doi:10.4172/0975-0851.1000039

TITLE

ENHANCING ORAL BIOAVAILABILITY OF DRUGS USING CYCLODEXTRINS

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Desirable and reproducible bioavailability is today's one of the major challenges in oral delivery of new drug substances. Up to 40% of the new chemical entities are poorly soluble and is one of the rate limiting steps in the low bioavailability especially for BCS Class II and class IV drugs. An important tool in this regard is use of cyclodextrins, especially modified cyclodextrins (CDs). CDs have lipophilic central cavity and hydrophilic outer surface, which interact with lipophilic drug via dynamic complex formation, overcoming the undesirable physicochemical properties of guest molecule including low aqueous solubility, poor dissolution rate and limited stability. Driving force of the complex formation is the substitution of the high enthalpy water molecules by an appropriate guest molecule. Complexation results from combinations of electrostatic interactions, van der wall's forces, hydrogen bonding and charge-transfer interaction. Phase solubility study demonstrates the amount of CD required for desired drug solubility and stability. In low concentrations, CD carries the drug from the bulk solution towards the lipophilic surface of biological membranes where the drug molecule partitions from the complex into the lipophilic membrane. Thus CDs act as permeation enhancers, increasing availability of dissolved drug molecules at the aqueous mucosal surface. Drug gets dissociated from the complex by simple dilution, competitive displacement, uptake of drug in tissues inaccessible to the cyclodextrins, binding of drug to plasma and tissue proteins. Thus CDs have become the important enabling and functional carriers for increasing oral bioavailability and absorption rate of poorly water soluble drugs.