Chiral Acetylenic Sulfoxides and Related Compounds in Organic Synthesis

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Sulfoxide, sulfinate and sulfonate are used as activators of acetylenic or vinyl units. Several $\alpha, \beta$ unsaturated synthons, namely acetylenic sulfoxide (1), vinyl sulfoxide (2), acetylenic sulfinate (3), acetylenic sulfonate (4), and 1-propene-1,3-sultone (5) are developed. Their applications in Diels-Alder reactions, heterocycle and alkaloid syntheses are also investigated. For the chiral acetylenic sulfoxide, the sulfoxide moiety not only enables chemical activation of the acetylene unit, it can also induce stereochemical control at the adjacent carbon centers to achieve enantioselective synthesis.

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Introduction

Sulfoxide, sulfinate and sulfonate are electron-withdrawing groups [1]. They are all capable of stabilizing their corresponding adjacent carbanionic centers. For example, sulfoxide-stabilized α-carbanions have been extensively used for C–C bond formation including asymmetric synthesis [2]. Over the last few years, our research group has been exploring the uses of these sulfur-containing functional groups as activators of acetylenic or vinylic units. Several α, β-unsaturated synthons, namely acetylenic sulfoxide 1, vinyl sulfoxide 2, acetylenic sulfinate 3, acetylenic sulfonate 4, and propene sultone 5 have been developed and their applications in organic synthesis investigated.

For the acetylenic sulfoxide, because of its configurationally stable pyramidal stereogenic sulfur atom (a lone electron pair, an oxygen and two different carbon substituents), it can exist in chiral forms. Therefore, in chiral acetylenic sulfoxide, the sulfoxide moiety not only serves as a chemical activator of the acetylene unit, it can also induce stereochemical control at the adjacent carbon centers to achieve enantioselective synthesis. In this article, we shall discuss the preparation of these α, β-unsaturated synthons and their applications in Diels-Alder reactions, heterocycle and alkaloid syntheses.