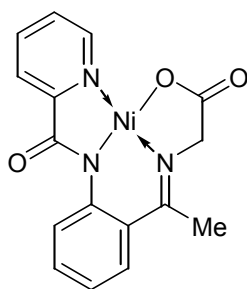
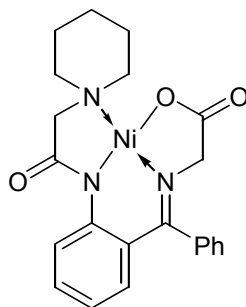
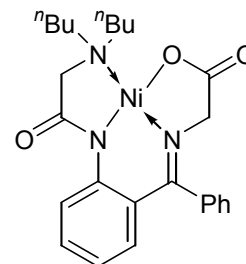
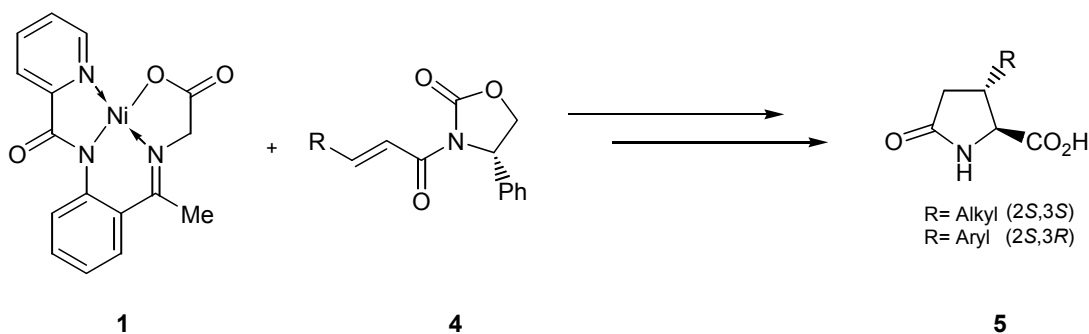


Soloshonok Nucleophilic Glycine Equivalents for Synthesis of α -Amino Acids


 P1738 **1**

 P1737 **2**

 D3543 **3**

Recently, Soloshonok *et al.* have developed Ni(II) complexes of glycine Schiff base **1**, **2** and **3** that is used as nucleophilic glycine equivalents for preparation of structurally varied tailor-made α -amino acids. They have found a unique combination of **1** and *N*-(*E*-enoyl)oxazolidin-2-ones **4** as α,β -unsaturated carboxylic acid derivatives allowing the corresponding Michael addition reactions to proceed at room temperature in the presence of a catalytic amount of organic non-chelating base with virtually complete diastereoselective and quantitative chemical yield¹⁾. Also, with simple workup conditions such as acidic decomposition of adduct followed by aqueous ammonium hydroxide treatment to afford β -substituted pyroglutamic acids **5** in enantio- and diastereomerically pure form.

Michael addition reaction



With the same success, in terms of virtually complete chemical (>95% yield) and stereochemical (>95% *ee* and *de*) outcome, derivatives **2** and **3** can be applied in these Michael addition reactions.

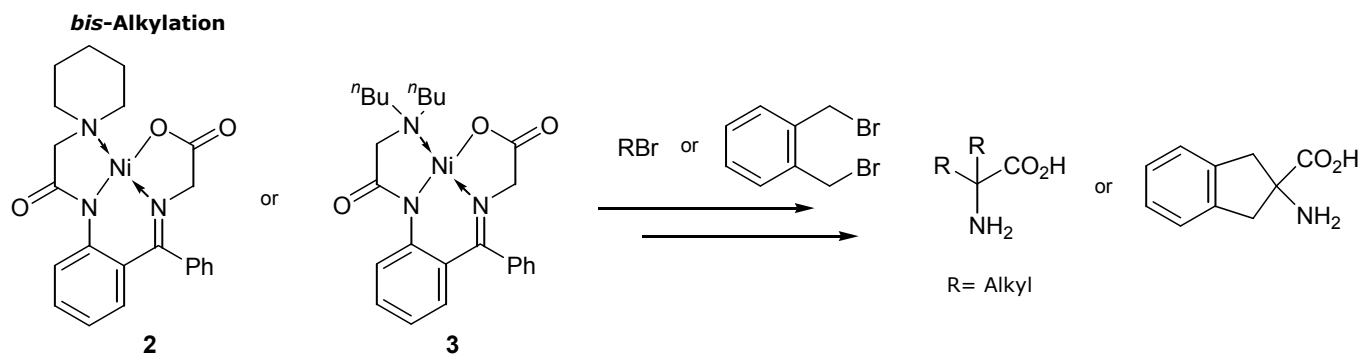
In particular, complex **1** has been successfully used for large-scale (kg) production of several enantiomerically pure β -substituted pyroglutamic acids.

Keywords : glycine equivalents, asymmetric synthesis, Michael addition reaction

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Moreover, they have demonstrated that complexes **2** and **3** easily undergo complete *bis*-alkylation with various alkyl halides in the presence of sodium tert-butoxide to give a practical access to the corresponding *sym*- α,α -dialkylated α -amino acids²⁾ and cyclic α,α -disubstituted α -amino acids³⁾.



Application of these complexes in bis-alkylation reactions can be conducted under operationally convenient conditions (ambient temperature) and allows for preparation of highly sterically constrained *bis*-amino acids in high chemical yields.

Besides, these complexes, **2** and **3**, have a potential to be used under phase transfers conditions (PTC), using chiral phase transfer catalysts for asymmetric synthesis of α -amino acids⁴⁾.

Products

P1738	[N-[1-[2-(2-Pyridylcarboxamido)phenyl]ethylidene]glycinato]nickel	(1)	1g	100mg
P1737	[N-[α -[2-(Piperidinoacetamido)phenyl]benzylidene]glycinato]nickel	(2)	1g	100mg
D3543	[N-[α -[2-(Dibutylglycinamido)phenyl]benzylidene]glycinato]nickel	(3)	1g	100mg

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