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### Copper(I) Complexes of Fesulphos Ligands: Highly Efficient Chiral Lewis Acids for the Formal Aza Diels-Alder Reaction of *N*-Sulfonyl Imines

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## Copper(II) Complexes of Fesulphos Ligands: Highly Efficient Chiral Lewis Acids for the Formal Aza Diels–Alder Reaction of *N*-Sulfonyl Imines

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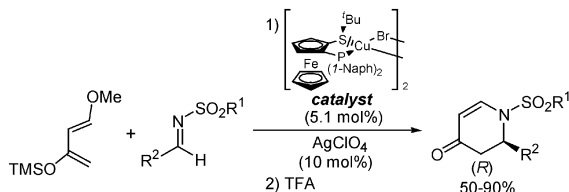
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**Keywords** Aza Diels–Alder; copper-catalyzed; *N*-sulfonyl imines; planar chirality; sulfur ligand

### INTRODUCTION

Enantiopure dihydropyridones are intermediates of great interest in alkaloid synthesis.<sup>1</sup> The enantioselective Aza Diels–Alder reaction (ADAR) of electron-rich dienes with aldimines is one of the most powerful strategies for the construction of such nitrogen heterocycles.

Herein we describe how copper(I) complexes of planar chiral Fesulphos ligands<sup>2</sup> act as highly efficient catalysts in enantioselective ADAR of *N*-sulfonyl imines with Danishefsky diene.<sup>3</sup> The application of this methodology to the synthesis of alkaloids is also presented.



**SCHEME 1** Enantioselective Aza Diels–Alder reaction of *N*-sulfonyl imines.

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**TABLE I Scope of the ADAR in the Presence of Fesulphos-Copper Catalyst**

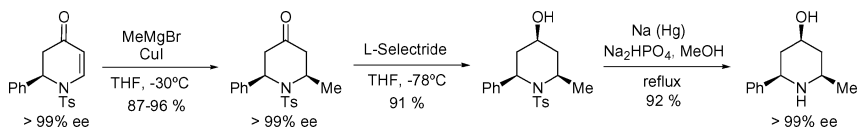
R <sup>1</sup>	R <sup>2</sup>	ee (%) <sup>a</sup>
2-Thiophen	Ph	93 <sup>b</sup>
( <i>p</i> -NO <sub>2</sub> )C <sub>6</sub> H <sub>4</sub>	Ph	90 <sup>b</sup>
( <i>p</i> -OMe)C <sub>6</sub> H <sub>4</sub>	Ph	94 <sup>b</sup>
( <i>p</i> -Me)C <sub>6</sub> H <sub>4</sub>	Ph	93 <sup>b</sup> (97) <sup>c</sup>
( <i>p</i> -Me)C <sub>6</sub> H <sub>4</sub>	( <i>p</i> -F)C <sub>6</sub> H <sub>4</sub>	88 <sup>b</sup> (93) <sup>c</sup>
( <i>p</i> -Me)C <sub>6</sub> H <sub>4</sub>	( <i>p</i> -OMe)C <sub>6</sub> H <sub>4</sub>	91 <sup>b</sup>
( <i>p</i> -Me)C <sub>6</sub> H <sub>4</sub>	2-Naph	86 <sup>b</sup> (93) <sup>c</sup>
( <i>p</i> -Me)C <sub>6</sub> H <sub>4</sub>	PhCH=CH	83 <sup>b</sup> (96) <sup>c</sup>
( <i>p</i> -Me)C <sub>6</sub> H <sub>4</sub>	<i>n</i> -Pr	82 <sup>b</sup>

<sup>a</sup>Determined by HPLC. <sup>b</sup>At rt. <sup>c</sup>At -20°C. <sup>d</sup>At -78°C.

## RESULTS

High enantioselectivities (ee = 82–97%, in CH<sub>2</sub>Cl<sub>2</sub>) were achieved with a number of *N*-aryl sulfonyl imines derived from both aliphatic and aromatic aldehydes (Scheme 1 and Table I). Interestingly, the enantioselectivity is high, regardless of the substitution at the arylsulfonyl group.

Ready access to (2*R*, 4*S*, 6*R*)-4-hydroxy-2-phenyl-6-methylpiperidine<sup>4</sup> from the phenyl cycloadduct (R<sup>2</sup> = Ph), which was obtained in enantiopure form upon a single recrystallization, has been accomplished by simple functional group transformations (Scheme 2).

**SCHEME 2** Synthesis of (2*R*, 4*S*, 6*R*)-4-hydroxy-2-phenyl-6-methylpiperidine.

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