Spotlight 171

This feature focuses on a reagent chosen by a postgraduate, highlighting the uses and preparation of the reagent in current research.

Benzophenone Imine

Compiled by Abel Crespo

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Introduction

Benzophenone imine or (diphenylmethylene)amine (DPMA-H, 1) is a valuable reagent in organic synthesis.\(^1\) It is a commercially available liquid which is easily prepared by addition of phenylmagnesium bromide to benzonitrile followed by hydrolysis with methanol\(^2\) or by reaction of benzophenone with ammonia.\(^3\)

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\begin{array}{c}
\text{NH} \\
\text{1}
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Synthetic applications of 1 have been historically related to peptide chemistry, specifically as protecting group of primary amines during the preparation of optically active \(\alpha\)-amino acids.\(^4\) Used in conjunction with other anion-stabilising groups, 1 provides activation for proton abstraction. More recently, the development of highly efficient tin-free palladium-catalysed amination methodologies by the groups of Buchwald\(^5\) and Hartwig\(^6\) increased its synthetic utility as convenient ammonia surrogate in catalysed coupling reactions.

Abstracts

(A) A. de Meijere et al.\(^7\) have published the base-catalysed reaction of benzophenone imine (1) with methyl-2-chloro-2-cyclopropylideneacetate (2) to give 1,4-adduct 4, which is a valuable intermediate in the synthesis of cyclopropyl-\(\beta\)-amino acids. The formal [2+4] cycloaddition of 1 and 2 affords substituted quinolines.

(B) DPMA-H (1) serves as amino-protecting group in the enantioselective synthesis of functionalized \(\alpha\)-amino acids\(^8,9\) and small peptides\(^8\) using a chiral quaternary ammonium salt as catalyst.
References