

PCCA LoxaSperse™

Powder Excipient Base for Use in Nebulization and Irrigation Compounds

PCCA # 30-4701

LoxaSperse™ makes easy work of nebulization and irrigation prescribing.

Do you have patients suffering from chronic, recurrent or resistant sinus infections?

LoxaSperse is an innovative base used in compounding nasal nebulizations and irrigations. **It allows multiple active ingredients with varying solubilities to be incorporated into a single dosage form making it easier to deliver the medication to the site of infection.**

BENEFITS:

- **Increases the solubility and dispersibility** of active ingredients, potentially improving absorption performance
- **Allows for multi-drug therapy combinations**, giving you more power to customize medication, simplify therapy regimens and potentially improve patient compliance
- Enables an **extended beyond-use date** consistent with USP guidelines for anhydrous dosage forms, since the powder contents (from a capsule or sachet) are mixed with sterile water only at the time of use
- Testing has concluded that it **improves the antimicrobial activity of the active pharmaceutical ingredients (APIs)**
- Additional testing has shown it **increases activity against biofilms**

Note: According to USP <797>, compounded aqueous solutions for irrigation or nebulization are required to be sterile. But commercially available dry powders for inhalation are manufactured as non-sterile products, since there is no water present in the products to promote the growth of microbes. And while compounded or manufactured aqueous solutions are sterile prior to administration, once the solution is opened and placed into a nebulizer cup or irrigation device it is no longer sterile, which means it's not actually administered sterile.



POTENTIAL USES:

- Nasal nebulization
- Nasal irrigation
- Wound irrigation
- Wound powders

TYPES OF ACTIVES:

- Antifungals
- Antibacterials
- Steroids
- Antihistamines
- Anesthetics

USA: 1.800.331.2498 www.pccarx.com | **Canada:** 1.800.668.9453 www.pccarx.ca | **Australia:** 02.9316.1500 www.pccarx.com.au

Proven Results

LoxaSpense has undergone testing to characterize the physical and microbiological properties. The tests performed were **particle size, minimal inhibitory concentration (MIC) and water activity**.

PARTICLE SIZE TESTING was performed using LoxaSpense in combination with itraconazole (an antifungal drug with poor water solubility). The findings showed that itraconazole alone in suspension had a particle size of 30 microns, yet when mixed with LoxaSpense in suspension, the resulting particle size was 18.645 microns. **The reduced particle size achieved with the use of LoxaSpense can potentially enhance drug delivery to the nasal cavity.**

MIC TESTING showed when LoxaSpense was combined with itraconazole, a synergistic effect was achieved.

The combination resulted in an overall lower MIC, showing improved activity against all the fungal and microbial strains as compared to itraconazole alone. It was also discovered that **LoxaSpense alone in solution at a concentration of 17 percent or above, stopped the growth of the same microorganisms.** It is still important to combine LoxaSpense with an antifungal or antimicrobial to create the synergy of not only prohibiting growth but also eradicating the bug.

WATER ACTIVITY TESTING was performed on LoxaSpense alone as a dry powder, which concluded **that no microbial growth was possible as bacteria cannot grow when water activity measures <0.60.**

These studies concluded that **LoxaSpense as a powder excipient base may improve the physical and microbial properties of antifungals and antimicrobial ingredients by increasing the dispersibility and distribution of low-soluble drugs in water.**

See PCCA's document, "Technical Report: Characterization of the Physical and Microbiological Properties of LoxaSpense," for full details on the testing performed.

LOXASPERSE HELPS INCREASE ACTIVITY AGAINST BIOFILMS

Recurrent infections are often due to resistance caused by biofilms. Biofilms are groups of organisms that adhere to surfaces and are surrounded by an extracellular matrix that allows the organisms to become resistant to antimicrobial treatment. The in vitro antimicrobial activity of itraconazole in a LoxaSpense formulation was evaluated against *Candida albicans* biofilms. **The LoxaSpense formulation reduced the MBIC (minimum biofilm inhibitory concentration) 10-fold compared to that of itraconazole alone.** This improvement in antimicrobial activity of the LoxaSpense/itraconazole formulation could be attributed to the improved dissolution rate and solubility enhancement of the base over the poorly water-soluble itraconazole alone.

See PCCA's document, "Technical Report: The Antimicrobial Activity of Itraconazole and LoxaSpense Against Biofilms of *C. albicans*," for full details on the testing performed.

FORMULATION EXAMPLES

Gentamicin 80 mg Capsules Size #1 (LoxaSpense™)

Tobramycin 300 mg/Formoterol Fumarate Dihydrate 12 mcg Capsules Size #00 (LoxaSpense™)

Colistimethate 150 mg Capsules Size #00 (LoxaSpense™)

Tobramycin 160 mg Capsules Size #0 (LoxaSpense™)

Levofloxacin 100 mg Capsules Size #1 (LoxaSpense™)

Mupirocin 5 mg Capsules Size #1 (LoxaSpense™)

Vancomycin 160 mg Capsules Size #0 (LoxaSpense™)

Vancomycin 200 mg/Betamethasone 0.5 mg/Tobramycin 125 mg Capsule Size #00 (LoxaSpense™)

Tobramycin 125 mg/Betamethasone 0.5 mg/Mupirocin 5 mg Capsule Size #1 (LoxaSpense™)

Levofloxacin 100 mg/Betamethasone 0.5 mg Capsule Size #1 (LoxaSpense™)



LoxaSpers[™] FAQs

How are LoxaSpers preparations dispensed?

LoxaSpers preparations can be dispensed as capsules or powder sachets. At the time of use, the capsule or packet is opened and the contents are then mixed with an appropriate amount of sterile water (~5-15 mL). The preparation is then ready to be administered via a nasal nebulization/irrigation device.

What is the best way for the patient to mix the LoxaSpers capsule or sachet contents with water?

Use a prescription bottle or plastic cup (sterile, unused urine cups work well). Empty contents into the bottle or cup and add sterile water. Mix by swirling gently until a uniform suspension is obtained (less than a minute). Some APIs may create foam when mixed with water. If this occurs, swirl the preparation gently until foam has subsided. Pour contents into the nebulization/irrigation device cup.

When do you use sterile water versus saline?

Most formulations with LoxaSpers are already hypertonic so sterile water is a good choice unless otherwise specified.

What is a nasal nebulization/irrigation device?

One example of a device is the commercially available NasoNeb. PCCA does not sell this item; refer to NasoNeb's website for more information: www.nasoneb.com.

Is LoxaSpers safe for pregnant or nursing women?

The ingredients in LoxaSpers are thought to be safe during pregnancy and lactation; however, it has not been studied.

Is LoxaSpers sterile?

No, LoxaSpers powder formulations are dispensed non-sterile and mixed with water only at time of use.

Is there a substitution for LoxaSpers?

No, there is not another ingredient or combination of ingredients that can replace the action of LoxaSpers for improving solubility and dispersibility.

Is LoxaSpers gluten- and corn-free?

Yes.

Is LoxaSpers tested for microbial contamination, and does it have a preservative?

It is tested for microbial contamination but it does not have a preservative. Water activity of LoxaSpers powder has been tested and results with and without desiccants are below 0.5. With such a low water activity number, nothing can grow in it so no preservative is needed.

What is in LoxaSpers?

It is a proprietary blend of specially micronized xylitol and an optimized ratio of micronized poloxamers, which improves dispersibility and solubility of actives.

