SYNLETT Spotlight

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

1,4-Naphthoquinone

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Introduction

1,4-Naphthoquinone (1) is a yellow crystal, slightly soluble in water, soluble in benzene, diethyl ether, chloroform, glacial acetic acid, etc. Having two reactive functional groups, a C–C double bond and two ketone carbonyls, 1,4-naphthoquinone has been widely applied in organic reactions, such as Michael-type additions, aldol-type reactions, Diels–Alder reactions, cycloadditions, Friedel–Crafts reactions, and epoxidation. Further, 1,4-naphthoquinones are widely used in antibacterial and antitumor drugs, and it is an important structural motif in many natural products, such as vitamin K. In addition, its derivatives are also used in industry on a ton scale as dye reagents. 1,4-Naphthoquinone is commercially available (CAS number: 130-15-4) and can be prepared industrially by the oxidation of naphthalene using vanadium pentoxide (V₂O₅) as catalyst.

Abstracts

(A) Using 1,4-naphthoquinone (1) as arylation reagent, Jørgensen and co-workers realized the highly enantioselective α-arylation of aldehydes 2, affording α-arylated products 4 with a dihydroquinone functionality.

(B) Zhou and Wang & Jiang independently reported the organocatalytic asymmetric Michael addition of oxindole 5 to 1,4-naphthoquinone, which could furnish the 3,3-disubstituted oxindoles 6 that are widely presented in natural products and pharmaceutically active compounds.

(C) In the presence of phosphoric acid, the asymmetric 1,3-dipolar cycloaddition of 1,4-naphthoquinone 1 with in situ generated azomethine ylides from aldehydes 2 and diethyl aminomalonate 7 was achieved by Gong and co-workers, which afforded the biologically active isoindolines 9 with excellent yield and ee.
The synthesis of 2-hydroxy-3-anilino-1,4-naphthoquinone was achieved by Zhou and co-workers.

The cycloaddition of azide with 1,4-naphthoquinone by employing the [1,2,3]triazol-3-ium salt was developed.

The epoxidation of 1,4-naphthoquinone, and epoxide-opened 1,4-naphthoquinone by employing the hybrid Au(I)-Brønsted acid binary catalyst system, provided the polycyclic compounds in high yield and ee.

An 'on water' catalyst-free Mukaiyama-aldol reaction of difluoroenoxysilane 10 with 1 was developed by Zhou and co-workers, which furnishes α,α-difluoro-β-hydroxy ketone 11.

References