



RESEARCH ARTICLE

BINARY AND TERNARY SOLID SYSTEMS OF CARVEDILOL WITH 2-HYDROXYPROPYL- β -CYCLODEXTRIN AND PVP K30

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The present investigation undertook a study on BCS class II drug, Carvedilol (CRL), a nonselective beta-blocker indicated in the treatment of congestive heart failure, angina pectoris, hypertension, using 2-Hydroxypropyl- β -cyclodextrin (HP β CD) as carrier and Kollidon[®] 30 as auxiliary substance. The formulations were prepared using physical mixing, kneading and freeze drying method and evaluated for percent drug incorporation, solubility studies, *in vitro* dissolution studies, DSC, XRD and FTIR studies. Among all solid systems, formulation prepared by freeze drying method using equimolar ratio of CRL to HP β CD with 0.5% w/v of Kollidon[®] 30 showed significant modifications in the physicochemical properties and exhibited almost complete drug release within 10 min. The studies concluded that the addition of small amount of water soluble polymer as third auxiliary substance during complexation could display tremendous enhancement in release characteristics of poorly water soluble drugs exhibiting significant pharmaceutical potential in the development of better commercial products over existing dosage forms.

Key words: Inclusion complex, Ternary complex, Binary complex, Dissolution.

INTRODUCTION

Solubilization of poorly soluble drugs is a frequently encountered challenge in screening studies of new chemical entities as well as in formulation design and development. A number of methodologies can be adapted to improve solubilization of poor water soluble drug and further to improve its bioavailability. Orally administered drug completely absorb only when they show fair solubility in gastric medium and such drugs shows good bioavailability. Bioavailability depends on several factors, drug solubility in an aqueous environment and drug permeability through lipophilic membranes being the important ones. The techniques generally employed for solubilization of drug include micronization, chemical modification, pH adjustment, solid dispersion, complexation, co solvency, micellar solubilization, hydrotrophy etc.

Only solubilized drug molecules can be absorbed by the cellular membranes to subsequently reach the site of drug action (vascular system for instance). Any drug to be absorbed must be present in the form of an aqueous solution at the site of absorption. As solubility and permeability are the deciding factors for the *in vivo* absorption of the drug, these can be altered or modified by employing one of many available enhancement techniques. Poorly soluble compounds also present many *in vitro* formulation obstacles, such as severely limited choices of delivery technologies and increasingly complex dissolution testing with limited or poor correlation to the *in vivo* absorption (Sachan *et al* 2011). These poorly water soluble drugs generally suffer from slow drug absorption leading to inadequate and variable bioavailability and gastrointestinal mucosal