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

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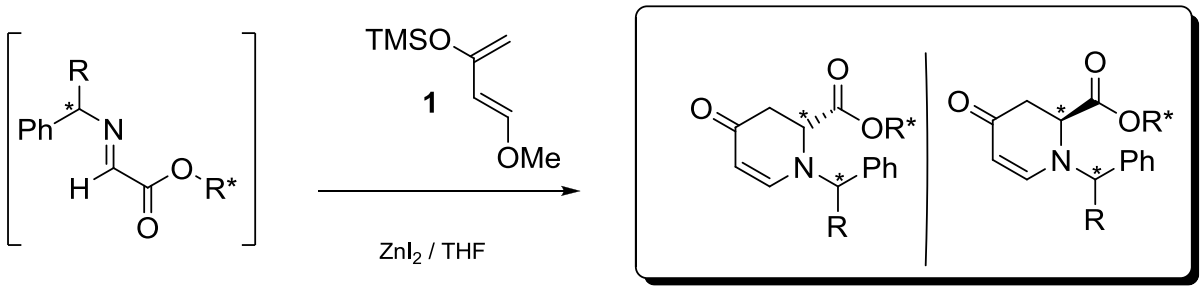
Aza-Diels-Alder reaction is an exceptionally powerful synthetic method for the construction of six-membered nitrogen-heterocycles.[1-3] The reaction of Danishefsky's diene **1** with iminoacetates **2** (imines of glyoxylates) provides a convenient protocol for the synthesis of pipiridone adducts **3** (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]



R= H; Me
R*= 8-phenylmenthyl; 8-phenyl neomenthyl

2 **3**

Esquema 1

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 synthons, useful in the preparation of six-membered ring iminosugars derived from 4-oxo-pipecolic acid.[5]

References:

[1] - Weinreb SM: Hetero Dienophile Additions to Dienes**.** In *Comprehensive Organic Synthesis Volume 5*. Edited by: Trost BM, Fleming I. Pergamon: Oxford; **1991**:401.
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