MicroSolv™
Solubilization Technology for Oral Drug Delivery

Poorly water soluble compounds are a growing segment of the development portfolio of pharmaceutical and biotechnology companies. Such drug candidates are challenging because while they may meet therapeutic activity requirements, they may not make an ideal product because they have poor aqueous solubility leading to inadequate or erratic absorption.

It is estimated that 40% to 60% of all new chemical entities fall into this category (The Scientist, Jul 2003; PharmaCircle, 2007). When such drug candidates advance into clinical development, companies often settle for less than desirable dosage forms. Approximately 110 drugs on the market have low bioavailability and are candidates for improvement (TCI, Aug 2003).

Solubilization technologies can resurrect practically water-insoluble drugs that would normally be eliminated in the discovery stage, making them commercially viable. In cases where the drug is already on the market, the utilization of a solubilization technology may be attractive to satisfy an unmet need such as improving the absorption profile (e.g. overcoming a food effect, providing a shorter T_{max}). From a business perspective, this is an effective lifecycle management strategy.

The MicroSolv™ Technology – An Adsorbed Emulsifying System

Emulsion-based systems have been known to offer solubilization and bioavailability advantages but have not been popular because drug-containing emulsions are inherently unstable and self-emulsifying formulations often have compatibility issues with capsule shell compositions.

CIMA’s patented MicroSolv technology utilizes an emulsifying system that has been adsorbed onto a solid carrier (e.g. silicon dioxide) and can be conventionally manufactured in the form of a tablet or capsule. A MicroSolv formulation could potentially be simpler to manufacture and more stable than nanoparticles or soft gelatin capsule formulations. The MicroSolv technology encompasses emulsions, microemulsions, self-emulsifying drug delivery systems (SEDDS) and self-microemulsifying drug delivery systems (SMEDDS). US patents directed to the MicroSolv technology include US6280770 and US6692771, and other pending patents.

The following in vitro dissolution graph shows how two different MicroSolv formulations are comparable to a drug-containing emulsion and perform better than the water-insoluble drug alone. The MicroSolv technology can accommodate drug doses up to 50 mg.

MicroSolv™ Formulations Improve Dissolution Rate like an Emulsified Drug
The following graph shows the human pharmacokinetic profile of a drug formulated with the MicroSolv technology in comparison to the drug in emulsion form and the drug in a tablet form. The pharmacokinetic performance of the drug formulated using the MicroSolv technology was comparable to the drug in emulsion form and better than the drug in tablet form. Specifically, the T_{max} of the drug formulated using the MicroSolv technology was earlier (2 hours vs. 5 hours) and the C_{max} was higher (about 50% more) compared to the tablet form. Due to challenges associated with commercializing liquid emulsions such as compatibility and stability, the MicroSolv technology offers the delivery advantages of a drug in an emulsion with the additional benefit of delivery in the form of a powder.

If you are interested in learning more about our Technology, please contact Richard J. Welter, Ph.D., Vice President of Business Development at 763-488-4790 richard.welter@cimalabs.com or John C. Nagel, MBA, Senior Director of Business Development at 763-488-4975 john.nagel@cimalabs.com