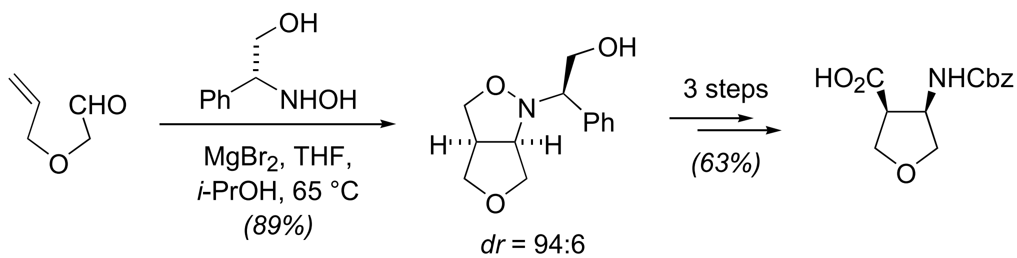
Synthesis of Cyclic and Acyclic [redacted]-Amino Acids via Chelation-Controlled 1,3-Dipolar Cycloaddition

Hanselmann, R.; Zhou, J.; Ma, P.; Confalone, P.N.

Bristol-Myers Squibb Pharma Company

J. Org. Chem. **2003**, *68*, 8739



"Although some powerful enantioselective synthetic methodologies have been reported, diastereoselective approaches have proven to be reliable competitive synthetic strategies."

Recent Developments in the Catalytic Asymmetric Synthesis of [redacted]- and [redacted]-Amino Acids

Ma, J.

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56 references published between 1999 and 2003,
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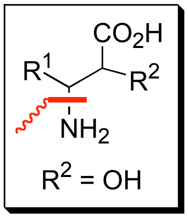
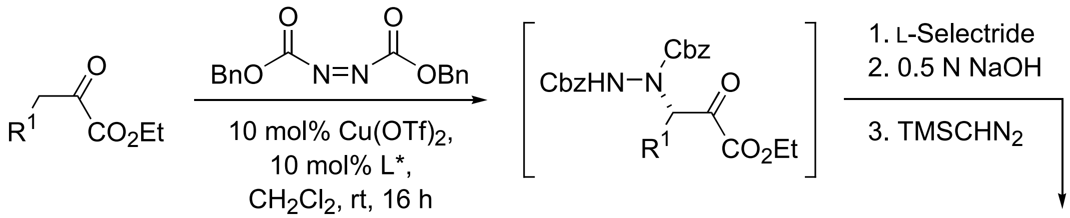
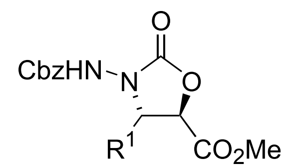
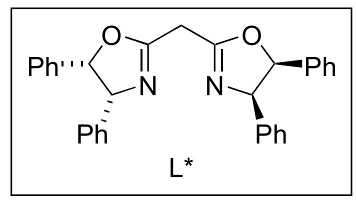
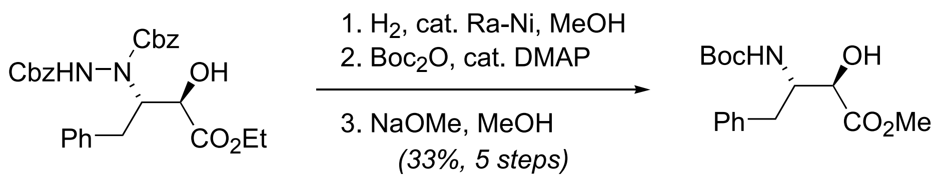






R^1	4 step Yield (%)	*ee* (%)	
CH_2Ph	57	89	(THF)
CH_3	45	90	
$(CH_2)_4CH_3$	63	93	
$CH_2CH=CH_2$	62	93	
$(CH_2)_2CH=CH_2$	52	92	
$CH_2CH(CH_3)_2$	53	96	
$CH(CH_3)_2$	78	95	
$CH_2(c\text{-}C_6H_{11})$	72	96	(THF)





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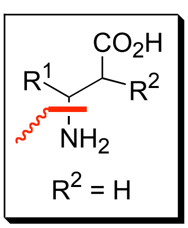
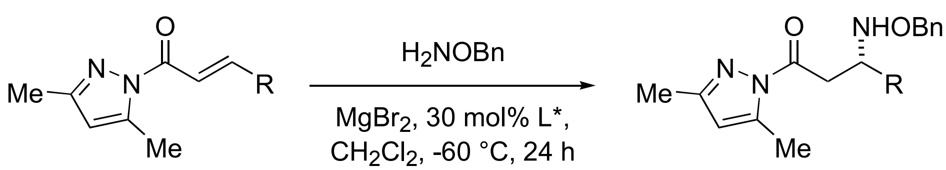
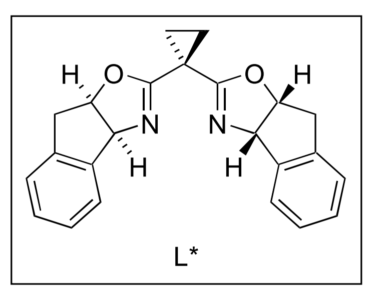




R^1	Yield (%)	*ee* (%)
CH_3	80	92
CH_2CH_3	74	92
$CH_2(c\text{-}C_6H_{11})$	53	90
CH_2Ph	80	95
$CH(CH_3)_2$	76	87
Ph	24	83



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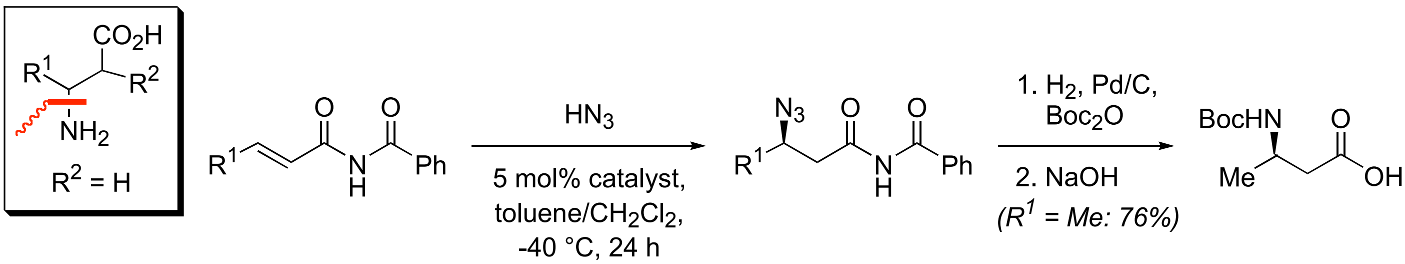
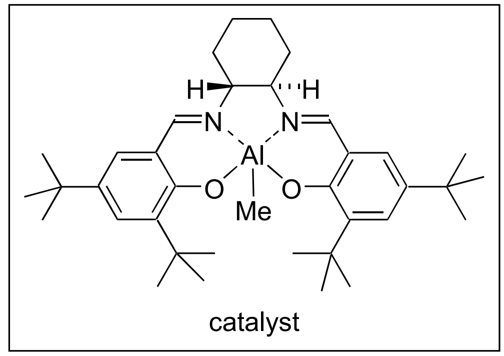


R^1	Yield (%)	*ee* (%)	
CH_3	96	96	
CH_2CH_3	97	97	
$(CH_2)_2CH_3$	97	95	
$CH(CH_3)_2$	98	97	
$C(CH_3)_3$	99	97	(-30 °C)
CH_2Ph	97	95	
CH_2OBn	93	96	
Ph	60	58	(rt, 10 mol% catalyst)



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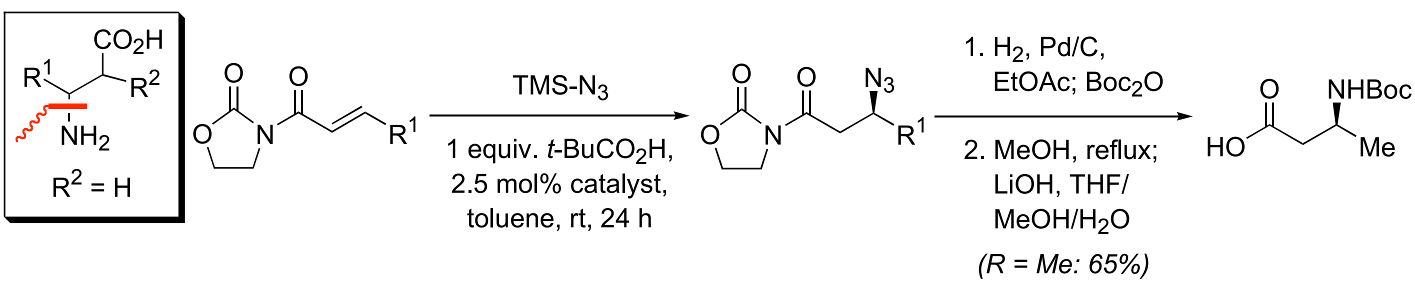
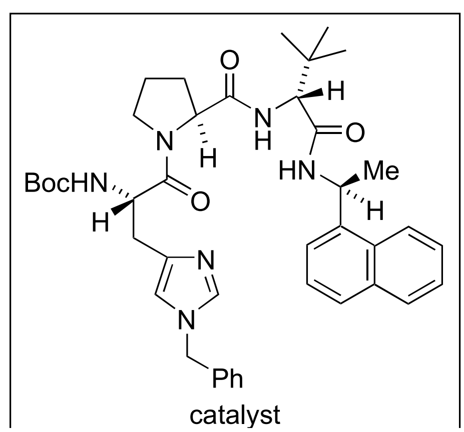


R^1	Yield (%)	*ee* (%)
CH_3	97	63
c-C_6H_{11}	79	85
$CH(CH_3)_2$	84	82
CH_2CH_3	91	71
N-Boc-4-piperidine	85	71



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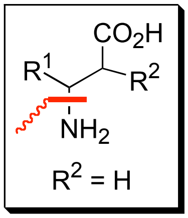
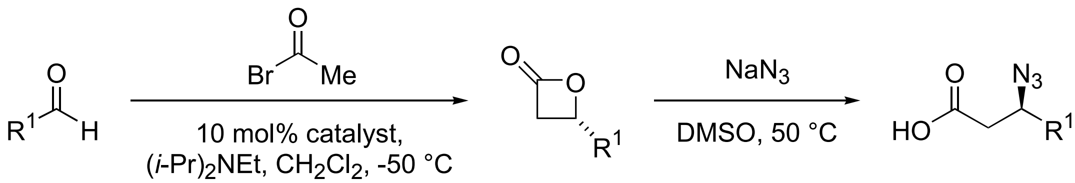
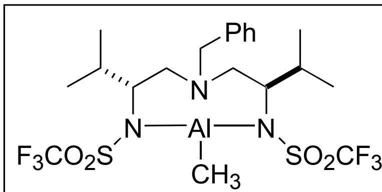




R^1	Yield (%) β-lactone	*ee* (%) β-lactone	Yield (%) azide	*ee* (%) azide
CH_2OBn	88	91	94	92
$(CH_2)_2Ph$	96	97	95	93
$CH_2CH(CH_3)_2$	95	95	95	97
$(CH_2)_2CH_3$	95	96	78	
$(CH_2)_3CH_3$	80	97	83	
$(CH_2)_8CH{=}CH_2$	96	94	87	
c-C_6H_{11}	48*	99	93	



catalyst

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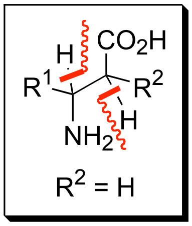
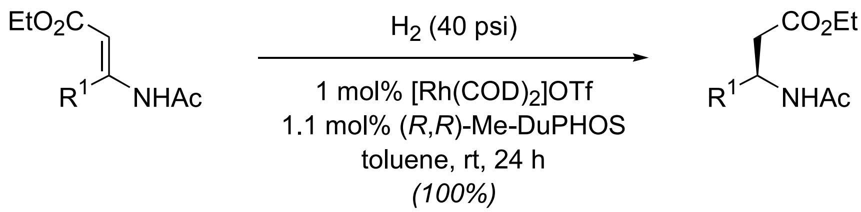
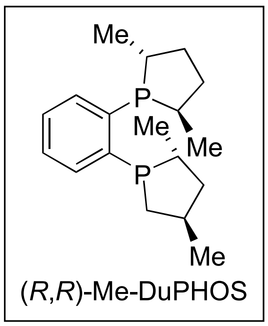
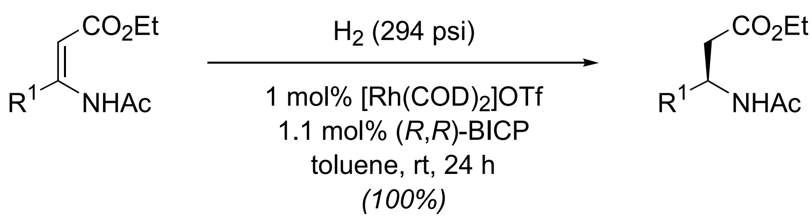
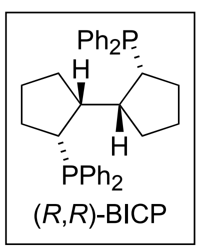






R^1	*ee* (%)	
CH_2CH_3	99.6	(methyl ester)
$CH_2CH(CH_3)_2$	98.5	(methyl ester)
CH_3	98.7	
$(CH_2)_2CH_3$	99.6	
$CH(CH_3)_2$	97.6	
Ph	65	(methyl ester, *E*/*Z* = 1:1, 294 psi H_2)





R^1	*ee* (%)	
CH_2CH_3	87	(methyl ester)
$CH_2CH(CH_3)_2$	93	(methyl ester, 93% conversion)
CH_3	88	
$(CH_2)_2CH_3$	91	
$CH(CH_3)_2$	91	
Ph	65	(methyl ester, *E*/*Z* = 1:1)

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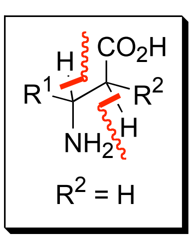
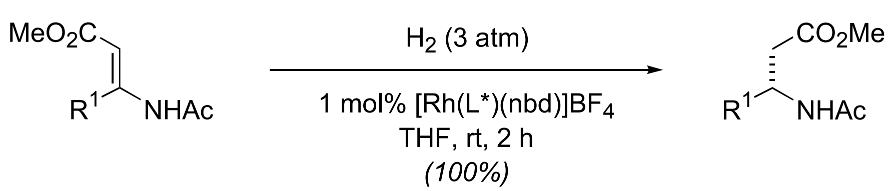
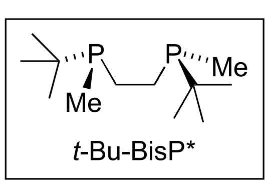
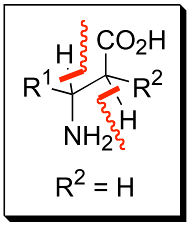


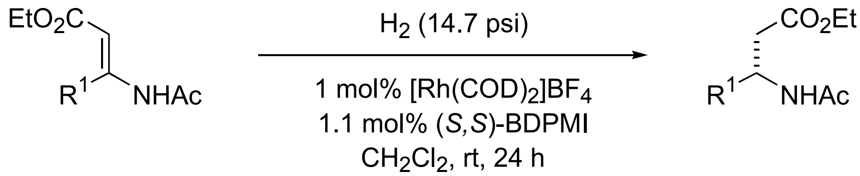


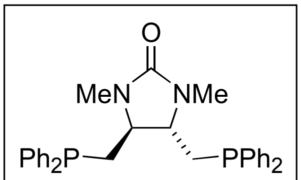


R^1	*ee* (%)	
CH_3	98.7	
CH_2CH_3	97.2	
$(CH_2)_2CH_3$	98.5	(ethyl ester)

Lee, S.-g.; Zhang, Y. J.
Org. Lett. **2002**, *4*, 2429

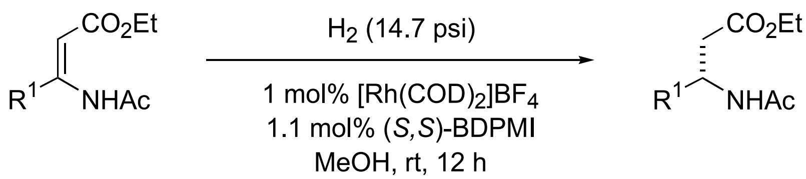






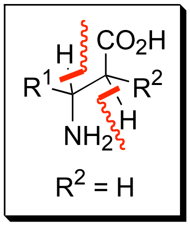
(*S*,*S*)-BDPMI

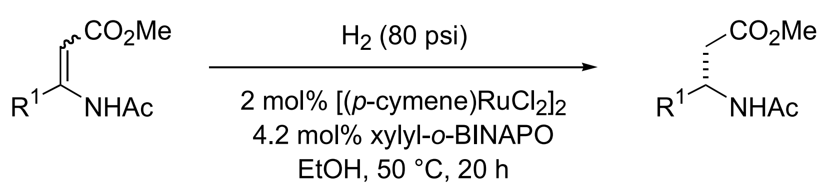
R^1	*ee* (%)	
CH_3	95	
CH_3	93	(*E*/*Z* = 1:1, 100 psi H_2, 4 h)
CH_2CH_3	94	
$CH(CH_3)_2$	92	
$CH_2CH(CH_3)_2$	90	



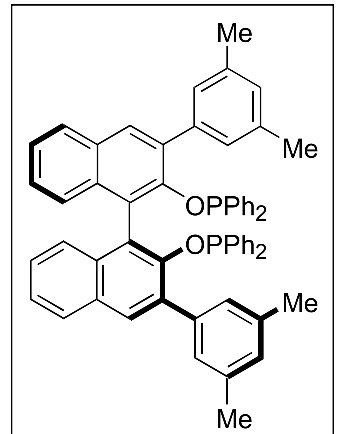
R^1	*ee* (%)	
CH_3	95	
CH_3	93	(*E*/*Z* = 1:1)
CH_2CH_3	94	
CH_2CH_3	93	(*E*/*Z* = 1:1)
$CH(CH_3)_2$	92	
$CH(CH_3)_2$	91	(*E*/*Z* = 1:1)
$CH_2CH(CH_3)_2$	90	
$CH_2CH(CH_3)_2$	89	(*E*/*Z* = 1:1)
Ph	76	(*E*/*Z* = 1:1, 40 psi H_2)

Lee, S.-g.; Zhang, Y. J.
Org. Lett. **2002**, *4*, 2429





R^1	*ee* (%)
Ph	99
p-FC_6H_4	99
p-ClC_6H_4	97
p-BrC_6H_4	97
p-MeC_6H_4	99
p-$MeOC_6H_4$	99
o-MeC_6H_4	96
o-$MeOC_6H_4$	80



xylyl-*o*-BINAPO

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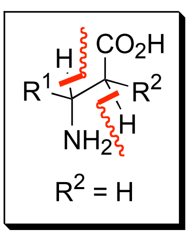
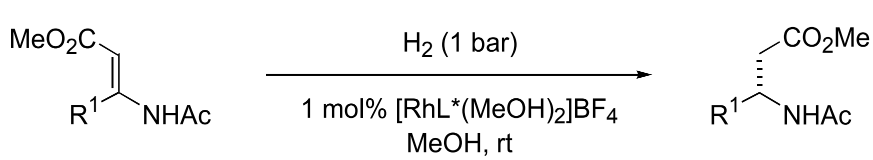
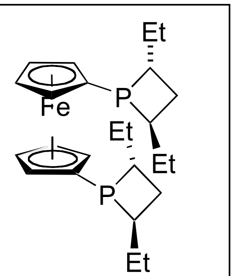




R^1	*ee* (%)	
Ph	99	
p-MeC_6H_4	99	
p-$MeOC_6H_4$	98	
p-ClC_6H_4	98	
p-FC_6H_4	99	
o-$MeOC_6H_4$	98	
m-$O_2NC_6H_4$	99	(ethyl ester)
CH_3	99	
CH_3	28	(*Z* isomer)
$CH(CH_3)_2$	99	(ethyl ester)
$CH(CH_3)_2$	31	(*Z* isomer, ethyl ester)



(*R*,*R*)-Et-FerroTANE

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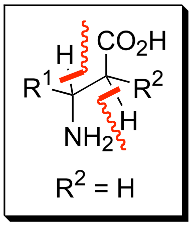

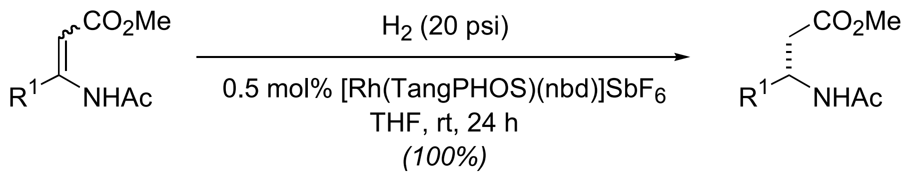

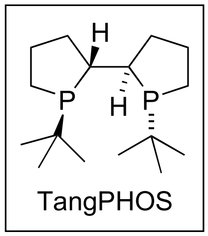

R^1	*ee* (%)	
CH_3	99.3	(*iso*propyl ester)
CH_2CH_3	99.6	
$(CH_2)_2CH_3$	99.6	(ethyl ester)
$CH_2CH(CH_3)_2$	98.3	
Ph	93.8	
p-FC_6H_4	95.0	
p-ClC_6H_4	92.3	
p-BrC_6H_4	95.1	
p-MeC_6H_4	94.0	
p-$MeOC_6H_4$	98.5	
p-$BnOC_6H_4$	98.5	
o-MeC_6H_4	74.3	
o-$MeOC_6H_4$	83.1	

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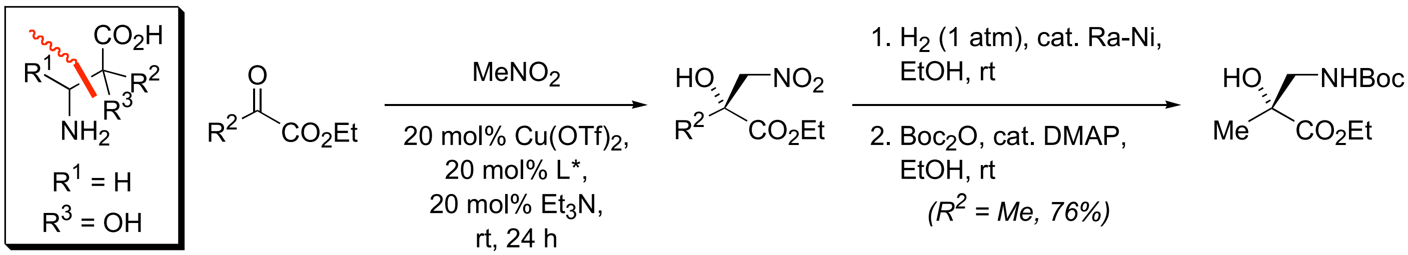
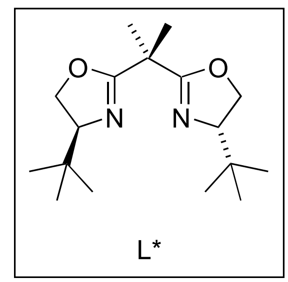


R^2	Yield (%)	*ee* (%)	
CH_3	95	92	
CH_2CH_3	73	87	(50 °C, 48 h)
$(CH_2)_5CH_3$	91	93	
$(CH_2)_2CH{=}CH_2$	97	94	
$(CH_2)_3CH{=}CH_2$	92	94	
$(CH_2)_2CH(CH_3)_2$	90	94	
$CH_2CH(CH_3)_2$	99	92	
$(CH_2)_2Ph$	47	77	(50 °C, 48 h)
Ph	81	86	
p-ClC_6H_4	91	88	
p-$O_2NC_6H_4$	99	93	
p-$MeOC_6H_4$	68	57	



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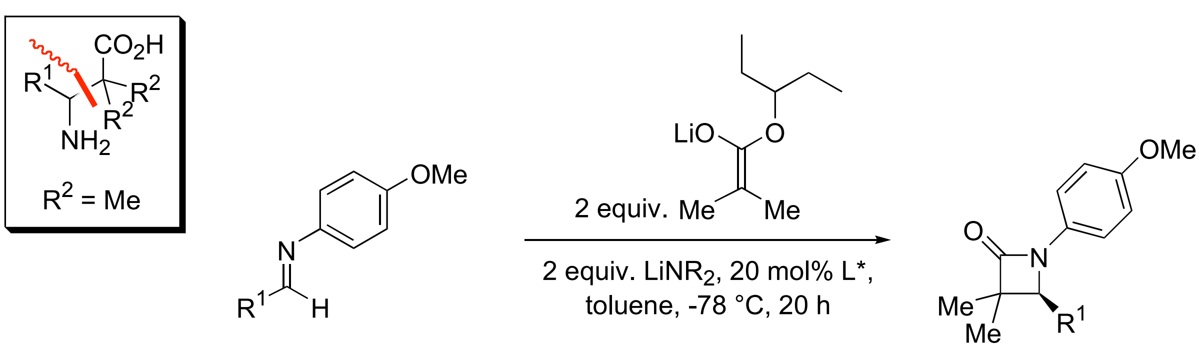
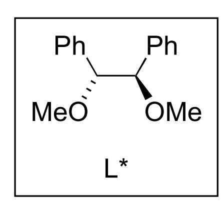


R^1	$LiNR_2$	Yield (%)	*ee* (%)
$(CH_2)_2Ph$	LDA	82	75
Ph	LICA	80	75



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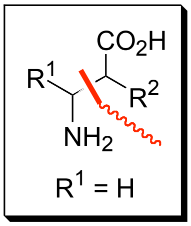

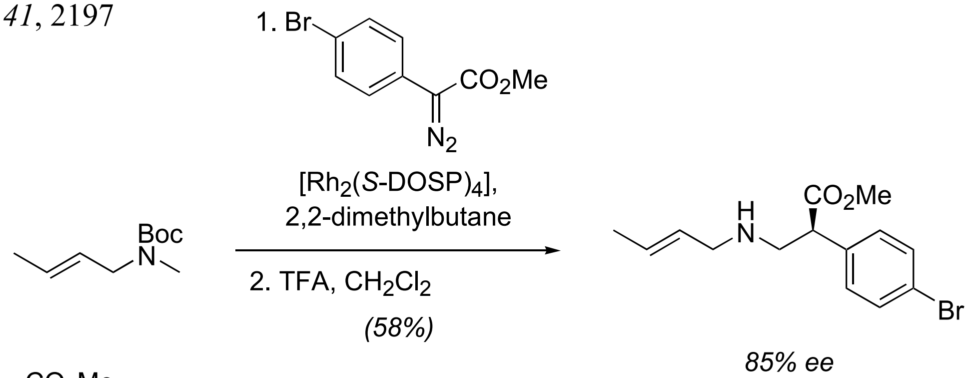

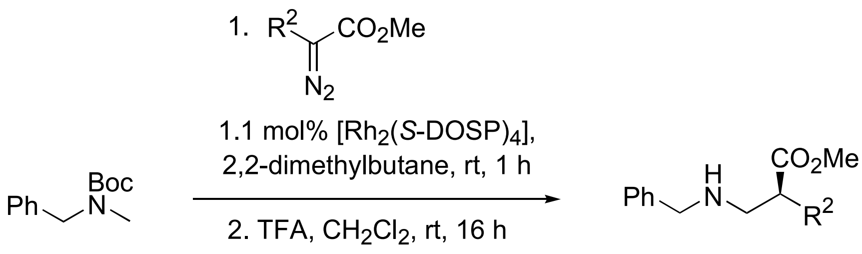

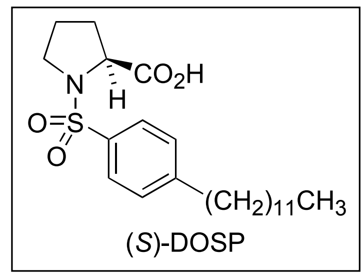

R^2	Yield (%)	*ee* (%)
Ph	67	96
p-$F_3CC_6H_4$	55	92
p-$MeOC_6H_4$	61	92
p-MeC_6H_4	66	95
2-naphthyl	55	87
p-ClC_6H_4	62	96
3-thienyl	58	90
CH=CHPh	56	96

Taggi, A. E.; Haffez, A. M.; Wack, H.; Young, B.; Drury III, W. J.; Lectka, T.
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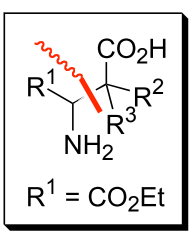
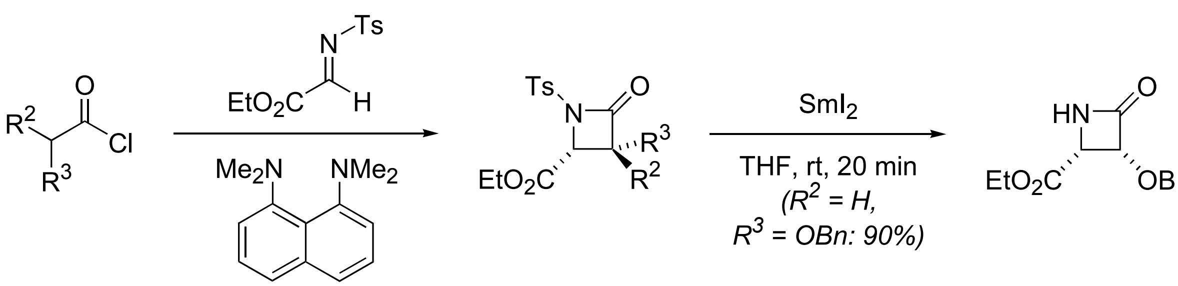
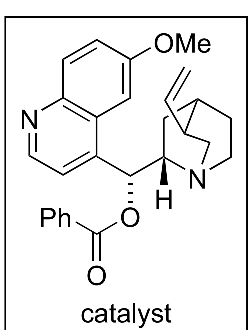
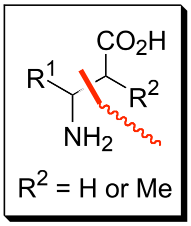


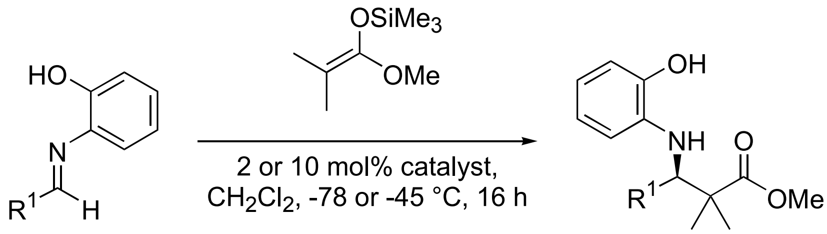


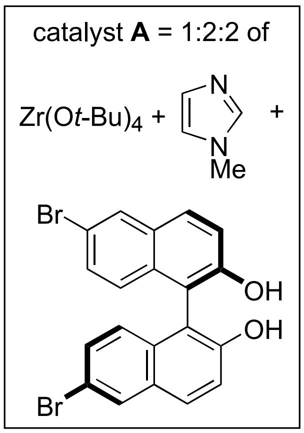


R^2	R^3	Yield (%)	*ee* (%)	*de* (%)
Ph	Ph	36	99	
H	Ph	65	96	98
H	CH_2CH_3	57	99	98
H	OPh	45	99	98
H	OAc	61	98	98
H	OCH_2Ph	56	95	98

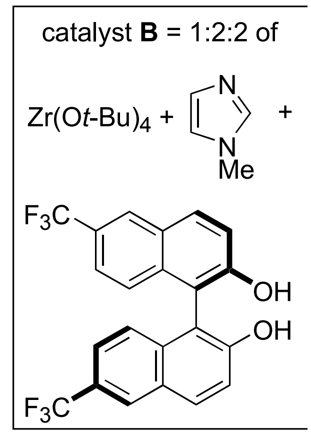
Ishitani, H.; Ueno, M.; Kobayashi, S.
J. Am. Chem. Soc. **2000**, *122*, 8180

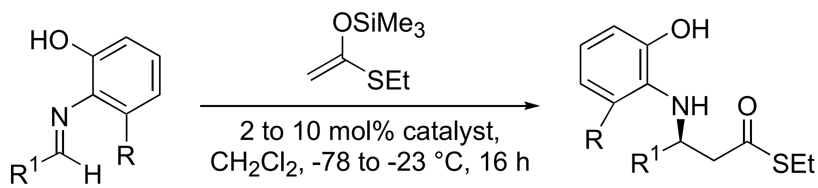






R^2	catalyst	Yield (%)	*ee* (%)
Ph	**B**	100	87
p-ClC_6H_4	**B**	100	83
1-naphthyl	**A**	100	92





R^2	R^2	catalyst	Yield (%)	*ee* (%)
Ph	H	**B**	100	92
p-ClC_6H_4	H	**B**	97	84
1-naphthyl	H	**A**	100	98
2-furyl	H	**A**	89	89
c-C_6H_{11}	CH_3	**A**	71	71
$CH_2CH(CH_3)_2$	CH_3	**B**	65	83

see also:

Jaber, N.; Carree, F.; Fiaud, J.-C.; Collin, J. *Tetrahedron: Asymmetry* **2003**, *14*, 2067
Murahashi, S.-I.; Imada, Y.; Kawakami, T.; Harada, K.; Yonemushi, Y.; Tomita, N. *J. Am. Chem. Soc.* **2002**, *124*, 2888
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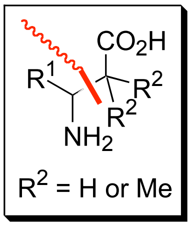
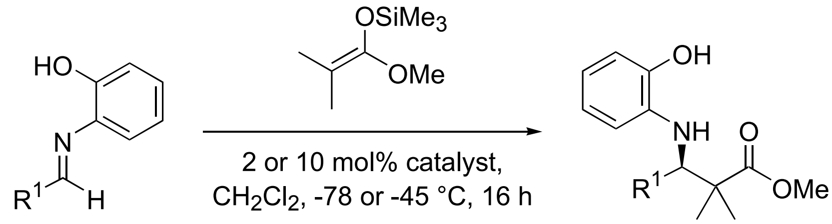
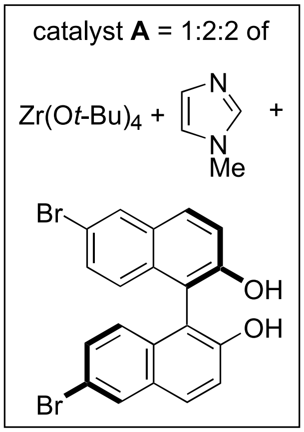
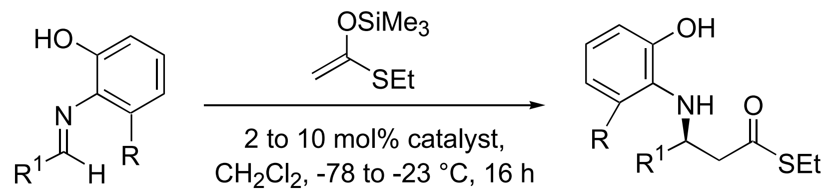
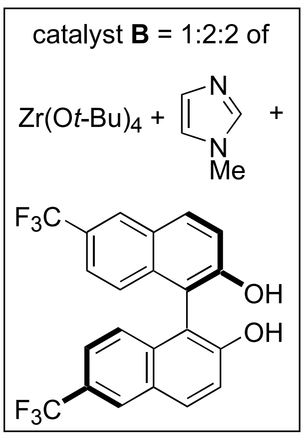






R^1	catalyst	Yield (%)	*ee* (%)
Ph	**B**	100	87
p-ClC_6H_4	**B**	100	83
1-naphthyl	**A**	100	92





R^1	R	catalyst	Yield (%)	*ee* (%)
Ph	H	**B**	100	92
p-ClC_6H_4	H	**B**	97	84
1-naphthyl	H	**A**	100	98
2-furyl	H	**A**	89	89
c-C_6H_{11}	CH_3	**A**	71	71
$CH_2CH(CH_3)_2$	CH_3	**B**	65	83

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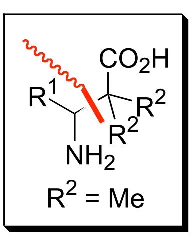
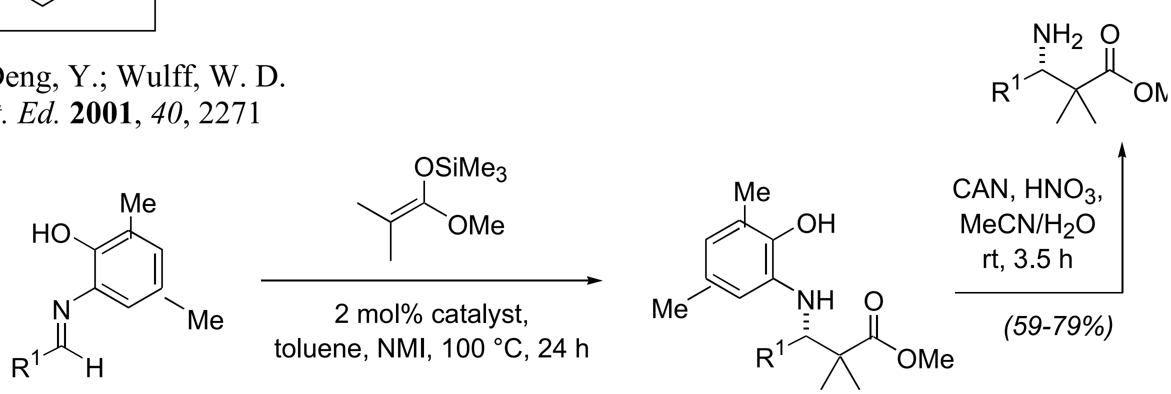
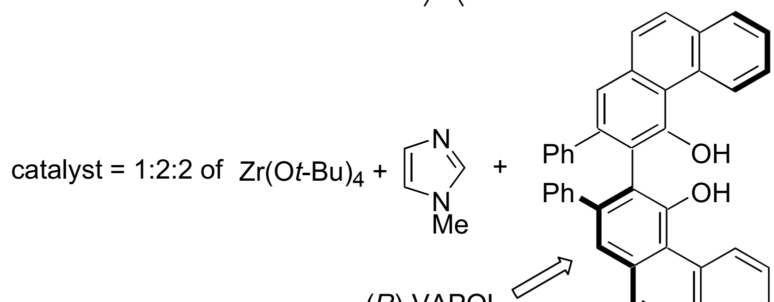




R^1	Yield (%)	*ee* (%)
Ph	95	99
p-ClC_6H_4	90	95
p-$MeOC_6H_4$	85	99
3,4-$(MeO)_2C_6H_3$	85	96
[illegible]	[illegible]	[illegible]



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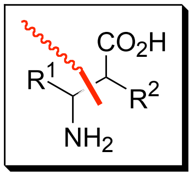
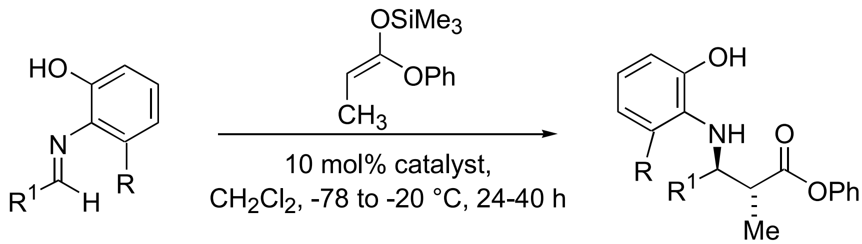
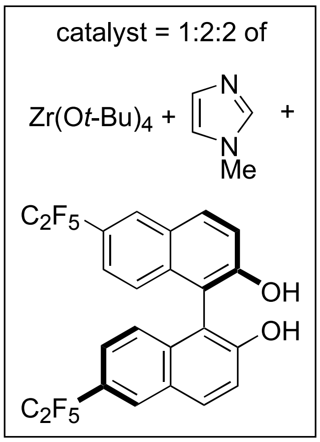
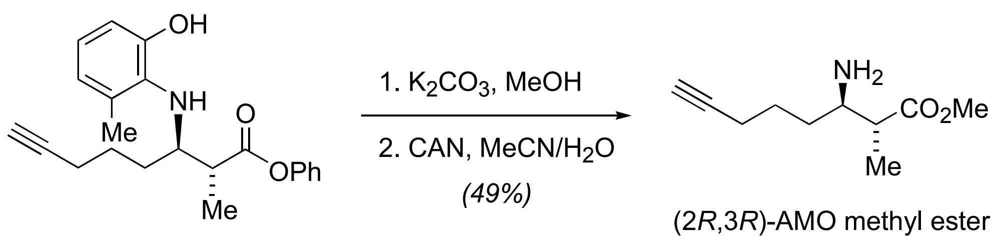


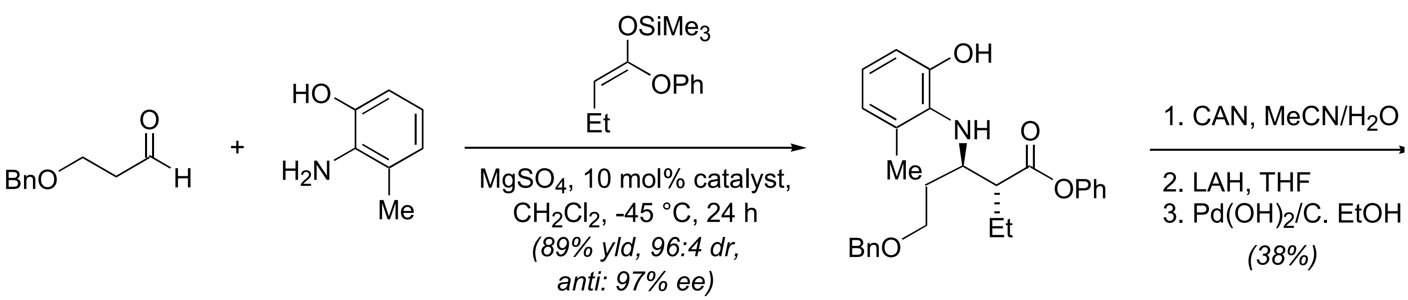


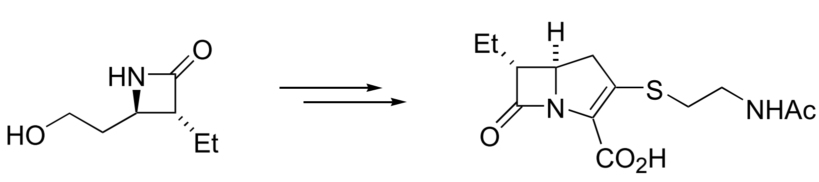


R^1	R	Yield (%)	*ee* (%)	*de* (%)
Ph	H	96	95	92
p-ClC_6H_4	H	81	87	90
o-MeC_6H_4	H	81	84	88
1-naphthyl	H	78	80	86
2-furyl	H	91	85	42
$CH_2CH(CH_3)_2$	CH_3	88	96	78
$(CH_2)_4CH_3$	CH_3	87	93	84
$(CH_2)_2OTBS$	CH_3	93	93	96
c-C_6H_{11}	CH_3	54	90	54
$(CH_2)_3C{\equiv}CH$	CH_3	93	97	86



(2*R*,3*R*)-AMO methyl ester





(+)-PS-5

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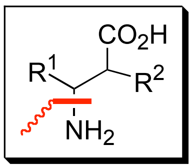

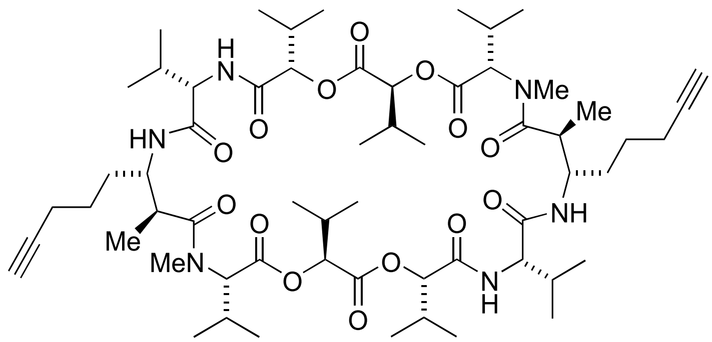

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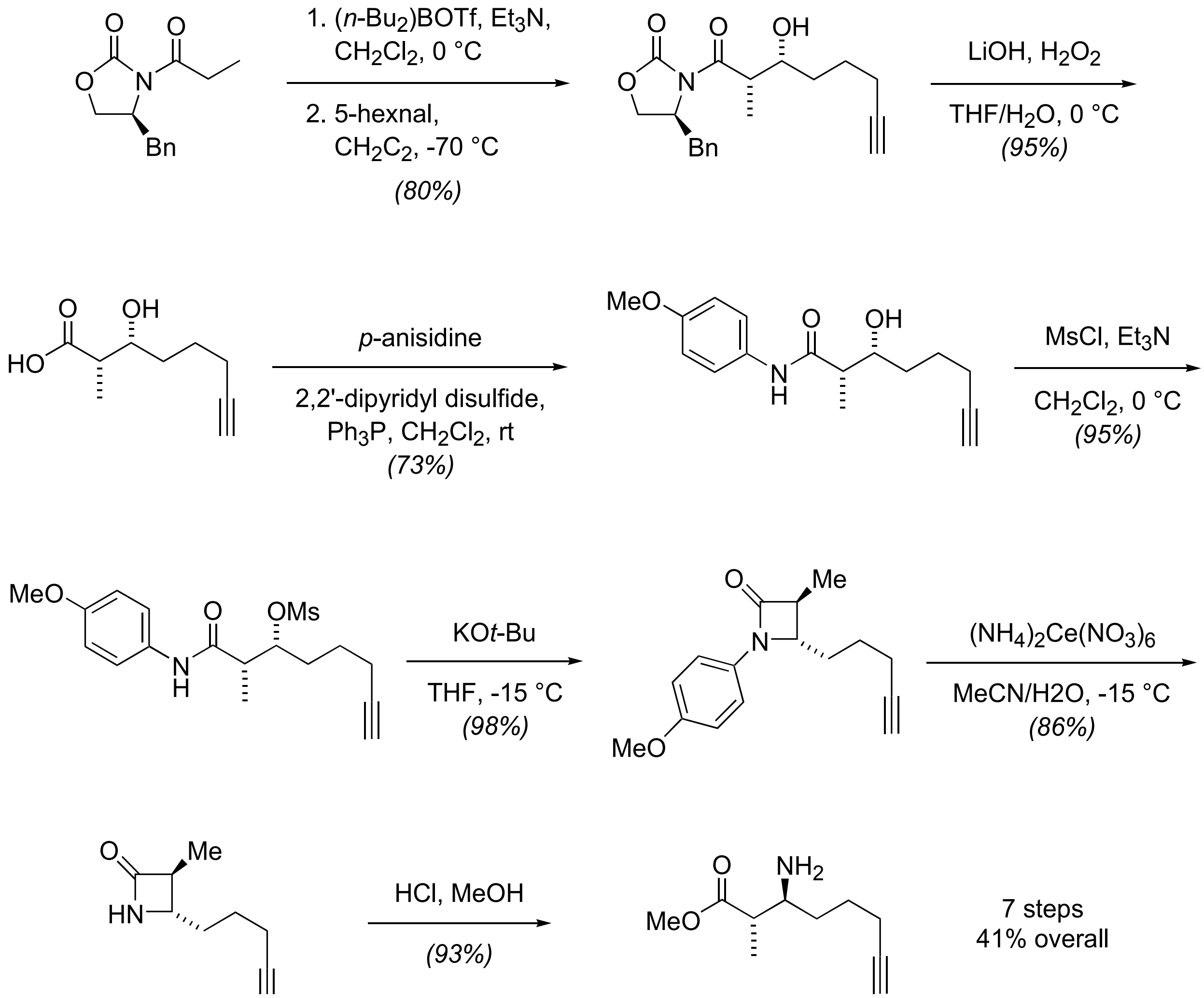

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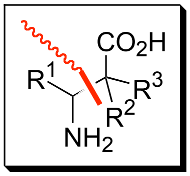
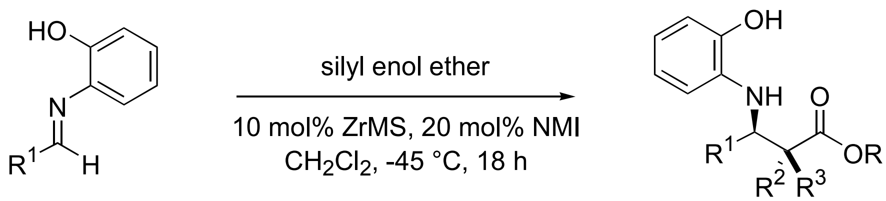
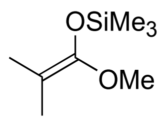
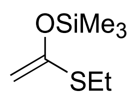
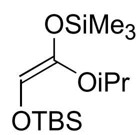
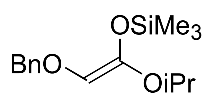
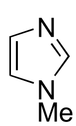
Org. Lett. **2002**, *4*, 3395

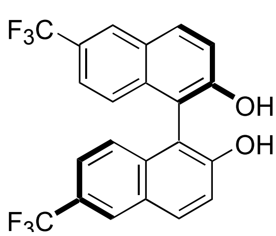




R^1	silyl enol ether	Yield (%)	*ee* (%)	*de* (%)
Ph		100	90	-
1-naphthyl		79	90	-
p-ClC_6H_4		96	85	-
Ph		89	90	-
1-naphthyl		93	90	-
p-ClC_6H_4		91	94	-
2-furyl		92	89	-
Ph		92	96	94 *syn*
Ph		70	89	84 *anti*

ZrMS = 1:4:5:2 of

$Zr(Ot\text{-}Bu)_4$ +  + 5A MS



stir in benzene at 80 °C for 2 h, then remove solvent under reduced pressure at 50 °C for 1 h. Residue = air-stable catalyst that has same activity after 13 weeks as when freshly prepared

Hanselmann, R.; Zhou, J.; Ma, P.; Confalone, P. N.
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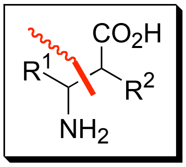
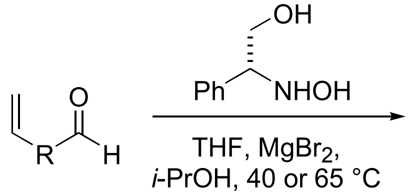
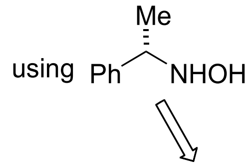
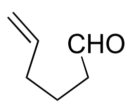
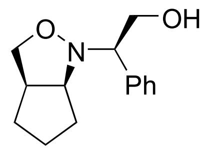
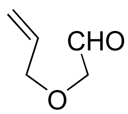
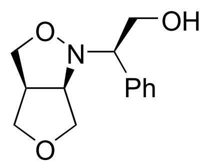
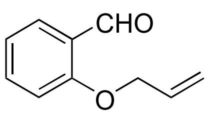
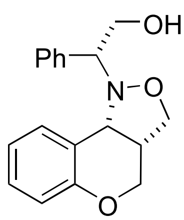
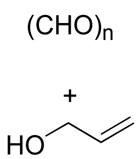
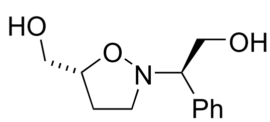
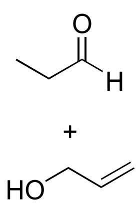
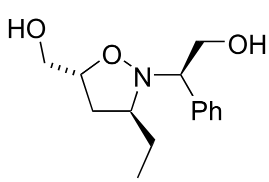






Substrate	Product	Yield (%)	dr	dr
		95	96:4	63:37
		89	94:6	
		83	97:3	65:35
		90	96:4	50:50
		94	95:5