

PRODUCT DATA SHEET

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Melatonin powered by Lipodisq™ Sterile Solution

Nano-formulated aqueous solution: Ready-to-use

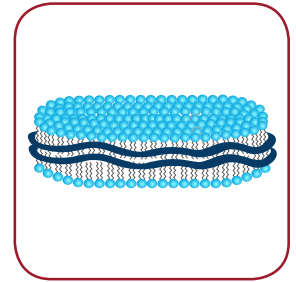
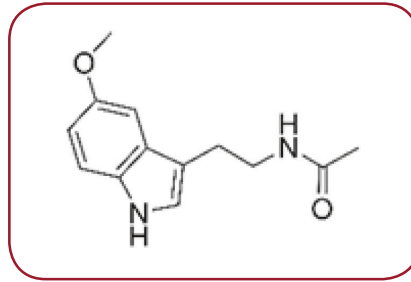
Cat. No.: IAX-700-102

Lot. No.:

Synonyms	N-(2-(5-methoxyindol-3-yl)ethyl)acetamide, NSC 56423, NSC 113928, regulin in a detergent-free nano-formulation made of styrene-maleic acid lipid particles (SMALP)
Empirical Formula	C ₁₃ H ₁₆ N ₂ O ₂
Concentration	1 mg/ml (0.1% w/vol)
Size	1 ml
MW	232.28
CAS	73-31-4
Purity	≥ 95% (HPLC)
Solution pH	7.00 - 7.50
Solubility	Soluble in water, PBS, Tris and other physiological solutions as formulated in a proprietary, thermostable, aqueous lipid nanoparticulate formulation (Lipodisq™, Malvern Cosmeceutics Ltd., Malvern UK). Avoid the use of buffers with divalent ions such as Ca or Mg or pH <6.5 or >8.0, which can cause particle instability. Unformulated melatonin is soluble in DMF, DMSO or ethanol.
Formulation	Lipodisq™ are nanosized lipid-based discoidal particles that can be manufactured to incorporate hydrophobic, poorly water-soluble compounds, such as lipids, lipoproteins and glycolipids.
Appearance	Colourless clear aqueous solution
Handling	Keep sterile. Avoid skin and eye contact.
Activity	Cell culture tested (human macrophage cell line) (MTT). Recommended starting dilution: 1:200 or higher. Optimal working concentrations depend on the applications and need to be determined. Published procedures using Lipodisq™ formulations (Curcumin and IAXO TLR4 antagonists) <i>in vivo</i> rodent models at 3-10mg/kg. Recommended route of administration is subcutaneous (s.c.) with oral or nasal application as a possible alternative, which needs to be optimised. Carrier only control: Lipodisq™ Control Sterile Solution (Cat. No.: IAX-700-100).
Shipping	Ambient
Storage	2-8°C
Stability	12 months after receipt (unopened and as supplied)
MSDS	Available on request

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General Information

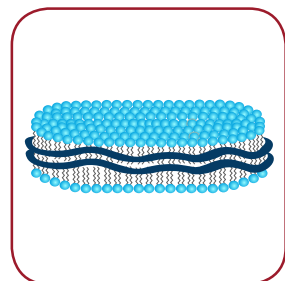
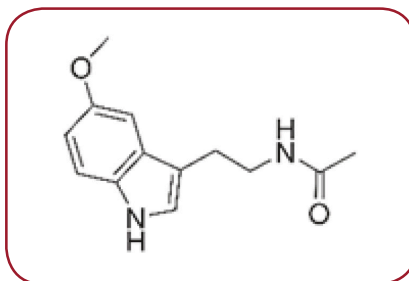
- Melatonin, an evolutionarily ancient derivative of serotonin with hormonal properties, is the main neuroendocrine secretory product of the pineal gland. It regulates circadian rhythmicity and also exerts anti-oxidative, anti-inflammatory, immunomodulatory, and anti-tumor capacities.
- Melatonin levels in individuals increase from birth and peak around puberty. Its synthesis and levels decline in middle-aged and most elderly individuals along with a simultaneous reduction in the urinary excretion of its metabolites.
- Moreover, it stimulates antioxidant defense systems in the brain. The decline in melatonin production in aged individuals is itself a significant factor that may contribute to age-related neurodegenerative disease processes and has been suggested as one of the primary reasons for the development of Alzheimer Disease (AD).
- Melatonin exerts antibacterial activities not only on classic gram-negative and -positive bacteria, but also on members of other bacterial groups, such as Mycobacterium tuberculosis.
- In recent years, an increasing number of studies have described the anticancer effects of melatonin.
- Melatonin is also a mitophagy regulator. It may exert an essential effect on mitochondrial physiology and facilitates mitochondrial function. A central mechanism by which melatonin protects mitochondria is by restoring the mitochondrial membrane potential (MMP) through blocking mitochondrial permeability transition pore (mPTP) opening under stress and activating uncoupling proteins (UCPs) to marginally decrease MMP under normal conditions.

Melatonin References

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- [9] *Use of Melatonin in Cancer Treatment: Where Are We?* Wang L, et al. Int. J. Mol. Sci. (2022); 23:3779
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- [11] *Melatonin: From Pharmacokinetics to Clinical Use in Autism Spectrum Disorder.* Sébastien Lalanne S, et al. Int. J. Mol. Sci. (2021); 22:1490

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Lipodisq™ Technology

- A nanoparticle (11-40nm) drug delivery system comprising a discoidal phospholipid bilayer membrane stabilised by a chaperone molecule annulus.
- Internal properties of the phospholipid membrane support the disposition and stabilisation of drug molecule candidates and preserve the native conformation of membrane molecules.
- The resulting encapsulated actives are rendered water-soluble and specialised for intra-cellular penetration/delivery via endosomal uptake mechanisms.
- Lipodisq™ solutions show a good safety profile and are suitable for *in vitro* and *in vivo* investigations.
- For a customizable biodegradable Lipodisq™ version with a higher concentration of actives or an alternative lipid option, contact Innaxon.

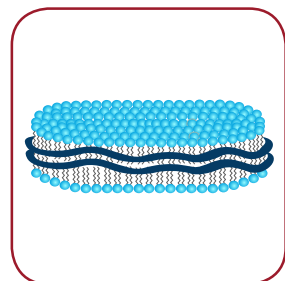
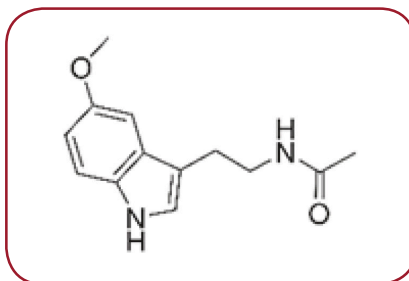
Component	Concentration	CAS #	EC #
Water (sterile)	QS	7732-18-5	231-791-2
Poly(styrene maleic acid)	25mg/ml	26762-29-8	607-996-1
Lecithin	9mg/ml	92128-87-5	295-786-7
Melatonin	1 mg/ml	73-31-4	200-797-7

Lipodisq™ References

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- [7] *The styrene–maleic acid copolymer: a versatile tool in membrane research.* Dörr JM, et al. *Eur. Biophys. J.* (2016); 45:3
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- [9] Nano-size uni-lamellar lipodisq improved in situ auto-phosphorylation analysis of *E. coli* tyrosine kinase using (19)F nuclear magnetic resonance. Li D, et al. Protein Cell (2015); 6:229
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- [14] Detergent-free incorporation of a seven-transmembrane receptor protein into nanosized bilayer lipodisq particles for functional and biophysical studies. Orwick-Rydmark M, et al. Nano Lett. (2012); 12:4687
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