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

**α-Amido Sulfones as Imine Precursors in Enantioselective Nucleophilic Additions**

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**Introduction**

α-Amido sulfones have emerged as valuable precursors of imines in enantioselective nucleophilic addition reactions because their use offers several advantages.1 Imines are generated in situ from α-amido sulfones by the elimination of the sulfone group under basic or acid conditions. The in situ formation avoids the competitive enolization process that often occurs when using imines and which hinders an effective nucleophilic addition. Moreover, unlike imines, α-amido sulfones are stable solids which can be easily synthesized and stored for a long period of time.

**Preparation**

Various methodologies have been described for the synthesis of diverse α-amido sulfones.2 The most extended preparation method consists of a three-component coupling of aldehyde, carbamate (or a proper nitrogenated compound, such as an amide) and sodium p-toluene-sulfinate.

![Scheme 1](image)

**Abstracts**

(A) **Mannich Reaction**

α-Amido sulfones are used as aliphatic imine precursors in the catalytic asymmetric Mannich reaction with glycine derivative 5. Linear, branched or cyclic substrates give the corresponding products in excellent diastereo- and enantioselectivities. Noteworthy are the use of formaldehyde-derived α-amido sulfone for α-aminomethylation of glycine derivatives and the selective orthogonal N-deprotection of the obtained β-alkyl-α,β-diamino acid derivatives.6

(B) **Hydrophosphonylation**

Enantioenriched α-amino phosphoric acid derivatives 8 can be synthesized by the asymmetric hydrophosphonylation of aliphatic N-Cbz and N-Boc α-amido sulfones 3 using a phase-transfer catalyst. High yields and enantioselectivities are afforded.4

(C) **Cycloaddition**

Propionyl chloride 9 and α-amido sulfones as precursors of N-thioacylimines undergo catalytic asymmetric [4+2] cycloadditions with excellent enantio- and diastereoselectivities. The in situ formation of the imine is crucial to overcome its tautomerization to the enamine. The final enantioenriched thiazinone adducts 10 behave as activated ester surrogates.8
SO₂Tol  SO₂Tol  SO₂Ph  SO₂Ph  SO₂Ph  N  L  NO₂  P  R²

References