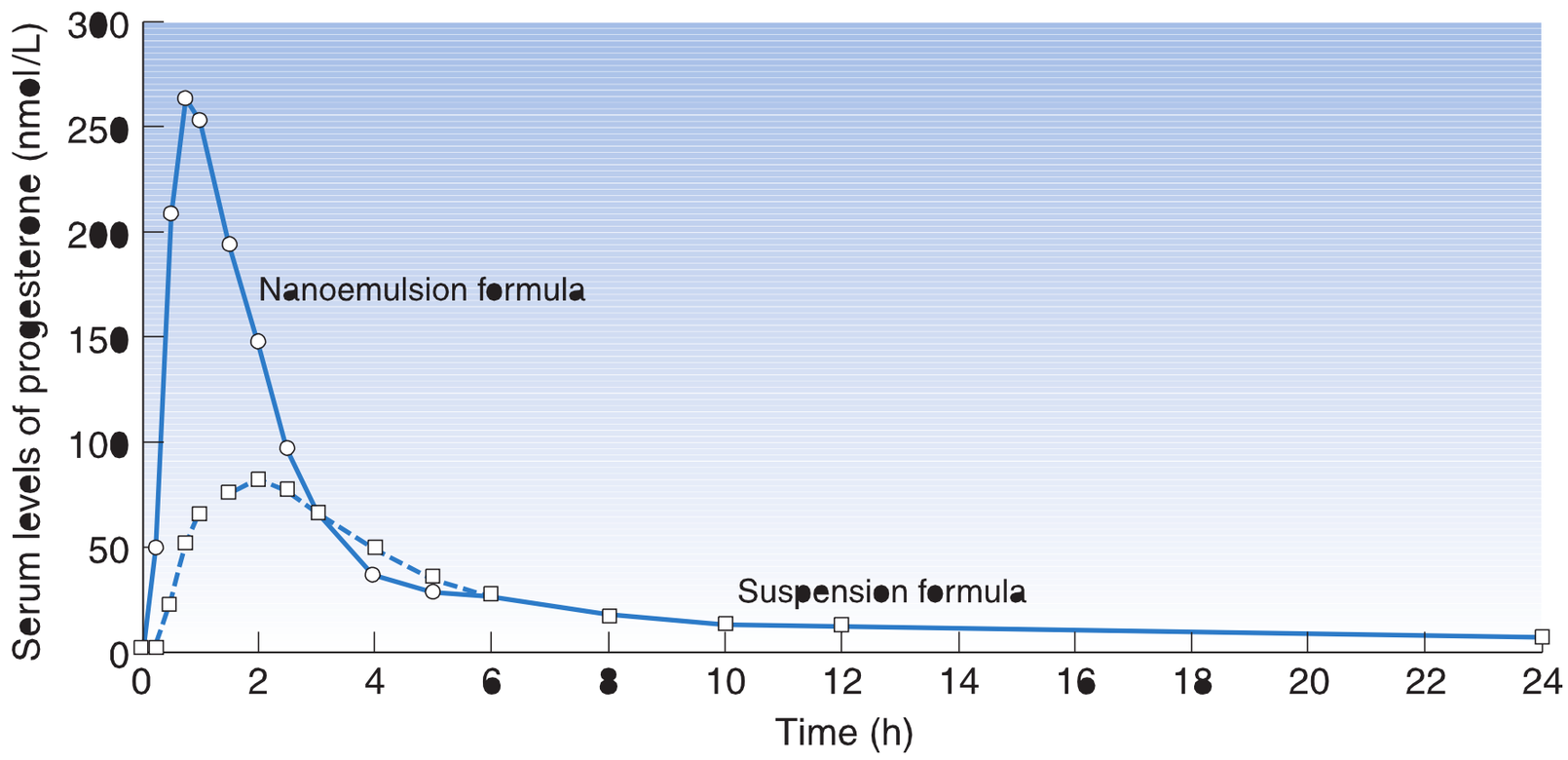

Fig. 34.5 • Pharmacokinetic evaluation of progesterone comparing a softgel nano-emulsion solution of progesterone with a softgel containing a suspension of the drug in an oil following single dose administration in 12 healthy human volunteers. Reproduced from Ferdinando 2000.

reduced, particularly if absorption is limited by drug solubility. SEDDS have been shown to reduce variability of exposure to the lipophilic drug torcetrapib compared to a formulation in oil (Perlman et al 2008). The cyclic polypeptide drug ciclosporin (Sandimmune Neoral®) benefits from such an approach by using a micro-emulsion preconcentrate in a softgel (Drewe et al 1992, Meinzer 1993).

Patient compliance and consumer preference

A number of self-medicating consumer preference studies have been carried out to gauge the user's perception of softgels relative to hard-shell capsules and tablets. The results of the studies showed that consumers expressed their preference for softgels in terms of (a) ease of swallowing, (b) absence of taste and (c) convenience in use.

This expressed appeal of the softgel dosage form may have a positive impact on patient compliance. Compliance may be further enhanced if the softgel formulation enables dosing of smaller or fewer dosage units, as a result of increased bioavailability.

Safety for potent and cytotoxic drugs

The mixing, granulation and compression/filling processes used in preparing tablets and hard-shell capsules can generate a significant quantity of airborne powders. This can be a cause of concern for the manufacture of highly potent or cytotoxic compounds because of safety considerations for the operator and environment.

By preparing a solution or suspension of drug, where the active component is essentially protected from the environment by the liquid, these safety concerns can be reduced.

Oils and low melting point drugs

When the pharmaceutical active is an oily liquid, has a melting point lower than about 75 °C or proves difficult to compress, liquid filling of softgels (with or without other diluents) can provide a successful approach to presenting it in a solid oral dosage form.

Dose uniformity of low-dose drugs

Presentation of low-dose drugs in a solution form can overcome the challenges of achieving dosage unit homogeneity compared to other solid oral dosage forms. Where the dose is in the order of micrograms, it can be difficult mixing it with other powders sufficiently well to ensure an even distribution in the bulk materials prior to compression of tablets or filling of hard shell capsules. This can result in variation in assays due to the inhomogeneity of content. By dissolving the drug in a liquid and encapsulating it in a softgel, such inhomogeneity concerns can be avoided.