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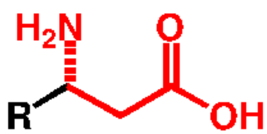
Enantioselective Reactions

Prabagaran Narayanasamy

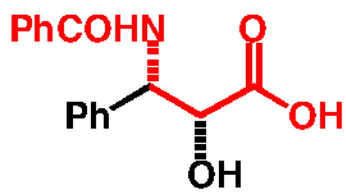
A. Novel methodology for enantioselective synthesis of all β-amino acids

Importance of β-amino acids

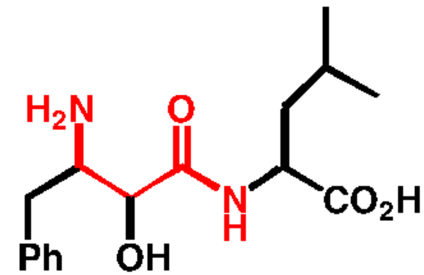
β-amino acid component in various natural products and biologically important compounds



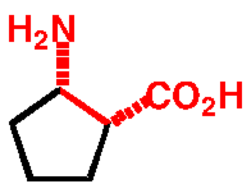
Simple β-Amino Acid



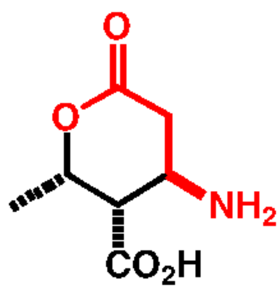
Taxol Side Chain



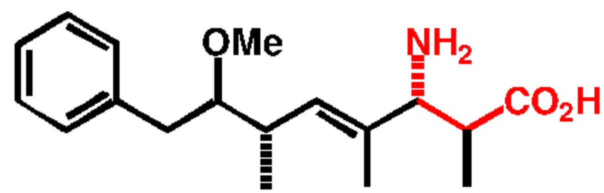
Bestatin



Cispentacin

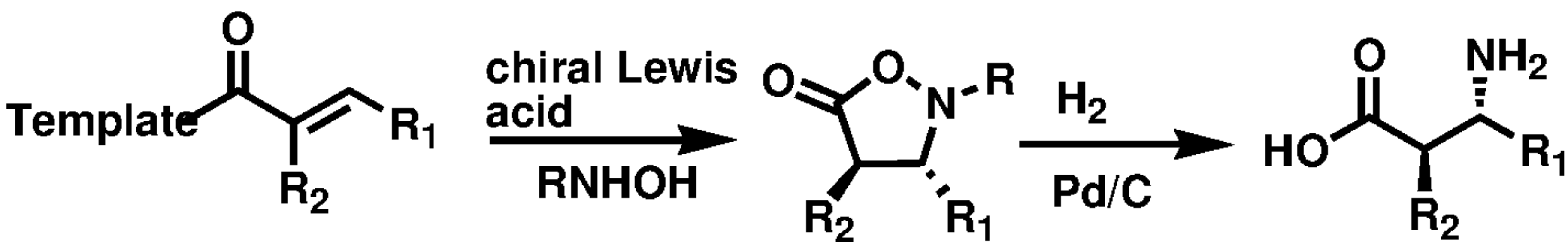


Thienamycin Precursor



Adda-Nodularin constituent

Goal

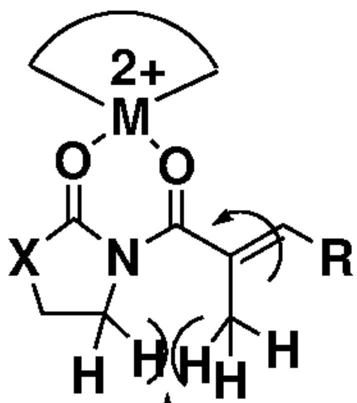


1. Good reactivity and yield
2. High enantioselectivity
3. High diastereoselectivity
4. Good scope
5. Easy to use
6. To synthesize any amino acid

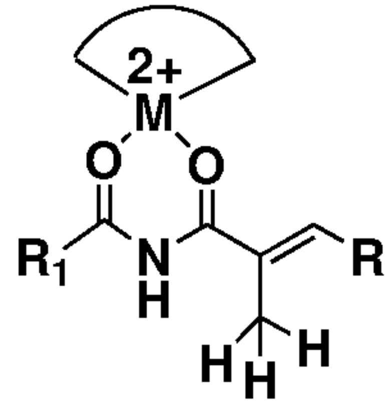
Search for a better template

Higher rates of reaction observed for conjugate addition on tiglates with acyclic imide template

X = CH_2, O

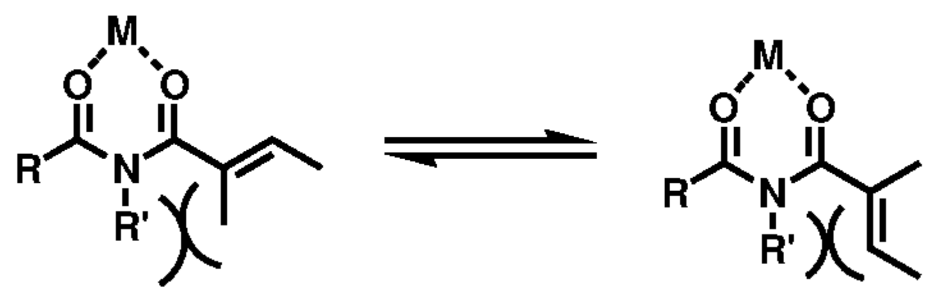


steric interaction, double bond moves out of conjugation

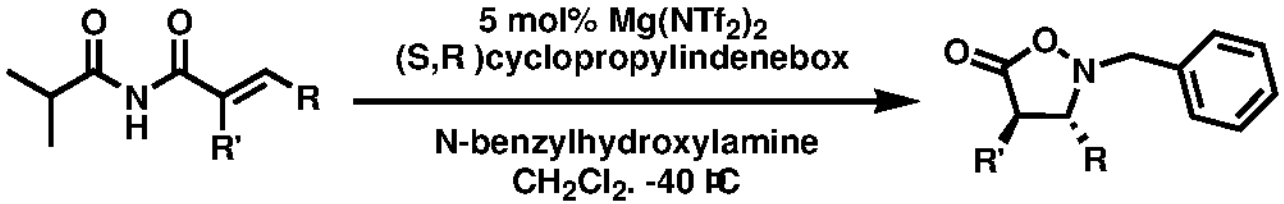


coplanar arrangement, hence more effective resonance activation of double bond

1. Rotamer control elements in the substrate
2. No problematic interaction
3. Intact conjugation



Synthesis of α,β-disubstituted β-amino acids

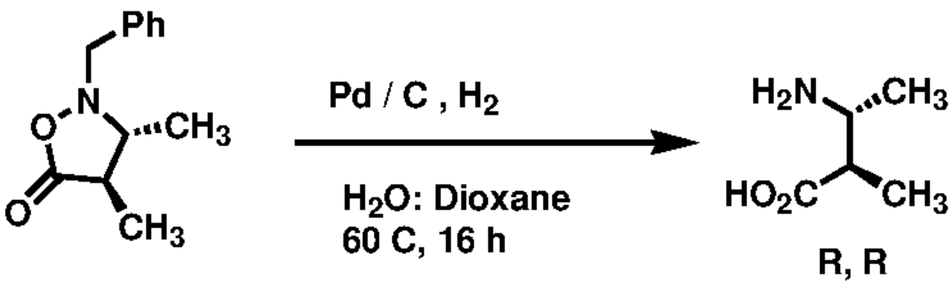


R	R'	Yield %	de %	ee %
Methyl	Methyl	95	96	96
Methyl	Ethyl	70	98	86
Methyl	Bromo	76	99	76
Methyl	Phenyl	90	95	90
Ethyl	Methyl	82	96	90
n-propyl	Methyl	92	95	89
i-propyl	Methyl	28	95	81
i-butyl	Methyl	64	95	77
n-heptyl	Methyl	73	96	87
Ethyl	Ethyl	72	96	60
Phenyl	Methyl	38	95	76
Phenyl	Phenyl	49	93	84

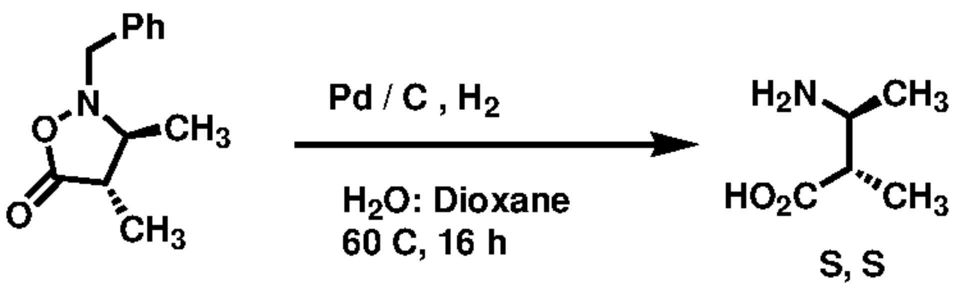
- Similar results with Mg perchlorate Lewis acid,cyclohexyl template and Mg triflimide, and cyclohexyl template and Mg perchlorate

Mukund P. Sibi, Narayanasamy Prabagaran, Sandeep Ghorpade and Craig Jasperse, *J. Am. Chem. Soc.*, **2003**, 11796-11797.

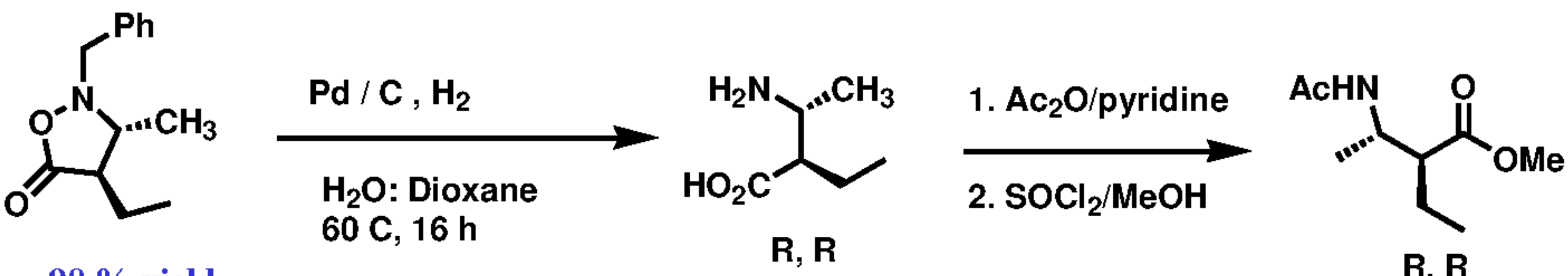
Hydrogenolysis to β -amino acids



[α] = -8.0, C = 1.0 , H_2O : lit : [α] = -8.7, C =1.2, H_2O



[α] = 7.4, C = 1.2 , H_2O : lit : [α] = 10.0, C =1.2, H_2O



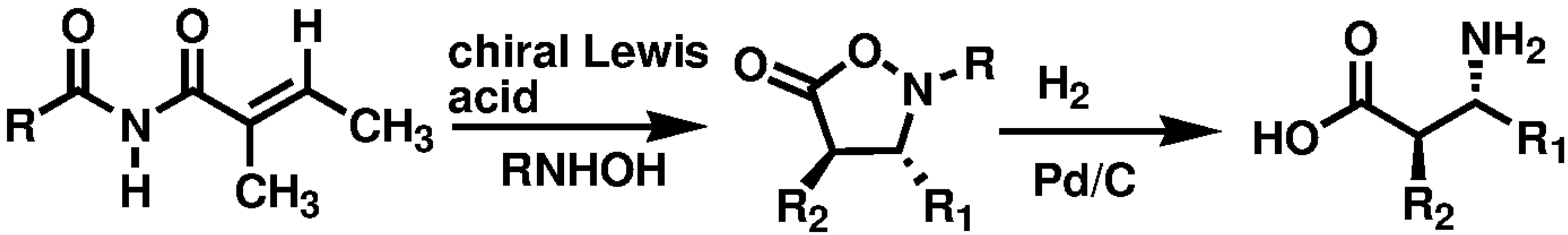
90 % yield

5 G scale

Confirmed absolute configuration

[α] = 15.0, C = 0.2 , $CHCl_3$: lit : [α] = 14.3, C =0.3, $CHCl_3$

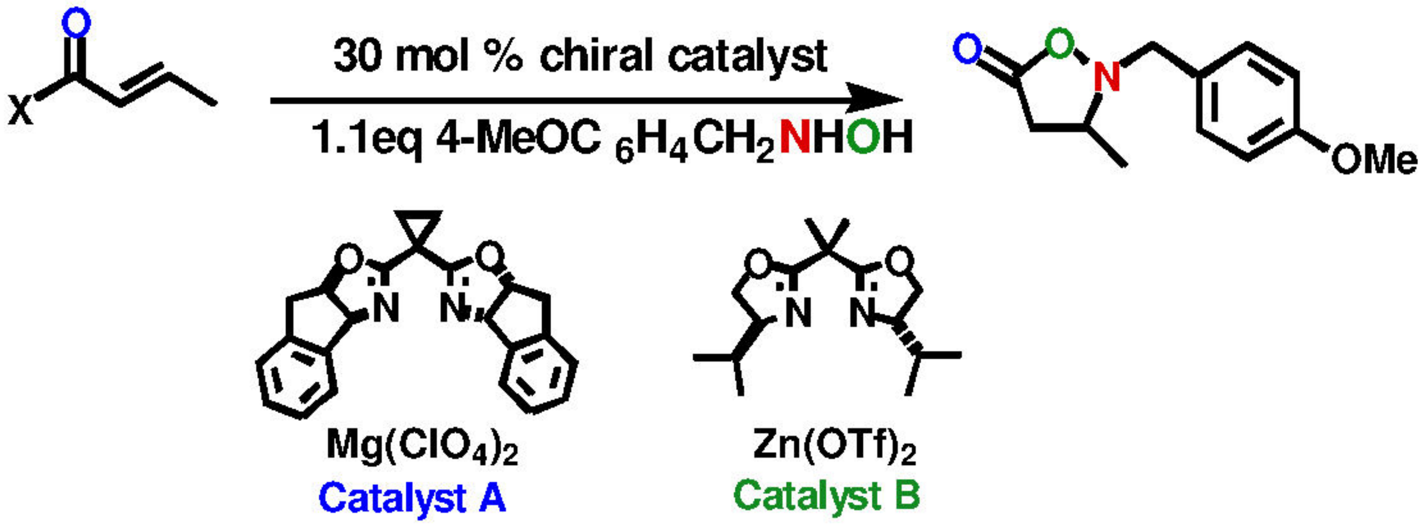
Can synthesize all β- amino acids

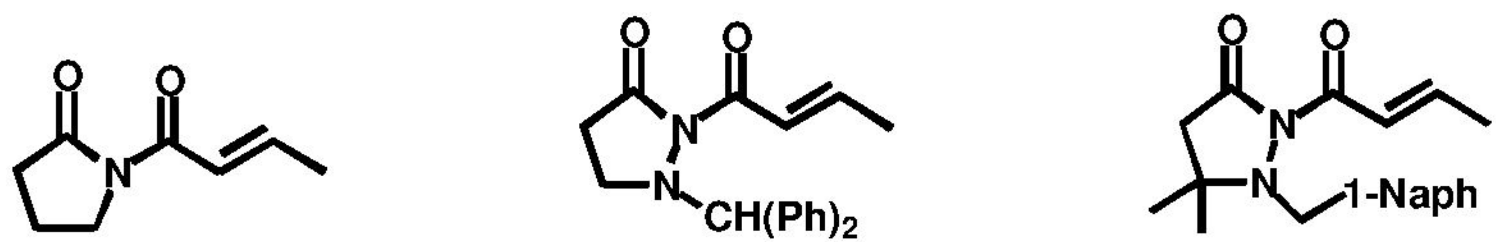


B. Asymmetric Free radical addition reaction-chiral Relay technique

1. Asymmetric radical reaction
2. Chiral relay technique in asymmetric radical reaction
3. Why change in configuration? where to use ?

A demonstration of chiral relay with pyrazolidinones





A: 0°C, 55% ee (R)
A: -60°C, 86% ee (R)
B: 0°C, 29% ee (S)

A: 0°C, 84% ee (R)
A: -60°C, 96% ee (R)
B: 0°C, 57% ee (S)

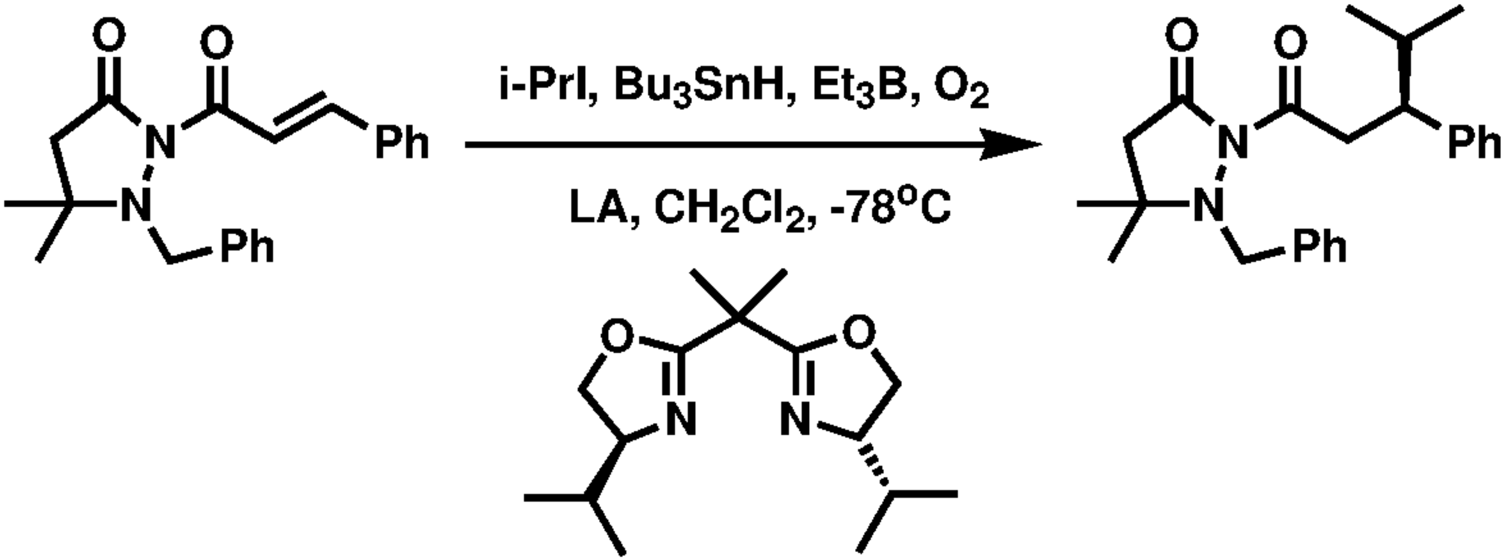
A: 0°C, 81% ee (R)
A: -25°C, 96% ee (R)
B: 0°C, 75% ee (S)

Sibi, M. P. et al J. Am. Chem. Soc. 2001, 123, 8444; Sibi, M. P.; Liu, M. Org. Lett. 2001, 3, 4181.

Why change in configuration? where to use ?

Asymmetric radical reactions

Lewis acid Screening



LA	Yield (%)	ee (%)
$Mg(NTf_2)_2$	90	62
$Zn(NTf_2)_2$	78	52
$Y(NTf_2)_3$	92	4
$Cu(OTf)_2$	94	-98

Metal geometry

Chiral Relay Using Pyrazolidinone Templates:

Cu complexes

- square planar metal geometry

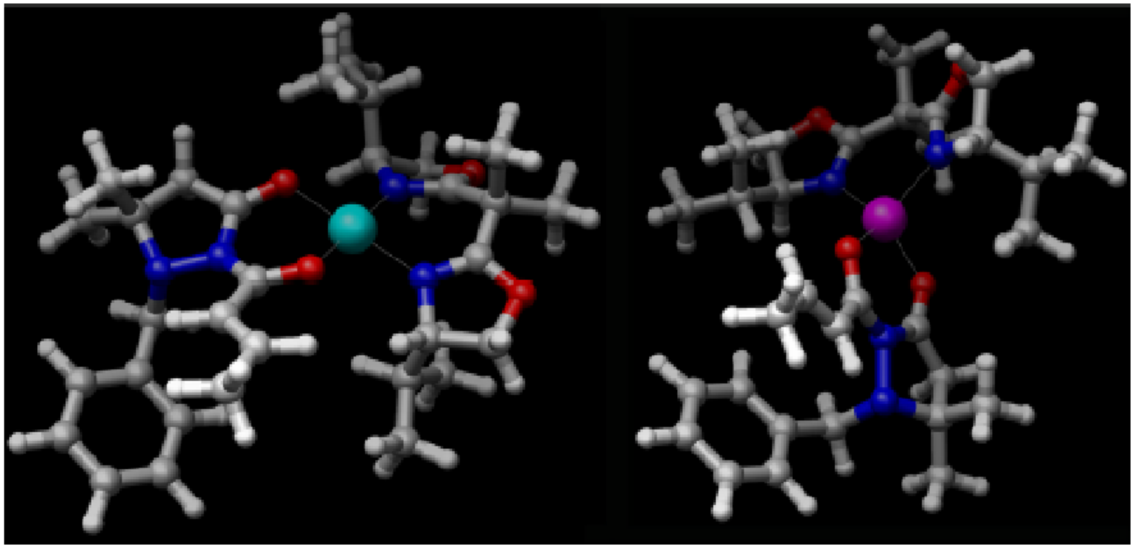
- efficient amplification of enantioselectivity is induced through the fluctional group

Mg complexes

- *cis*-octahedral or tetrahedral metal geometry

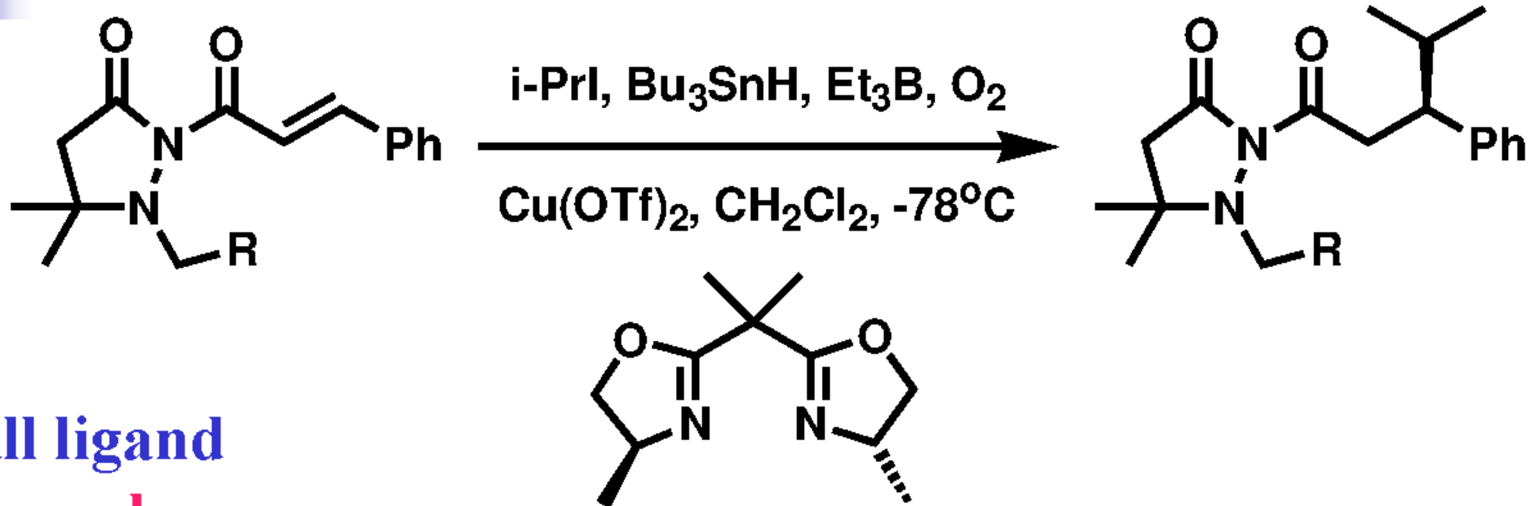
- ligand is chelated orthogonally relative to the substrate

- more strongly directing ligands are required to achieve high selectivity, with the fluctional group playing only a secondary role



$Cu(OTf)_2$ $Mg(NTf)_2$

Chiral relay in free radical reaction



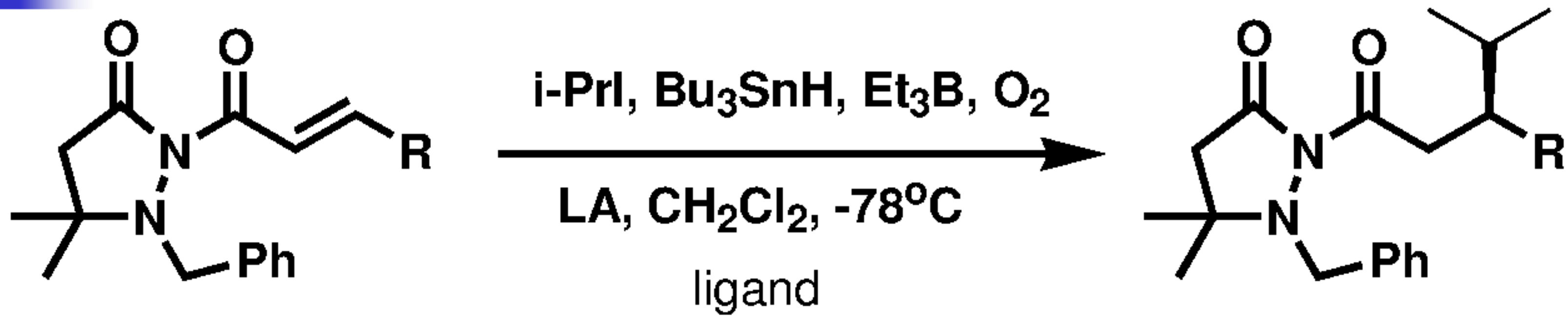
Small ligand

Square planar

R	Yield (%)	ee (%)
n-propyl	95	52
i-propyl	95	58
Phenyl	92	82
1-Naphthyl	91	90
2,4,6 tri-i-propyl phenyl	23	10

N. Prabagaran and Mukund P. Sibi, *Syn. Letters*, 2004, 13, 2421-2424

Different substrates

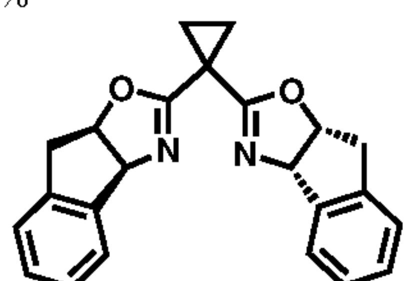


R	Yield (%)	ee (%)
Ph	95	99
3-furan	58	54
Me	85	98
Et	82	90
[a]CO_2Et	50	56

R	Yield (%)	ee (%)
Ph	94	-98
3-furan	60	-95
Me	80	-95
Et	84	-98
[a]CO_2Et	52	-58

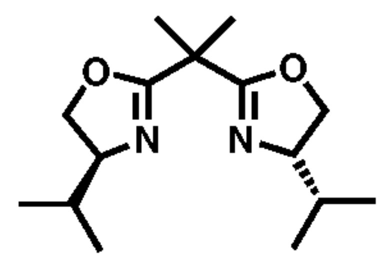
a-100 mol %

MgI_2



ligand

$Cu(OTf)_2$



ligand

Conclusion

1. We have made a new methodology for enantioselective synthesis of all beta amino acid

2. Enantioselective Free radical reactions- chiral relay technique and geometrical study of catalyst was completed

Chemical Sciences Related Journals

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Chemical Sciences
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