

License Opportunity

A new liquid carrier for oral delivery of pharmacologically active compounds

Lipidor is offering a license opportunity relating to its novel liquid carrier for oral delivery of active pharmaceutical ingredients, either dissolved or suspended in the carrier. The carrier system is specially adapted for compounds that are poorly soluble or insoluble in aqueous liquids but are to some extent soluble in lipid carriers. The system is particularly suitable for compounds to be released in the duodenum, where variable bioavailability is a significant cause for concern.

The carrier system has been designed to overcome the degradation by gastrointestinal enzymes often seen in well-known lipid carriers, which results in both inter- and intra-individual variability in bioavailability. This variability has many reasons and is, inter alia, related to an individual's production of lipases in the gastrointestinal tract, the sensitivity of the ester linkage to lipase, and the structure of the individual triglycerides. It is thus important that the chemical composition of the triglycerides is reproducible over time to avoid unnecessary degradation variability of the lipids in the gastrointestinal tract.

Lipidor's carrier system is designed to provide a more reproducible and predictable bioavailability, both between doses and from person to person. The system has, accordingly, an improved stability against degradation in the gastrointestinal tract.

Carrier system composition

The carrier system comprises two components, an open-chain silicone oil and a polar lipid material. The two components are substantially immiscible (less than 1%). However, the fluid carrier provides superior incorporation of dry powders, resulting in formulations with long term stability.

The non-volatile silicone oil is either one or several dimethicones, which are widely used in pharmaceutical products and in products for personal care. Dimethicones are physiologically and chemically inert materials. They are not metabolized or absorbed after oral ingestion and they leave the body with the faeces.

The polar lipid material can consist of membrane lipids, such as phosphatidylcholine (PC) and lecithins.

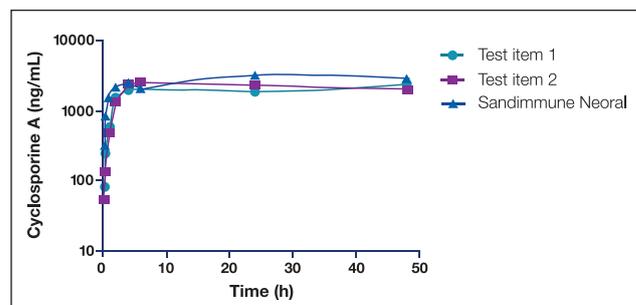
Depending on the particle size and the chemical and physical properties of the active ingredient only a minimum amount of the ingredient is required to form a stable formulation. For instance, as little as 3% of hydrocortisone is required to form a stable suspension.

Formulation and preclinical development

A number of silicone oils and polar lipids together with different solid materials have been investigated. Results to date suggest that the carrier system can be employed for a broad range of compounds. Formulation possibilities enable the development of products that are creamy or ointment-like, smooth and paste-like, or as a moldable mass. Depending on the final product, the material can easily be filled into capsules.

Bioavailability has been investigated in rats. Single doses of two different Lipidor carrier formulations containing cyclosporine

were compared to a commercially available product (Sandimmune Neoral). The results (see graph) show that the bioavailability of the two new formulations is similar to the commercially available product.



Summary

- A new carrier system for oral delivery of insoluble and sparingly soluble compounds
- The carrier system gives stable formulations together with an active compound
- The system delivers improved stability regarding degradation in the gastrointestinal tract and is designed to give more predictable bioavailability
- Products can be formulated with various viscosities: creamy or ointment-like, smooth and paste-like or as moldable mass. Can be filled into gelatine capsules

The carrier system can be used for any oral formulation. Our belief is that it will prove to be very beneficial for any solid compound where variable bioavailability has been encountered. The novel carrier concept is covered by a patent application PCT/SE2012/000054 (WO 2012/144943), presently at the national stage in Europe, the US, Canada, and India.

For more information please contact:

Anders Carlsson

E-mail: anders.carlsson@lipidor.se | www.lipidor.se

Phone: +46 (0)8-653 40 45 | Mobile: +46 (0)73-778 06 43

Address: Hornsbergs strand 49, SE-112 16 Stockholm, Sweden

About Lipidor AB

Lipidor AB is a Swedish lipid technology company focusing on oral and topical drug delivery systems. The Company was established in 2009 in order to develop, patent and commercialize formulation concepts and technologies based on lipids. To date, Lipidor has developed the topical dosage form AKVANO[®], and a liquid carrier for oral delivery. Research and development is conducted at Karolinska Institutet and Stockholm University. The Company is owned by its founders and Karolinska Development AB.

Lipidor AB

E-mail: anders.carlsson@lipidor.se | Mobile: +46-(0)73-778 06 43

Address: Hornsbergs strand 49, SE-112 16, Stockholm, Sweden

www.lipidor.se | Org. Reg. No. 556779-7500