

Lipocine's Lipid Based Parenteral Delivery Technology (LiIV™)

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Why Lipid Based Parenteral Systems?

- ▶ **Avoid** Precipitation, Irritation, Pain, Phlebitis
- ▶ **Stabilize** Labile Drug Through Processing and Storage
- ▶ **Eliminate** Toxic Solvents/Solubilizers
- ▶ **Prevent** Adsorption to Plastic Infusion Sets
- ▶ **Reduce** Infusion/Manufacturing Volume
- ▶ **Alter** Therapeutics Through Association with Lipids
- ▶ **Enable** absolute Bio testing

Some Cause and Effect Relationships

- ▶ **Variables:** Formulation, drug level, process, packaging, storage
- ▶ **Characterization:** Lipid charge, particle size/distribution, drug release, plasma compatability.
- ▶ **Responses:** Safety, Metabolism, Therapeutics, Stability.

LiIV™ Attributes/Capabilities

- **Higher drug loading capacity in a liquid-solubilized form**
- **Overcome precipitation of drug upon dilution**
- **Reduced hypersensitivity reactions**
- **Reduced irritation/necrosis of local tissue at the site of injection**
- **Enhance microstatic effects**

LiIV™ Applications

- **Depth:**
 - **Lipophilic drugs**
 - **Polyfunctional hydrophobic drugs**
- **Therapeutic Categories:**
 - **Anti-infectives**
 - **Anti-cancer Agents**
 - **Sedatives, Hypnotics, Anesthetics**
 - **Immunosuppressants**
 - **Anti-inflammatory Agents**
 - **Vitamins**
 - **Anti-oxidants**
 - **Steroids**

Drug Loading Capacity and Cost-Effectiveness

- Low drug-to-lipid ratio of emulsions for polyfunctional hydrophobics
- Low drug-to-lipid ratio of liposomes for hydrophobic drugs in general
- Cost of highly purified natural or synthetic phospholipids

Bioacceptability/Bioperformance

- **Potential adverse effects of medium-chain triglycerides used in emulsions**
- **Slow dissolution of solid particles**
- **Potential threat of embolism caused by rigid solid particles**
- **Bioacceptability of newly synthesized surface modifiers**

Lipocine's Potential Role in Drug Discovery

- ▶ **Pharma Discovery Stage Challenges**
- ▶ **Screening Support Process**
- ▶ **Benefits to Discovery Company**

Pharma Discovery Stage Challenges

- ▶ Solubilization
- ▶ Bioperformance
- ▶ Safety
- ▶ Stability
- ▶ Manufacturing Scale-up
- ▶ Timely Development and Screening
- ▶ Poor Success Rates