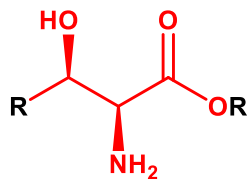
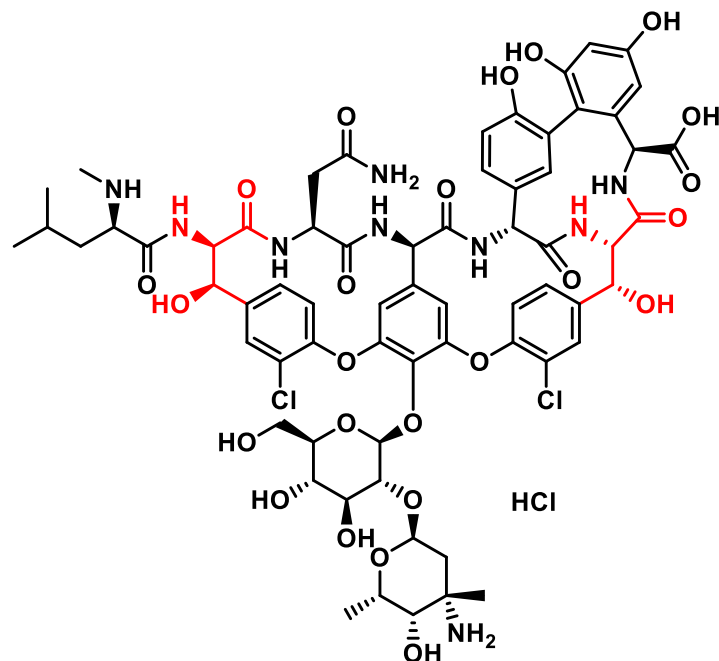


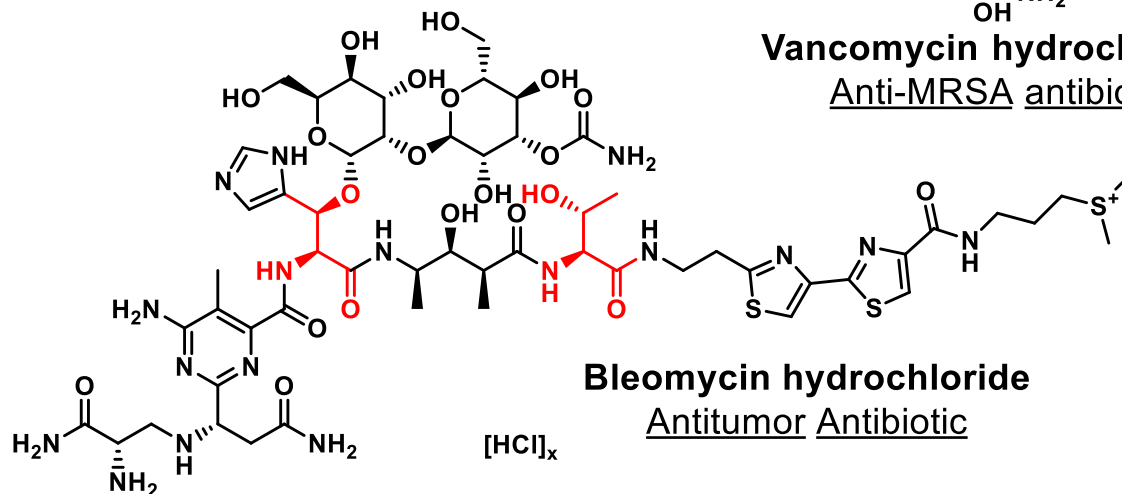
Pharmaceuticals containing β -hydroxy- α -amino acid moieties



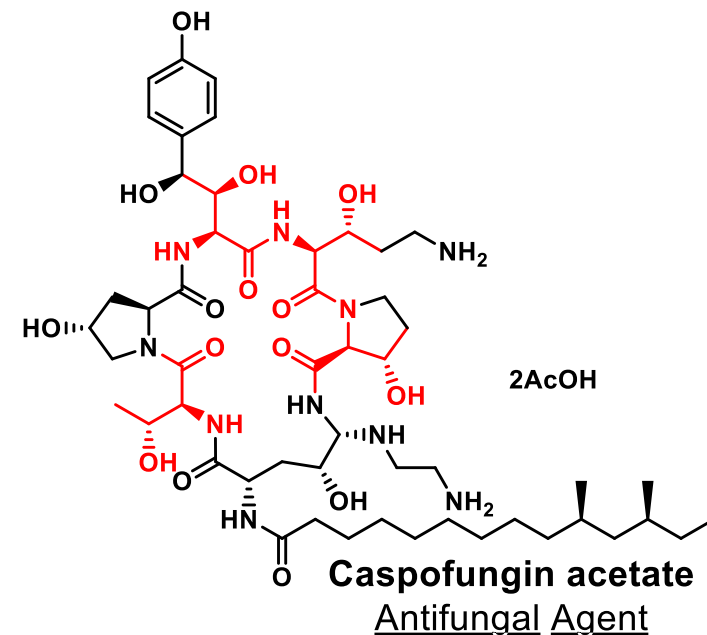
β -hydroxy- α -amino acid moiety



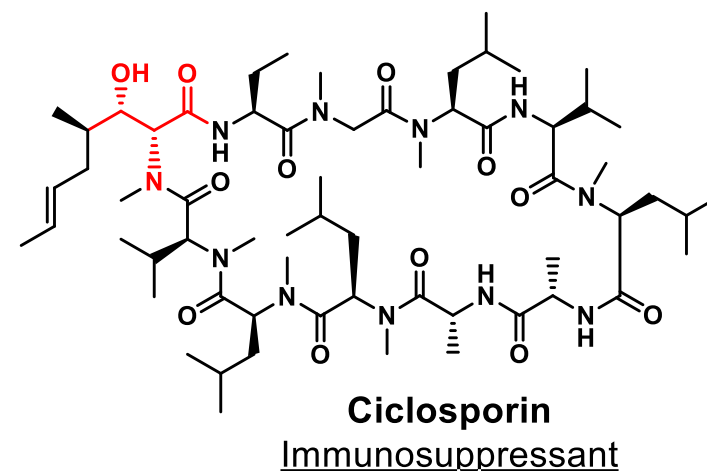
Vancomycin hydrochloride
Anti-MRSA antibiotic



Bleomycin hydrochloride
Antitumor Antibiotic



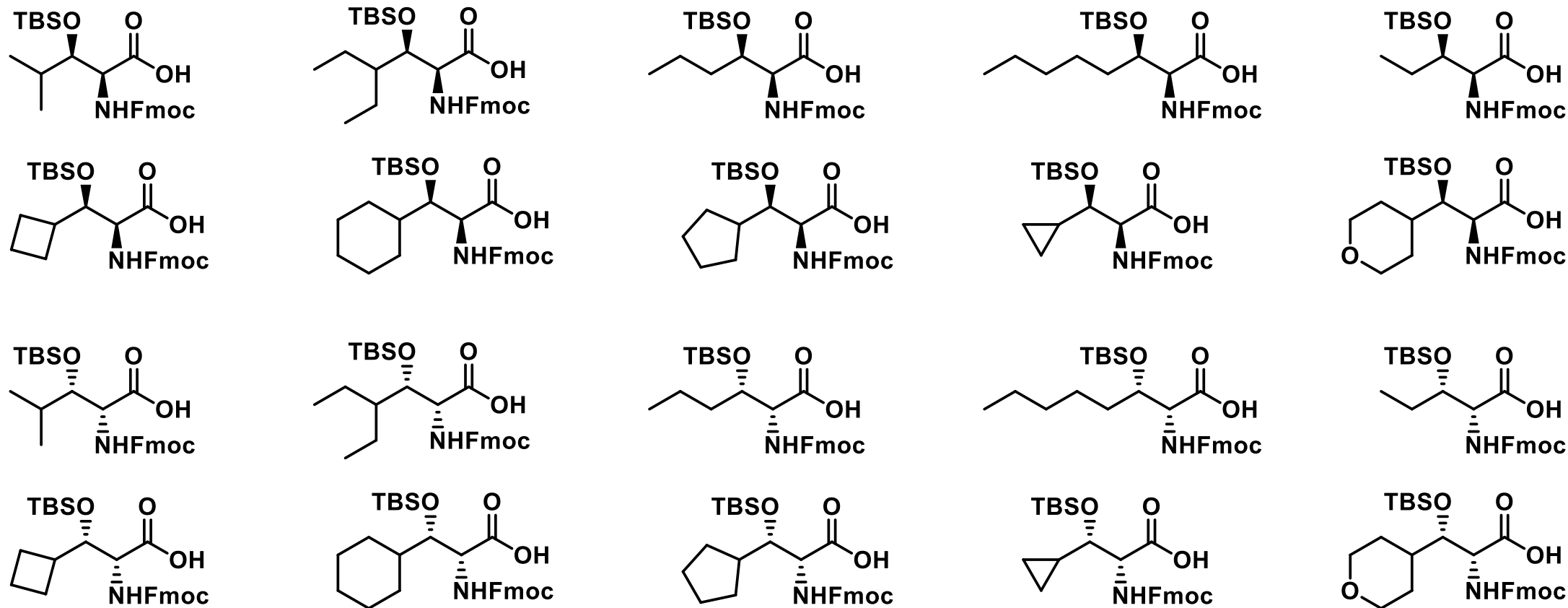
Caspofungin acetate
Antifungal Agent



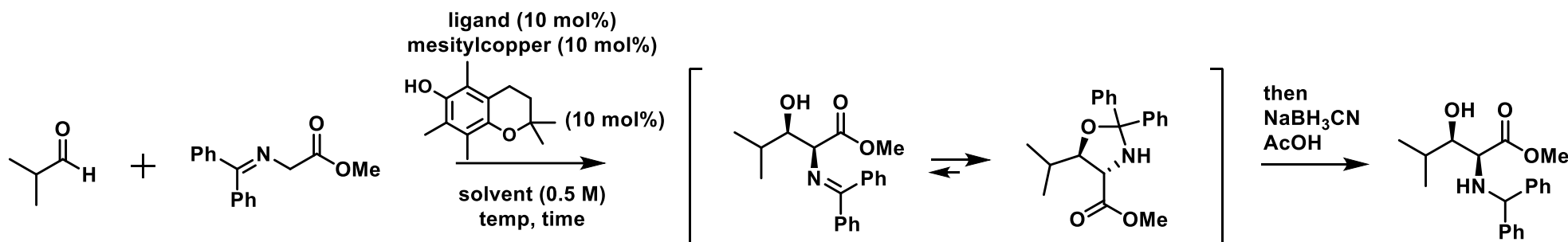
Ciclosporin
Immunosuppressant

β -Hydroxy- α -amino acids based on the serine scaffold are prevalent in pharmaceuticals and natural products, the development of efficient and stereoselective synthetic methodologies for these compounds is of considerable importance in both synthetic organic chemistry and drug discovery.

Chiral building blocks for peptide synthesis and drug discovery research

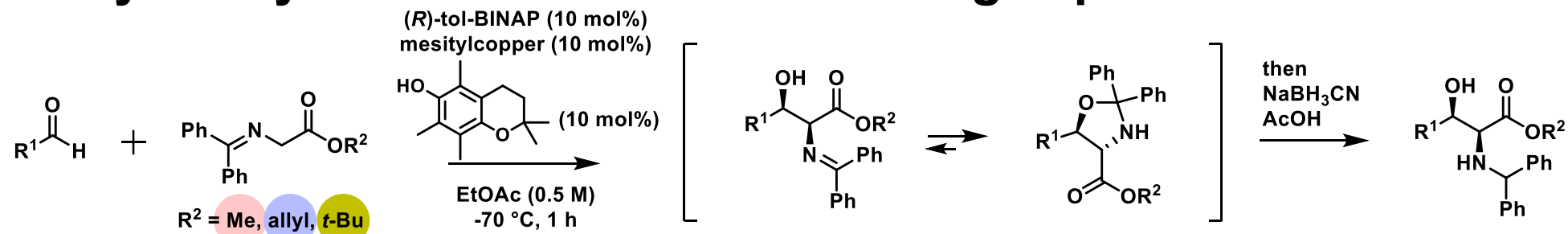


Copper catalyzed direct aldol reaction to access β -hydroxy- α -amino ester

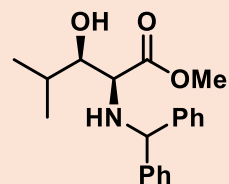


Entry	ligand	solvent	temp (°C)	time (h)	yield (%)	dr <i>syn</i> : <i>anti</i>	ee (%) <i>syn</i> / <i>anti</i>
1	(S,S)-Ph-BPE	THF	-40	12	61	65 : 35	35 / 64
2	(S,S)-Ph-BPE	DMF	-40	12	66	32 : 68	63 / 22
3	(S,S)-Ph-BPE	toluene	-40	12	71	75 : 25	67 / 94
4	(S,S)-Ph-BPE	CPME	-40	12	66	69 : 31	56 / 86
5	(S,S)-Ph-BPE	CH_2Cl_2	-40	12	76	81 : 19	94 / 99
6	(R)-XylBINAP	EtOAc	-45	1	87	94 : 6	75 / 37
7	(R)-tol-BINAP	EtOAc	-45	1	91	97 : 3	85 / 69
8	(R)-XylBINAP	EtOAc	-70	1	49	44 : 56	29 / 30
9	(R)-tol-BINAP	EtOAc	-70	1	95	>99 : 1	95 / 33
10	(R)-tol-BINAP	EtOAc	-70	12	91	97 : 3	92 / 15

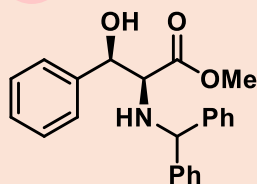
Direct catalytic asymmetric aldol reaction using aliphatic and aromatic aldehyde



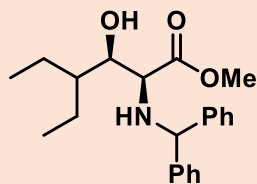
with Schiff base Me ester



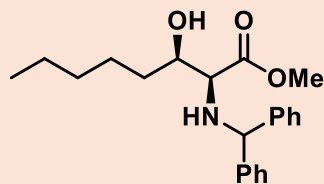
1 h, 95%
>99 : 1 dr
95% ee



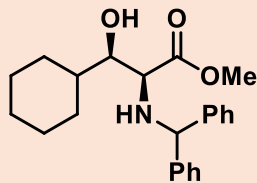
1 h, 85%
94 : 6 dr
93% ee



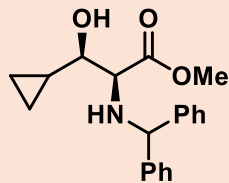
4 h, 94%
>99 : 1 dr
99% ee



1 h, 88%
97 : 3 dr
98% ee

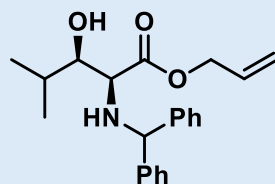


4 h, 90%
99 : 1 dr
95% ee

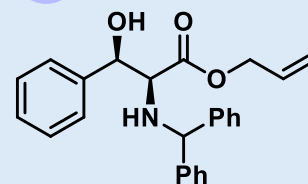


1 h, 88%
97 : 3 dr
98% ee

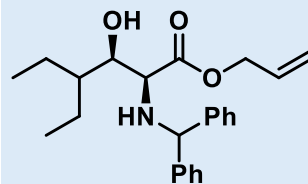
with Schiff base allyl ester



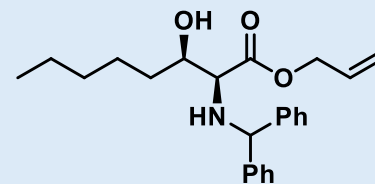
1 h, 95%
>99 : 1 dr
97% ee



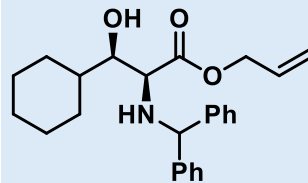
1 h, 89%
95 : 5 dr
98% ee



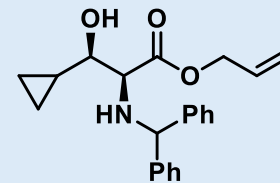
4 h, 94%
>99 : 1 dr
99% ee



1 h, 91%
96 : 4 dr
97% ee

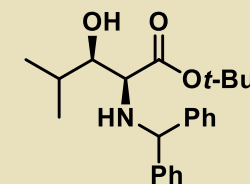


4 h, 90%
98 : 2 dr
93% ee

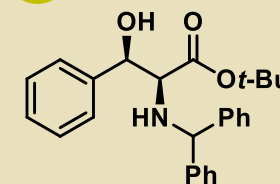


1 h, 90%
98 : 2 dr
98% ee

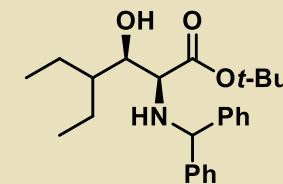
with Schiff base t -Bu ester



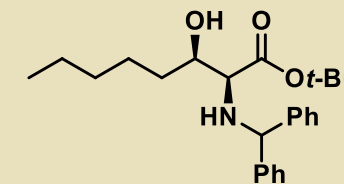
2 h, 92%
97 : 3 dr
97% ee



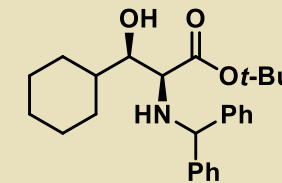
2 h, 87%
92 : 8 dr
95% ee



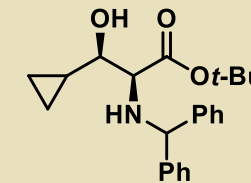
12 h, 87%
97 : 3 dr
95% ee



2 h, 90%
97 : 3 dr
99% ee



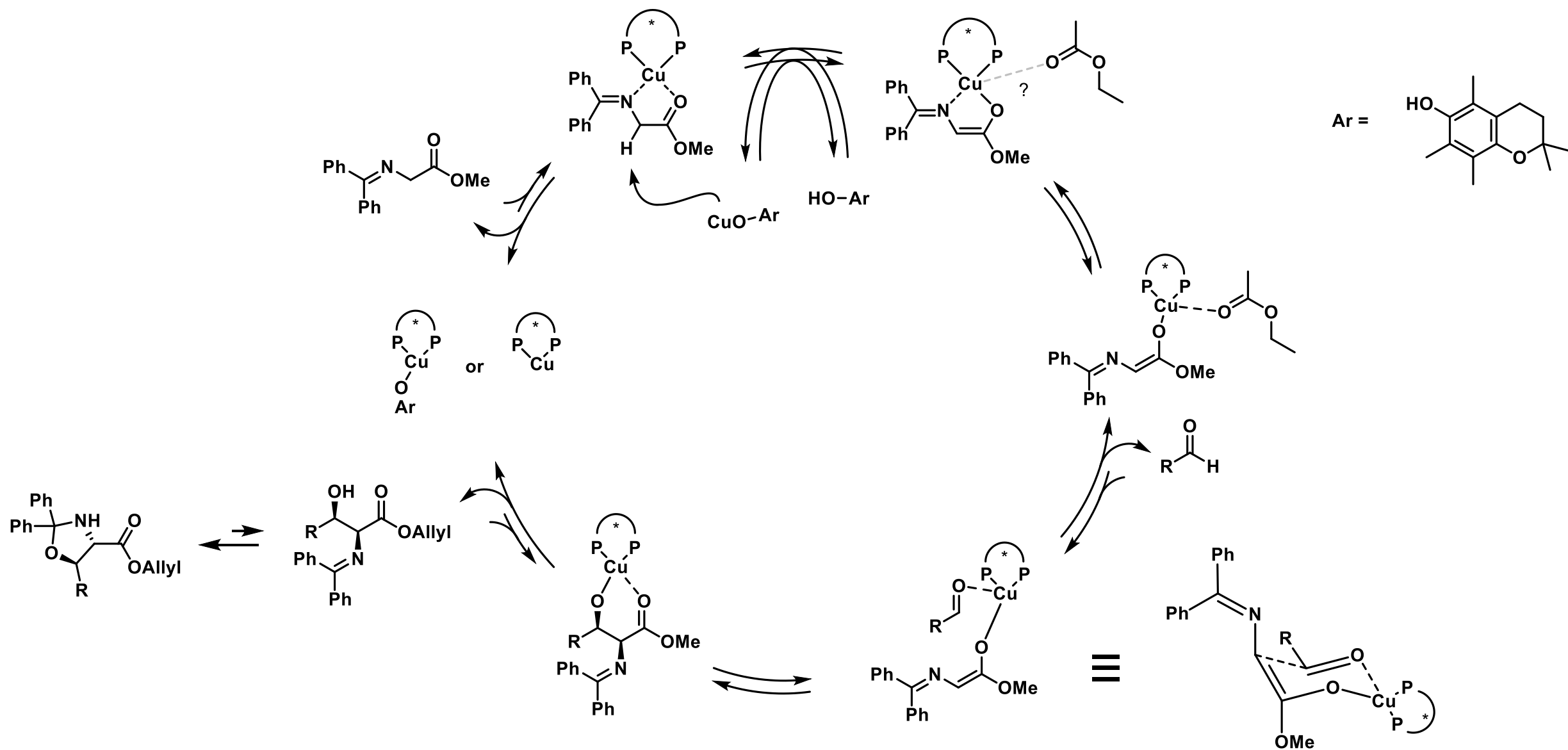
12 h, 92%
>99 : 1 dr
97% ee



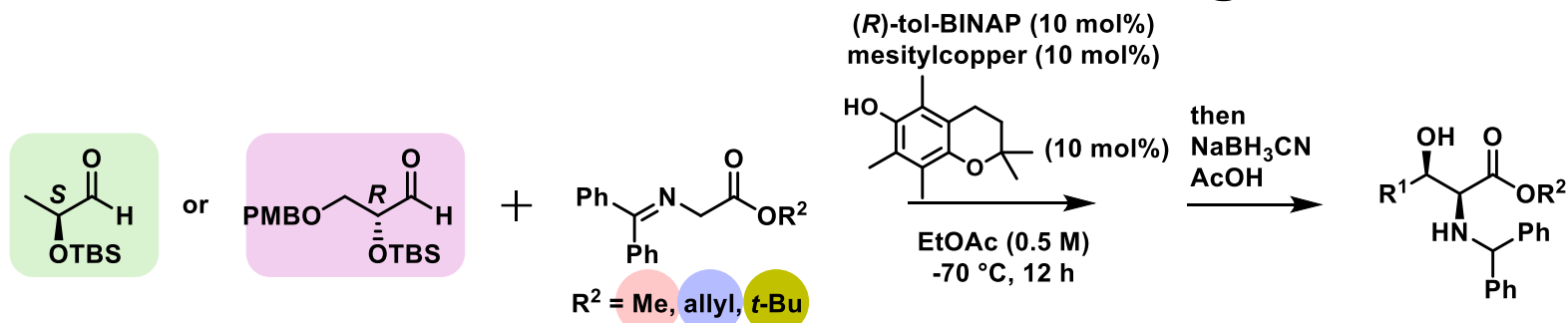
2 h, 92%
>99 : 1 dr
98% ee

THF was used as a solvent.

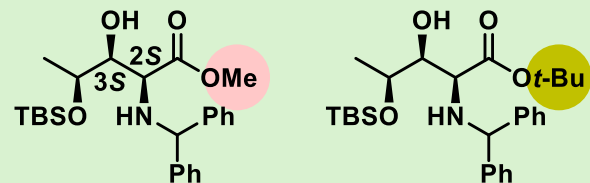
Putative reaction mechanism



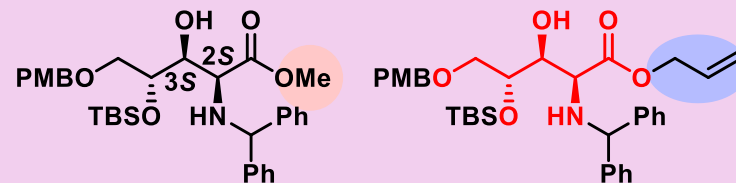
Aldol reaction using α -chiral aldehyde



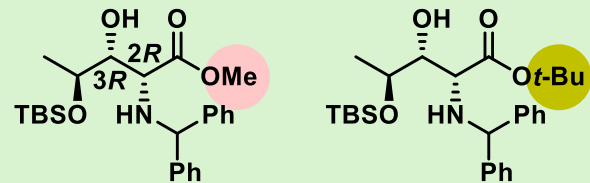
with $(R)\text{-tol-BINAP}$



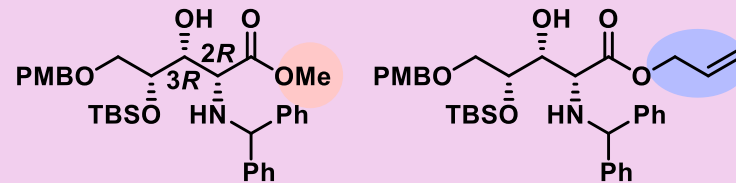
with $(R)\text{-tol-BINAP}$



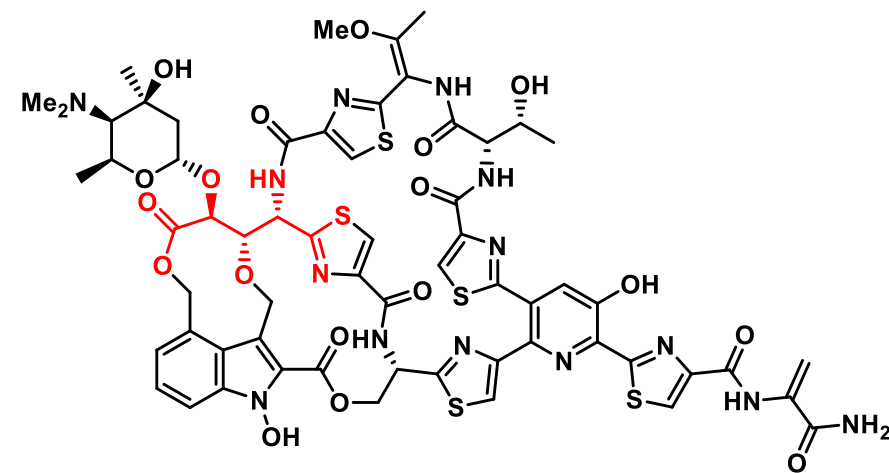
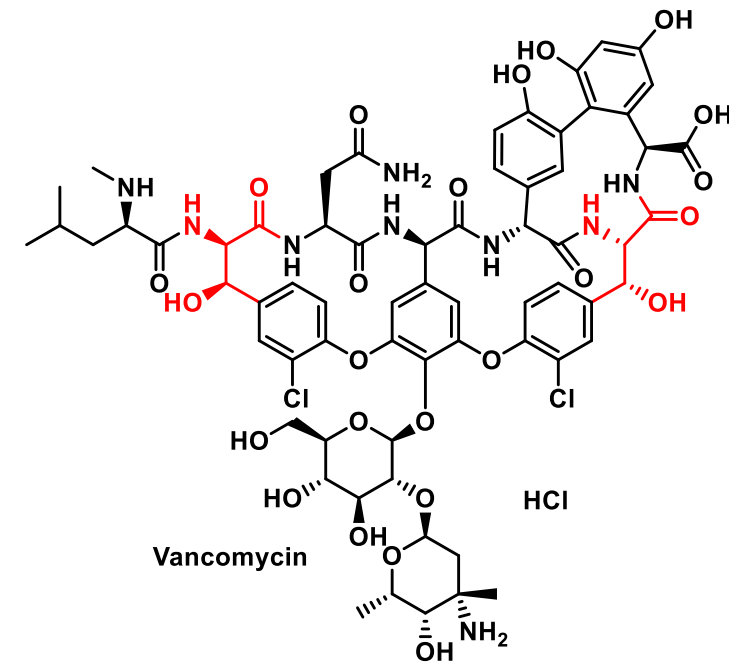
with $(S)\text{-tol-BINAP}$



with $(S)\text{-tol-BINAP}$



THF was used as a solvent.



Nocathiacin I