	Lactam bridge: Asp side-chain carboxyl ↔ Lys ε-amine
CAS REGISTRY	189691-06-3
MOLECULAR FORMULA	$C_{50}H_{68}N_{14}O_{10}$
AVERAGE MOLECULAR MASS	1025.18 g · mol^{-1}
PRIMARY MOLECULAR TARGETS	Melanocortin receptor 4 (MC4R) · Melanocortin receptor 3 (MC3R); reduced engagement at MC1R relative to parent Melanotan-II

02 Formulation and Delivery Specifications

TOTAL MASS PER BOTTLE	30 mg Bremelanotide active
SPRAYS PER BOTTLE	200 sprays
ACTIVE PER SPRAY	0.15 mg Bremelanotide per spray
VOLUME PER SPRAY	0.1 mL per metered actuation
VEHICLE	Aqueous nasal-grade vehicle with preservative; intranasal mucosal delivery
PHYSICAL FORM	Clear aqueous solution in metered-dose nasal spray bottle
ANALYTICAL SPECIFICATION	Bremelanotide ≥ 98 % purity by HPLC; content uniformity per actuation verified to ± 10 % of label claim (BIOMOD Labs internal release specification)

03 Molecular Targets and Cellular Signalling

Bremelanotide is a full agonist at melanocortin receptors MC3R and MC4R, with reduced but non-zero activity at MC1R. The melanocortin receptors are Class A G-protein-coupled receptors coupled principally to Gαs, with downstream adenylyl cyclase activation, cAMP elevation, and PKA-mediated signalling. The CNS distribution of MC3R and MC4R — particularly the dense MC4R expression in hypothalamic populations and in the medial preoptic area — has motivated the use of the molecule in preclinical studies of central nervous system

melanocortin signalling pathways including sexual-function and appetite-regulation circuits. The reduced MC1R engagement relative to Melanotan-II diminishes the pigmentation-pathway chemistry of the parent compound.

04 Nasal Delivery Considerations

INTRANASAL BIOAVAILABILITY AND VEHICLE CHEMISTRY

The intranasal route provides direct access to systemic circulation through the rich vascularisation of the nasal mucosa, bypassing first-pass hepatic metabolism characteristic of oral administration. For the cyclic-lactam Bremelanotide, the principal nasal-delivery considerations are (a) **mucosal residence time** — aqueous nasal vehicles produce relatively short mucosal contact; (b) **vehicle pH** — neutral-to-slightly-acidic pH (5.5–7.0) is optimal for both nasal mucosa tolerance and Bremelanotide cyclic-lactam stability; (c) **osmolarity** — formulation osmolarity is targeted to approximate physiological isotonicity to minimise mucociliary disruption; (d) **preservative selection** — benzalkonium chloride or similar quaternary ammonium preservatives are standard for nasal aqueous formulations and are compatible with the Bremelanotide chemistry; and (e) **Trp7 photo-oxidation susceptibility** — the Trp residue of the cyclic-lactam ring is mildly photo-oxidation susceptible; opaque or amber spray bottles provide adequate light protection.

05 Laboratory Handling and Storage

The sealed nasal spray bottle is held at 2–8 °C refrigerated for long-term storage and may be brought to room temperature for working use. The preservative content of the aqueous vehicle supports limited room-temperature stability during in-use periods. Light protection is recommended throughout; opaque or amber bottle materials provide adequate protection during normal use. Working concentrations and actuation counts are determined by the investigator's experimental design (0.15 mg active per actuation at the specified formulation).

06 References

1. Molinoff PB, Shadiack AM, Earle D, Diamond LE, Quon CY. PT-141: a melanocortin agonist for the treatment of sexual dysfunction. *Ann N Y Acad Sci.* 2003;994:96–102. PMID: 12851303
2. Pfaus JG, Shadiack A, Van Soest T, Tse M, Molinoff P. Selective facilitation of sexual solicitation in the female rat by a melanocortin receptor agonist. *Proc Natl Acad Sci USA.* 2004;101(27):10201–10204. PMID: 15226502
3. Hruby VJ, Cai M, Cain JP, Mayorov AV, Dedek MM, Trivedi D. Design, synthesis and biological evaluation of conformationally constrained analogues of the melanocyte-stimulating hormone (α-MSH) related peptides. *Curr Top Med Chem.* 2007;7(11):1107–1119. PMID: 17584132
4. Costantino HR, Illum L, Brandt G, Johnson PH, Quay SC. Intranasal delivery: physicochemical and therapeutic aspects. *Int J Pharm.* 2007;337(1-2):1–24. PMID: 17475423

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