

Medicinal Chemistry & Drug Discovery



Medicinal chemistry of amine prodrugs

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Abstract

Amine Prodrugs are being used since the beginning of the 19th century in medicines. Their Chemistry, Biological activity and Pharmacodynamic Characters has been revealed better in the 20th century. Most of the drugs that are invented in the recent century are prodrugs of amines, which show their importance in medical field. The purpose of this review is to focus on various aspects of amine prodrugs like its chemistry, types, uses and future scope in medical field. This review summarizes studies spanning the whole history of amine prodrugs, but emphasizes recent findings and several hypotheses which have been recently introduced to explain in detail how amine prodrugs function at the target site. Understanding the role of amine prodrugs in drug delivery will increase our information on molecules having amine linkages and their potential uses. It is now generally accepted that amine prodrugs have important role(s) in drug targeting; that they are the initial molecules that deliver the drug to target site in stable form. The amine prodrugs are classified on basis of the molecular linkage of nitrogen atom to the nearby atoms, example, -N=H-, -N=N-, -H-N-H-, etc. Various types of molecules having amine linkages are briefly focused to on basis of their mechanism by which they release basic drug molecule at the site.

Keywords: Prodrugs, Absorption, Barrier Transport, Bioactivation, Chemical activation

1. Introduction

The absorption of a drug may often be enhanced by structural modifications (Zambitoet al., 2008) that serve to alter the relative lipophilicity e.g. aminification of a water-soluble acid. The design of an active drug to prodrug is also referred to as drug latentation (Zuluaga et al., 2012). An Amine moiety containing prodrug is inactive and must be converted into an active species within the biological system. There is a variety of mechanisms by which this may be accomplished. The conversion occurs enzymatically by metabolizing enzymes or ch-