

Evans's oxazolidinone for the asymmetric α -alkylation of enolates

Ratio measured by i) HPLC, ii) GC or iii) ¹H NMR Diastereomers can be separated by conventional methods



diastereoselectivity

Only one enolate geometry formed (*cis*) due to 1) chelation of Li to the carbonyl of the auxiliary and 2) minimisation of steric interaction as H prefers to eclipse ⁱ⁻Pr group instead of Me eclipsing ⁱ⁻Pr group. Also the large ⁱ⁻Pr group shields one face of the enolate.



Other methods of cleaving the auxiliary



Preparation of the chiral auxiliary

$$H_2N$$
 CO_2H $LiAlH_4$ or BH_3 H_2N OH EtO OEt HN OEt CO_3 CO_3 CO_3 CO_3 CO_3 CO_3 CO_3 CO_4 CO_5 CO_5

(R)- valine



Evans Oxazolidinone Auxiliaries

$$O \longrightarrow VH$$

$$CH_3$$

$$CH_3$$

(S)-(-)-4-Isopropyl-2-oxazolidinone

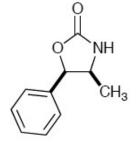
(R)-(+)-4-Isopropyl-2-oxazolidinone

(S)-(-)-4-Benzyl-2-oxazolidinone

(R)-(+)-4-Benzyl-2-oxazolidinone

(S)-(+)-4-Phenyl-2-oxazolidinone

(R)-(-)-4-Phenyl-2-oxazolidinone



(4S,5R)-(-)-4-Methyl-5-phenyl-2-oxazolidinone

(4*R*,5*S*)-(+)-4-Methyl-5phenyl-2-oxazolidinone

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Evans Oxazolidinone Auxiliaries

- With reactive alkylating agents (e.g. benzylic halides, allylic halides and iodomethane) the lithium enolate can be used.
- · Less reactive alkylating agents require the use of sodium enolates and/or triflate as a leaving group



Evans's oxazolidinone for the asymmetric α -alkylation of enolates

$$\begin{array}{c|c} R & \begin{array}{c} \\ \\ \\ \end{array} & \begin{array}{c} \\ \\ \end{array} & \begin{array}{c$$



Evans's oxazolidinone for Some other reactions