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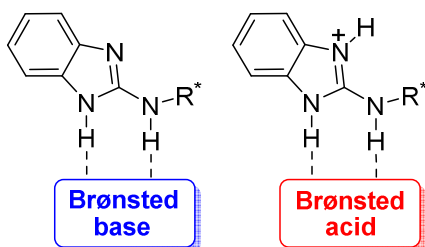
Chiral Benzimidazoles as Hydrogen Bonding Organocatalysts

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Table of Contents/Abstract Graphic



Abstract

Several bifunctional organocatalysts bearing the 2-aminobenzimidazole unit have been designed in order to act as bifunctional systems by hydrogen bonding. Chiral 2-aminobenzimidazoles are conformational rigid guanidines able to catalyze enantioselectively Michael reaction, direct S_N1 of alcohols and aldol reactions. Some of these organocatalysts can be easily recovered by simple isolation methods and reused without loss of catalytic activity. Related (2-aminoalkyl)benzimidazoles have been used as chiral organocatalysts in aldol and amination reactions of carbonyl compounds.

1. Introduction

Benzimidazole, firstly described by Hobrecker in 1872, is an important heterocyclic motif¹ present in many natural products,² in material science fuel cells,³ in ionic liquids,⁴ and in pharmaceutical industry,⁵ as for instance in the proton pump inhibitor esomeprazole **1**, which also is an antiulcer and antiviral drug (Figure 1). Molecules containing the benzimidazole unit are important in medicinal chemistry due to antiarrhythmic, antihistamine, anticancer, fungicidal, antihelminthical, and ionotropic activities, and in many biological processes.⁶ Recently, chiral benzimidazole derivatives have emerged as valuable structures in asymmetric catalysis either as metal ligands or as organocatalysts. The main features of benzimidazole are the basic character ($pK_a = 5.4$),⁶ high stability, facile synthesis of derivatives,¹ and its capability to form hydrogen bonding. In 2005, Göbel's group discovered that 2-aminobenzimidazoles were good

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