This Sheet Has Been Replaced

Abstract

1. Reductive amination of an amino acid or an amino acid derivative with an α-keto acid or an α-keto acid derivative.

2.1. N-Substituted α-amino acids or dipeptides are valuable intermediates for the preparation of inhibitors of angiotension converting enzyme (ACE), examples of representatives being enalapril and ramipril. These compounds can be prepared by the hydrogenolytic reaction of a primary amine with a ketone, but the known processes are difficult to reproduce and generally still contain considerable amounts of by-products. The novel process makes it possible to obtain good yields and less by-products without introducing high additional costs.

2.2. According to the invention, the hydrogenolysis reaction is carried out with the addition of an organic or inorganic base, basic aluminium oxide being the preferred inorganic base. The formation of one diastereoisomer is favoured by the use of chiral organic bases.

2.3. ACE, blood pressure regulators.