HIGHLY DIASTEREOSELECTIVE SYNTHESIS OF AZA-DIELS-ALDER REACTION OF DANISHEFSKY DIENE WITH GLYOXYLATE IMINES

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Aza-Diels-Alder reaction is an exceptionally powerful synthetic method for the construction of six-membered nitrogen-heterocycles.[1-3] The reaction of Danishefsky's diene 1 with iminoacetates 2 (imines of glyoxylates) provides a convenient protocol for the synthesis of piperidone adducts 3 (Scheme 1). In this context, we have performed the synthesis of various cycloadducts, precursors of a wide variety of chiral piperidines with potential use as non-natural amino acids or as precursors of biologically active compounds, including iminosugars (glycomimetics).[1-4]

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\begin{align*}
\text{R} &= \text{H; Me} \\
\text{R}^* &= \text{8-phenylmenthyl; 8-phenyl neomenthyl}
\end{align*}
\]

![Scheme 1](image)

In this communication we report the diastereoselective synthesis of 1,2,3,4-tetrahydro-4-oxopyridine-2-carboxylic esters (3). These compounds represent an important group of synths, useful in the preparation of six-membered ring iminosugars derived from 4-oxopipeolic acid.[5]

References: