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Enantioselective Addition of Allylic Trimethoxysilanes to Aldehydes Catalyzed by *p*-Tol-BINAP · AgF**

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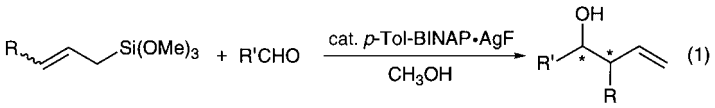
Allylic trialkoxysilanes are known as reactive allylic silanes since they form pentacoodinate silicates by reaction with nucleophiles.[1] These allylic silicates are sometimes utilized for allylation of carbonyl compounds under basic conditions,[2] but as far as we know there are no reports on asymmetric reactions of these reagents. We report here the first example of asymmetric addition of allylic trimethoxysilanes to aldehydes catalyzed by the *p*-Tol-BINAP · AgF complex [Eq. (1); BINAP = 2,2′-bis(diphenylphosphanyl)-1,1′-binaphthyl; *p*-Tol-BINAP = 2,2′-bis(di-*p*-tolylphosphanyl)-1,1′-binaphthyl].[3]



We initially adopted the complex (*R*)-BINAP · AgF[4] as a chiral catalyst for asymmetric allylation, anticipating that the fluoride ion would activate the trialkoxysilanes.[5] In fact, treatment of benzaldehyde (1 equiv) with allyltrimethoxysilane (1 equiv) in MeOH in the presence of (*R*)-BINAP · AgF (10 mol %) at −20 °C for 4 h gave the corresponding *R*-enriched homoallylic alcohol in 72 % yield and with 91 % *ee*. In contrast, when (*R*)-BINAP · AgOTf (Tf = F_3CSO_2) was used as catalyst, the racemic homoallylic alcohol was obtained in only 5 % yield. Results of the (*R*)-BINAP · AgF-catalyzed asymmetric allylation with various solvents and optimization of the reaction conditions are listed in Table 1. Among the solvents tested, alcohols proved to be effective for the reaction, and MeOH provided the best result (entries 1 – 6), while no reaction occurred in THF because AgF is insoluble in this solvent (entry 5). It is noteworthy that as the alcohol becomes bulkier, the enantioselectivity gradually decreases (entries 1 – 3). Several reaction temperatures were examined, and allylation at −20 °C gave a satisfactory result with respect to both chemical yield and the *ee* of the product (entries 1, 7, and 8). Further improvement in the yield and enantioselectivity was achieved when 1.5 equivalents of allyltrimethoxysilane were introduced (entries 1, 9, and 10). We also attempted to decrease the amount of catalyst and found that the reaction proceeded in high yield without any loss of enantioselectivity when only 3 mol % of (*R*)-BINAP was present (entries 10 – 12).[6] Employment of (*R*)-*p*-Tol-BINAP[7] furnished *ee* values similar to those obtained with (*R*)-BINAP (compare entries 12 and 13).

Table 1. Enantioselective allylation of benzaldehyde with allyltrimethoxysilane and BINAP · AgF as catalyst.[a]

Entry	Solvent	[(*R*)-BINAP] [mol %]	[AgF] [mol %]	*T* [°C]	Yield[b] [%]	*ee*[c] [%]
1	MeOH	10	10	−20	72	91
2	EtOH	10	10	−20	86	82
3	*i*PrOH	10	10	−20	64	39
4	$HOCH_2CH_2OH$	10	10	0	33	60
5	THF[d]	10	10	−20	< 1	–
6	CH_3CN	10	10	−20	5	< 1
7	MeOH	10	10	−40	37	93
8	MeOH	10	10	0	75	86
9[e]	MeOH	10	10	−20	77	90
10[f]	MeOH	10	10	−20	82	93
11[f]	MeOH	6	10	−20	92	94
12[f]	MeOH	3	5	−20	84	93
13[f,g]	MeOH	3	5	−20	80	94

[a] Unless otherwise noted, the reaction was carried out with (*R*)-BINAP · AgF as catalyst, allyltrimethoxylsilane (1 equiv), and benzaldehyde (1 equiv) in the specified solvent at temperature *T* for 4 h. [b] Yield of isolated product. [c] Determined by HPLC analysis (Chiralcel OD-H, Daicel Chemical Industries, Ltd.). [d] AgF did not dissolve in THF. [e] 1.2 equivalents of allyltrimethoxysilane were used. [f] 1.5 equivalents of allyltrimethoxysilane were used. [g] (*R*)-*p*-Tol-BINAP was used.

Optimal conditions were established for MeOH as solvent at −20 °C, and we then employed these conditions in catalytic enantioselective addition of allyltrimethoxysilane to typical aromatic and α,β-unsaturated aldehydes (Table 2). All reac-

Table 2. Enantioselective allylations of various aldehydes with (*R*)-*p*-Tol-BINAP · AgF as catalyst.[a]

Entry	Aldehyde	Yield[b] [%]	*ee* [%][c] (config.)
1[d]	PhCHO	80	94 (*R*)
2	(*E*)-PhCH=CHCHO	93	78 (*R*)
3	2-furyl-CHO	70	83 (*R*)
4	1-naphthyl-CHO	81	92 (*R*)
5	4-$MeOC_6H_4CHO$	67	93 (*R*)
6	4-BrC_6H_4CHO	90	93[e]

[a] Unless otherwise specified, the reaction was carried out with allyltrimethoxylsilane (1.5 equiv) and aldehyde (1 equiv) in the presence of a chiral silver(I) catalyst prepared from (*R*)-*p*-Tol-BINAP (6 mol %) and AgF (10 mol %) in MeOH at −20 °C for 4 h. [b] Yield of isolated product. [c] Determined by HPLC analysis (Chiralcel OD-H or OJ, Daicel Chemical Industries, Ltd.). [d] 3 mol % of (*R*)-*p*-Tol-BINAP and 5 mol % of AgF were used. [e] The absolute configuration is unknown.

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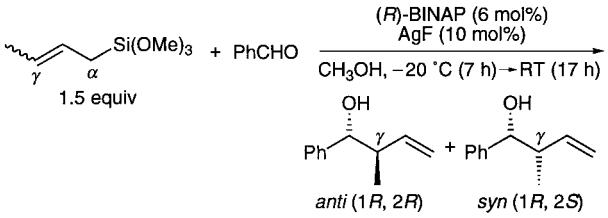
tions furnished satisfactory yields in the presence of a chiral silver(I) catalyst prepared from (*R*)-*p*-Tol-BINAP (6 mol%) and AgF (10 mol%) at −20 °C for 4 h;[8] with the α,β-unsaturated aldehyde, 1,2-addition took place exclusively (entry 2).

Condensation of γ-substituted allylmetal reagents with carbonyl compounds is a fascinating problem with regard to regioselectivity (α/γ) and stereoselectivity (*E*/*Z* or *anti*/*syn*).[3a–e, 9, 10] We examined the BINAP · AgF-catalyzed reaction of (*E*)- and (*Z*)-crotyltrimethoxysilane [Eq. (2)]. Addition of *E*-enriched crotyltrimethoxysilane (*E*/*Z* = 83/17) to

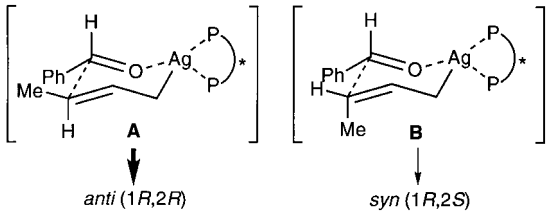


(2)

E/*Z* ratio	Yield (%)	*anti* (% *ee*)/*syn* (% *ee*)
83/17	77	92 (96)/8 (62)
<1/99	82	94 (94)/6 (60)
45/55	99	93 (94)/7 (60)

benzaldehyde in the presence of (*R*)-BINAP · AgF in MeOH at −20 to 25 °C afforded exclusively the γ adduct with an *anti*/*syn* ratio of 92/8. The *anti* isomer had 96% *ee* and the 1*R*,2*R* configuration. Employment of (*Z*)-crotyltrimethoxysilane (*E*/*Z* < 1/99) or an almost 1/1 mixture of (*E*)- and (*Z*)-crotyltrimethoxysilane gave similar results.

The reason why high *anti* selectivity is obtained regardless of the configuration of the crotylsilane is not clear. The (*R*)-BINAP · AgF-catalyzed reaction is believed to proceed via a six-membered cyclic transition state **A** or **B** (Scheme 1) with a



Scheme 1. Probable cyclic transition-state structures.

BINAP-coordinated silver atom on the basis of NMR spectroscopic results. When crotyltrimethoxysilane was treated with a 1/1 mixture of (*R*)-BINAP · AgF and DMF in CH_3OD at room temperature, no peaks of the crotylsilane were observed in the ^{13}C NMR spectra.[11] This result implies the occurrence of transmetalation to give a crotylsilver species, and if the subsequent isomerization of the (*Z*)-crotylsilver moiety to the *E* isomer takes place more rapidly than the reaction with aldehyde, the *anti*-homoallylic alcohol can be obtained as a major product both from the (*E*)- and (*Z*)-crotylsilanes via the cyclic transition state **A**.

The main features of our new reaction are as follows: 1) the procedure is straightforward and can provide various optically active homoallylic alcohols with high enantioselectivity (up to 96% *ee*); 2) remarkable γ and *anti* selectivities are observed for the reaction with crotylsilanes, irrespective of the configuration at the double bond; 3) the allylation can be performed exclusively by using commercially available chemicals; 4) environmentally friendlier MeOH is used as a solvent; 5) low reaction temperatures (e.g., −78 °C or lower) are not required. Hence, this catalytic procedure should be broadly applicable in organic synthesis.

Experimental Section

Typical procedure for asymmetric allylation of aldehydes with allylic trimethoxysilanes with (*R*)-*p*-Tol-BINAP · AgF as catalyst: Synthesis of (*R*)-1-phenyl-3-buten-1-ol (in Table 1, entry 13; Table 2, entry 1): A mixture of AgF (13.0 mg, 0.102 mmol) and (*R*)-*p*-Tol-BINAP (40.9 mg, 0.0603 mmol) was dissolved in dry MeOH (12 mL) under argon atmosphere with exclusion of direct light, and the reaction mixture stirred at 20 °C for 10 min. To the resulting solution were added dropwise benzaldehyde (200 μL, 1.97 mmol) and allyltrimethoxysilane (500 μL, 2.97 mmol) successively at −20 °C. The mixture was stirred for 4 h at this temperature and treated with a mixture of 1N HCl (10 mL) and solid KF (ca. 1 g) at ambient temperature for 30 min. The resulting precipitate was filtered off by a glass filter funnel filled with Celite and silica gel. The filtrate was dried over Na_2SO_4 and concentrated in vacuo after filtration. The residual crude product was purified by column chromatography on silica gel with ethyl acetate/hexane (1/15 → 1/3) as eluant to afford the homoallylic alcohol (232.9 mg, 80% yield) as a colorless oil. The enantioselectivity was determined to be 94% *ee* by HPLC analysis on a chiral column (Chiralcel OD-H, Daicel Chemical Industries, Ltd., hexane/*i*PrOH 40/1, flow rate 0.5 mL min^{-1}): t_{major} = 25.6 min (*R*), t_{minor} = 29.8 min (*S*); ^{13}C NMR (75 MHz, $CDCl_3$): δ = 43.5, 73.2, 117.8, 125.7 (2C), 127.2, 128.1 (2C), 134.3, 143.8; $[\alpha]_D^{24} = +47.6$ (*c* = 1.0, benzene); elemental analysis calcd for $C_{10}H_{12}O$: C 81.04, H 8.16; found: C 81.02, H 8.25. Other physical and spectroscopic data (TLC, IR, and ^1H NMR) were identical with those in the literature.[3k, 4, 12] Other homoallylic alcohols (Table 2, entries 2–6) were prepared and purified according to similar procedures. The absolute configurations of these compounds were determined by comparison of the $[\alpha]_D$ values with published data.

(*R*)-(*E*)-1-Phenyl-1,5-hexadien-3-ol (Table 2, entry 2): ^{13}C NMR (75 MHz, $CDCl_3$): δ = 41.9, 71.7, 118.4, 126.4 (2C), 127.6, 128.5 (2C), 130.3, 131.5, 134.0, 136.6; $[\alpha]_D^{28} = -12.6$ (*c* = 1.0, Et_2O); elemental analysis calcd for $C_{12}H_{14}O$: C 82.72, H 8.10; found: C 82.63, H 8.35. Other physical and spectroscopic data (TLC, IR, and ^1H NMR) were identical with those in the literature.[3k, 4, 12] The enantioselectivity was determined to be 78% *ee* by HPLC analysis on a chiral column (Chiralcel OD-H, Daicel Chemical Industries, Ltd., hexane/*i*PrOH 20/1, flow rate 0.5 mL min^{-1}): t_{major} = 14.3 min (*R*), t_{minor} = 26.4 min (*S*).

(*R*)-1-(2-Furyl)-3-buten-1-ol (Table 2, entry 3): ^{13}C NMR (75 MHz, $CDCl_3$): δ = 40.0, 66.8, 106.0, 110.0, 118.4, 133.6, 141.9, 155.9; $[\alpha]_D^{29}$ = +24.9 (*c* = 1.0, $CHCl_3$); elemental analysis calcd for $C_8H_{10}O_2$: C 69.54, H 7.30; found: C 69.37, H 7.62. Other physical and spectroscopic data (TLC, IR, and ^1H NMR) were identical with those in the literature.[4, 13] The enantioselectivity was determined to be 83% *ee* by HPLC analysis on a chiral column (Chiralcel OJ, Daicel Chemical Industries, Ltd., hexane/*i*PrOH 40/1, flow rate 0.5 mL min^{-1}): t_{minor} = 33.5 min (*S*), t_{major} = 36.4 min (*R*).

(*R*)-1-(1-Naphthyl)-3-buten-1-ol (Table 2, entry 4): IR (neat): $\tilde{\nu}$ = 3650–3120, 3071, 2979, 2940, 2908, 1640, 1597, 1510, 1433, 1395, 1167, 1055, 916, 801, 777 cm^{-1}; ^{13}C NMR (75 MHz, $CDCl_3$): δ = 42.7, 69.8, 118.0, 122.7, 122.9, 125.3 (2C), 125.9, 127.8, 128.8, 130.1, 133.6, 134.7, 139.4; $[\alpha]_D^{26} = +97.3$ (*c* = 1.0, benzene); elemental analysis calcd for $C_{14}H_{14}O$: C 84.81, H 7.12; found: C 84.71, H 7.31. Other physical and spectroscopic data (TLC and ^1H NMR) were identical with those in the literature.[4, 14] The enantioselectivity was determined to be 92% *ee* by HPLC analysis on a chiral column (Chiralcel OD-H, Daicel Chemical Industries, Ltd., hexane/*i*PrOH 9/1, flow rate 1.0 mL min^{-1}): t_{minor} = 7.8 min (*S*), t_{major} = 12.8 min (*R*).

(*R*)-1-(*p*-Methoxyphenyl)-3-buten-1-ol (Table 2, entry 5): ^{13}C NMR (75 MHz, $CDCl_3$): δ = 43.7, 55.2, 72.9, 113.7 (2C), 118.1, 127.0 (2C), 134.6,

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136.0, 158.9; $[\alpha]_D^{25} = +41.1$ ($c = 1.0$, benzene); elemental analysis calcd for $C_{11}H_{14}O_2$: C 74.13, H 7.92; found: C 74.13, H 8.07. Other physical and spectroscopic data (TLC, IR, and ^{1}H NMR) were identical with those in the literature.[3k, 4, 14b] The enantioselectivity was determined to be 93% *ee* by HPLC analysis on a chiral column (Chiralcel OD-H, Daicel Chemical Industries, Ltd., hexane/*i*PrOH 20/1, flow rate 1.0 mL min^{-1}): $t_{major} =$ 10.1 min (*R*), $t_{minor} = 11.8$ min (*S*).

1-(*p*-Bromophenyl)-3-buten-1-ol (Table 2, entry 6): ^{13}C NMR (75 MHz, $CDCl_3$): $\delta = 43.6$, 72.5, 118.6, 121.1, 127.5 (2C), 131.3 (2C), 133.9, 142.7; $[\alpha]_D^{29} = +47.0$ ($c = 1.0$, benzene); elemental analysis calcd for $C_{10}H_{11}OBr$: C 52.89, H 4.88; found: C 52.90, H 5.12. Other physical and spectroscopic data (TLC, IR, and ^{1}H NMR) were identical with those in the literature.[4, 15] The enantioselectivity was determined to be 93% *ee* by HPLC analysis on a chiral column (Chiralcel OJ, Daicel Chemical Industries, Ltd., hexane/*i*PrOH 9/1, flow rate 0.5 mL min^{-1}): $t_{minor} = 16.0$ min, $t_{major} = 17.3$ min. The absolute configuration is unknown.

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Membrane Anchoring and Intervesicle Transfer of a Derivative of the Antibiotic Moenomycin A**

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The glycopeptide antibiotics, which have vancomycin as a prominent example, are of increasing importance in the treatment of bacterial infections because of the problem of resistance.[1] They function by binding (as dimers or using a

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