Preparations of Secondary Amines and β-Amino Esters via Additions of Grignard and Reformatsky Reagents to Imines and by One-Pot Reactions of Primary Amines, Aldehydes, and Grignards

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Additions of Grignard and Reformatsky reagents to imines in the presence of 1-(trimethylsilyl)-benzotriazole afforded in good yields the corresponding secondary amines and β-amino esters. The procedure is general as imines containing hydrogens α to both carbon and nitrogen can be employed. Extensions of this method to include imines containing other Lewis basic centers, *e.g.*, those derived from furan-, thiophene-, indole-, and *p*-methoxybenzenecarboxaldehyde, have been successful in avoiding the potential complications which could result from the use of a Lewis acid as the activating species. The imines need not be isolated, and a one-pot method for the synthesis of secondary amines from aldehydes, primary amines, and Grignard reagents is described.

The addition of organometallics to imines is a potentially valuable method for the preparation of secondary amines. Unfortunately, it is often accompanied by competitive enolization, reduction, and/or bimolecular coupling reactions due to the relatively poor electrophilicity of the imine carbon and the competing loss of an α-proton. In general, imines derived from enolizable aldehydes and ketones undergo exclusive enolization on treatment with alkyl Grignards.[1] Organolithiums add to some classes of imines in fair to moderate yields;[2-4] however, this reaction fails for lithium alkynides[5] and for (perfluoroalkyl)lithiums that are not stable above −78 °C.[6] In both cases, the starting imines are recovered. Activation of the C=N moiety, by coordination of a Lewis acid with the nitrogen lone pair, has considerably increased the scope of organometallic additions to imines. For example, in the presence of stoichiometric amounts of BF_3·Et_2O, both lithium alkynides[5] and (perfluoroalkyl)-lithiums[6] add to imines at low temperatures (−78 °C to room temperature) to afford the corresponding alkynyl and perfluoroalkylamines in reasonably good yields. However, the presence of other Lewis basic centers may complicate the reaction, as indicated by the failure of picoline anions to add to 3,4-dihydro-6,7-dimethoxyisoquinoline in the presence of Lewis acids (*e.g.*, BF_3, $TiCl_4$, $SnCl_4$). By contrast, this reaction proceeded smoothly with trimethylsilyl triflate (TMSOTf), a less acidic species, as the promoter.[7] However, the generality of this method is unclear as only imines derived from aromatic aldehydes (thus containing no hydrogen α to carbon) were investigated. The combined use of organocuprates and Lewis acids (usually BF_3) has been reported by several groups and allows the efficient introduction of alkyl groups.[8-10] This procedure is applicable to imines derived from enolizable aldehydes due to the weak basic nature of organocuprates.

We recently reported in a preliminary communication[11] that 1-(trimethylsilyl)benzotriazole facilitates additions of Grignard reagents to imines to give the corresponding secondary aliphatic amines, and we now provide full experimental details. We have since extended this method to include imines containing other Lewis basic centers (in addition to C=N), such as those derived from furan-, thiophene-, indole-, and *p*-methoxybenzenecarboxaldehyde. Use of the Reformatsky reagent ($BrZnCH_2CO_2Et$) as the nucleophile in place of Grignard reagents has also been shown to be successful in the synthesis of β-(mono-*N*-substituted amino) esters. Most importantly, we have demonstrated that the imines need not be isolated and report a one-pot synthesis of secondary amines from aldehydes, primary amines, and Grignard reagents.

Results and Discussion

Equimolar amounts of 1-(trimethylsilyl)benzotriazole ($BtSiMe_3$) (**4**)[12] and the appropriate imine **2** (Scheme 1) were dissolved in dry toluene and an alkyl or aryl Grignard reagent **3** (2 equiv) was introduced. The mixture was heated at reflux for 20 h and then quenched with water to afford the corresponding secondary amine **5**. Imines containing hydrogens α to both carbon (from enolizable aldehydes) and to nitrogen could be used (Tables 1, 4, and 6; for Tables 2, 3, and 5, see the supplementary material). Particularly noteworthy are the high yields of amines **5g**, **5h**, and **5p** derived from the benzylamine imines **2c** and **2g** which contain protons

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Scheme 1

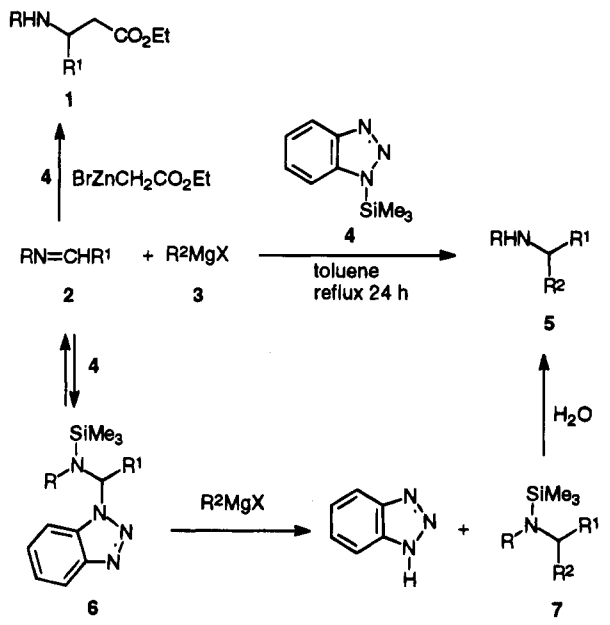

Table 1. Preparation of Imines 2a–h

2	R	R^1	yield (%)	molecular formula	anal. found (calcd) C	H	N
a	$CH_3(CH_2)_7$	isopropyl	90	$C_{12}H_{25}N$	78.26 (78.62	13.76 13.74	7.63 7.64)
b	$Ph(CH_2)_2$	isopropyl	83	$C_{12}H_{17}N$	82.04 (82.23	9.72 9.78	7.89 7.99)
c	$PhCH_2$	*n*-propyl	50[a,b]	$C_{11}H_{15}N$	82.18 (81.94	9.33 9.38	8.53 8.69)
d	$CH_3(CH_2)_7$	*p*-tolyl	88[a,c]	$C_{16}H_{25}N$	82.94 (83.06	11.14 10.89	5.98 6.05)
e	$CH_3(CH_2)_7$	furan-2-yl	91	$C_{13}H_{21}NO$	75.00 (75.32	10.46 10.21	6.77 6.76)
f	$Ph(CH_2)_2$	furan-2-yl	85[a,d]	$C_{13}H_{13}NO$	78.02 (78.36	6.71 6.58	7.03 7.03)
g	$PhCH_2$	thiophen-2-yl	87[a,e]	$C_{12}H_{11}NS$	71.94 (71.61	5.61 5.51	6.92 6.96)
h	$CH_3(CH_2)_7$	indol-3-yl	80	$C_{17}H_{24}N_2$	79.64 (79.64	9.45 9.44	10.61 10.93)

[a] These imines have been previously reported, but not fully characterized. [b] Tiollais, R. *Compt. Rend.* **1947**, *224*, 1116; *Chem. Abstr.* **1947**, *41*, 4772b. [c] Oszczapowicz, J.; Osek, J.; Ciszkowski, K.; Krawczyk, W.; Ostrowski, M. *J. Chromatogr.* **1985**, *330* (1), 79. [d] Potts, K. T.; Robinson, R. *J. Chem. Soc.* **1955**, 2675. [e] Mukaiyama, T.; Akamatsu, H.; Han, J. S. *Chem. Lett.* **1990**, 889.

activated by both the imino nitrogen and the phenyl group. All of the secondary amines prepared are oils and have not been previously reported. The reaction mechanism is believed to involve initial reversible addition of 1-(trimethylsilyl)benzotriazole (**4**) to the imine followed by displacement of the benzotriazolyl group by Grignard reagents. The addition is reversible as indicated by the fact that heating imine **2a** and $BtSiMe_3$ in the absence of Grignard reagents gave only small amounts of addition product **6a** (by NMR). The role of $BtSiMe_3$ is vital: attempts to react **2a** with phenylmagnesium bromide in its absence resulted in recovery of most of the starting material.

Additions of Grignards to imines which contain other Lewis basic centers have remained virtually unexplored, probably due to concerns that Lewis acid activation of the C=N bond, the strategy most commonly used in this area, would not be applicable to such imines. However treatment of the furan-, thiophene-, and indole-containing imines **2e**, **2f**, **2g**, and **2h** with Grignard reagents and 1-(trimethylsilyl)benzotriazole (**4**) successfully gave the corresponding secondary amines **5k–q**. Moderate to good yields (54–98%) were obtained except in the case of **5q** (32%) which was presumably due to the formation of an anion by Grignard (MeMgI) abstraction of the acidic indole NH in the starting imine (**2h**), which disfavored the initial addition of $BtSiMe_3$. All the amines prepared were fully characterized by their ^1H (Table 5, see supplementary material) and ^{13}C NMR spectra (Table 6).

Significantly, the imines need not be separated but can be prepared and used *in situ*. Thus, for the preparation of amine **5d**, equimolar isobutyraldehyde and octylamine were stirred at room temperature for 30 min followed by the addition of 2 equiv of $BtSiMe_3$. The mixture was refluxed for 8 h in toluene and PhMgBr added. The mixture was heated at reflux for an additional 24 h to afford **5d** in a yield of 78%. In a similar manner which illustrates the generality of this procedure, we were able to prepare secondary amines **5m,o–r** (54–93% yields) (see Table 4) directly from the appropriate primary amine, aldehyde, Grignard reagent, benzotriazole, and $(Me_3Si)_2NH$ without prior preparation of the imine and $BtSiMe_3$, both of which were presumably formed *in situ*. These procedures could be of potential industrial importance because of their simplicity and the ability to bypass separate preparation and storage of the air-sensitive imines.

Additions of the Reformatsky reagent ($BrZnCH_2CO_2Et$) to imines were also examined. Thus, 1 equiv of imine **2e** or **2g** and 2 equiv each of $BtSiMe_3$ and Zn powder were mixed in dry toluene, and the suspension was stirred at room temperature for 10 min. Ethyl bromoacetate ($BrCH_2CO_2Et$) was then added and the mixture heated at reflux for 24 h to yield the β-amino esters **1a** and **1b** in yields of 54 and 52%, respectively (Scheme 1 and Table 4). Direct additions of the Reformatsky reagent to imines have been reported previously[13] and can be directed to yield the corresponding β-amino esters and/or β-lactams, in ratios which depend upon the reaction solvent and temperature. The exclusive formation of amino esters **1a,b** in our case was probably a result of the unavailability of the free NH in the initial adduct **6** and in the subsequent displacement product **7** prior to aqueous work-up (Scheme 1).

Attempts to extend our method to more sterically hindered aldimines, such as isobutylidenylcyclohexylamine and *p*-tolylidenyl-(*R*)-α-methylbenzylamine, and to ketimines (*e.g.*, those derived from cyclohexanone and acetophenone) were unsuccessful. Standard conditions resulted in recovery of the starting materials and extended reaction times (*e.g.*, refluxing for 4 days) led to complex mixtures. The failure is attributed to the inability of $BtSiMe_3$ to add to the sterically hindered aldimines and ketimines due to crowding. Fortunately, this problem is partially overcome by our early work[14] which involves condensation of benzotriazole with formaldehyde and sterically hindered primary amines followed by displacement of the benzotriazolyl group with Grignard reagents to give the corresponding secondary amines.

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Table 4. Preparation of Secondary Amines 5a–r and β-Amino Esters 1a,b

compd	R	R^1	R^2 (R^2X)	yield (%)	molecular formula	anal. found (calcd) C	H	N
5a	$CH_3(CH_2)_7$	isopropyl	Me (MeI)	60[a]	$C_{13}H_{29}N$	77.95 (78.31	14.39 14.66	7.00 7.03)
5b	$CH_3(CH_2)_7$	isopropyl	Bu (BuBr)	76[a]	$C_{16}H_{35}N$	79.78 (79.59)	14.85 14.61	5.72 5.80)
5c	$CH_3(CH_2)_7$	isopropyl	$PhCH_2$ ($PhCH_2Br$)	65[a]	$C_{19}H_{33}N$	82.78 (82.83	12.08 12.08	4.93 5.09)
5d	$CH_3(CH_2)_7$	isopropyl	Ph (PhBr)	78[b]	$C_{18}H_{31}N$	83.02 (82.69	12.18 11.95	5.28 5.36)
5e	$Ph(CH_2)_2$	isopropyl	Me (MeI)	69[a]	$C_{13}H_{21}N$	81.23 (81.62	10.92 11.06	7.04 7.32)
5f	$Ph(CH_2)_2$	isopropyl	Ph (PhBr)	73[a]	$C_{18}H_{23}N$	85.15 (85.32	9.20 9.15	5.49 5.53)
5g	$PhCH_2$	*n*-propyl	Bu (BuBr)	61[a]	$C_{15}H_{25}N$	81.79 (82.13	11.54 11.49	6.35 6.38)
5h	$PhCH_2$	*n*-propyl	Ph (PhBr)	70[a]	$C_{17}H_{21}N$	85.39 (85.31	9.00 8.84	5.82 5.85)
5i	$CH_3(CH_2)_7$	*p*-tolyl	Me (MeI)	72[a]	$C_{17}H_{29}N$	82.14 (82.51	11.75 11.82	5.88 5.66)
5j	$CH_3(CH_2)_7$	*p*-tolyl	Ph (PhBr)	93[a]	$C_{22}H_{31}N$	85.36 (85.38	10.09 10.10	4.42 4.53)
5k	$CH_3(CH_2)_7$	furan-2-yl	Me (MeI)	93[a]	$C_{14}H_{25}NO$	75.08 (75.27	11.36 11.29	6.21 6.27)
5l	$CH_3(CH_2)_7$	furan-2-yl	Bu (BuBr)	98[a]	$C_{17}H_{31}NO$	77.16 (76.92	11.97 11.77	5.18 5.28)
5m	$CH_3(CH_2)_7$	furan-2-yl	Ph (PhBr)	63[b]	$C_{19}H_{27}NO$	79.68 (79.95	9.68 9.53	4.87 4.91)
5n	$Ph(CH_2)_2$	furan-2-yl	Me (MeI)	98[a]	$C_{14}H_{17}NO$	77.83 (78.10	7.99 7.96	6.75 6.51)
5o	$Ph(CH_2)_2$	furan-2-yl	Ph (PhBr)	54[b]	$C_{19}H_{19}NO$	82.61 (82.28	7.05 6.90	4.90 5.05)
5p	$PhCH_2$	thiophen-2-yl	Bu (BuBr)	93[b]	$C_{16}H_{21}NS$	73.91 (74.08	8.26 8.16	5.35 5.40)
5q	$CH_3(CH_2)_7$	indol-3-yl	Me (MeI)	32[b]	$C_{18}H_{28}N_2$	79.69 (79.36)	10.68 10.36	10.06 10.28)
5r	$CH_3(CH_2)_3$	4-$MeOC_6H_4$	Ph (PhBr)	90[b]	$C_{18}H_{23}NO$	80.55 (80.26	8.66 8.61	5.00 5.20)
1a	$CH_3(CH_2)_7$	furan-2-yl	–	54	$C_{17}H_{29}NO_3$	69.42 (69.12	10.23 9.89	4.67 4.74)
1b	$PhCH_2$	thiophen-2-yl	–	52	$C_{16}H_{19}NO_2S$	66.11 (66.41	6.66 6.62	4.77 4.84)

[a] Prepared by two-step procedure and yields calculated from the appropriate imine. [b] Prepared by one-pot procedure and yields calculated from the appropriate primary amine.

Table 6. ^{13}C NMR Data of Secondary Amines 5a–r and β-Amino Esters 1a,b

5a	58.3, 47.5, 32.0, 31.7, 30.3, 29.4, 29.2, 27.4, 22.5, 19.3, 17.0, 15.8, 13.9
5b	63.2, 48.2, 31.8, 30.6, 30.5, 30.0, 29.5, 29.3, 28.9, 27.4, 23.1, 22.6, 18.5, 18.2, 14.1, 14.0
5c	140.5, 139.9, 129.3, 129.1, 128.3, 128.2, 126.0, 125.9, 64.9, 59.3, 55.3, 48.1, 40.9, 37.1, 34.0, 31.8, 30.2, 29.8, 29.6, 29.4, 29.3, 29.2, 28.4, 27.2, 25.8, 22.6, 20.6, 18.4, 18.0, 14.1
5d	142.4, 128.0, 127.9, 126.7, 69.6, 47.8, 34.1, 31.8, 29.8, 29.4, 29.2, 27.3, 22.6, 19.8, 19.3, 14.0
5e	140.3, 128.7, 128.4, 126.0, 58.2, 48.9, 36.6, 32.2, 19.3, 17.1, 16.0
5f	143.0, 140.3, 128.7, 128.3, 128.0, 127.9, 126.7, 126.0, 69.5, 49.0, 36.4, 34.4, 19.6, 19.4
5g	141.2, 128.3, 128.1, 126.7, 56.6, 51.3, 36.4, 33.7, 27.9, 23.0, 18.9, 14.4, 14.1
5h	144.4, 140.8, 128.3, 128.1, 127.3, 126.8, 126.7, 62.4, 51.5, 40.6, 19.5, 14.0
5i	142.9, 136.1, 129.0, 126.3, 58.0, 47.8, 31.8, 30.3, 29.5, 29.2, 27.3, 24.3, 22.6, 21.0, 14.0
5j	144.6, 141.5, 136.3, 129.1, 128.4, 127.2, 127.1, 126.7, 67.4, 48.3, 31.8, 30.3, 29.5, 29.3, 27.4, 22.7, 21.0, 14.1
5k	158.3, 141.2, 109.8, 105.0, 51.3, 47.3, 31.8, 30.2, 29.5, 29.2, 27.4, 22.6, 20.4, 14.1
5l	157.0, 141.2, 109.6, 106.2, 56.5, 47.4, 34.6, 31.8, 30.1, 29.5, 29.2, 28.4, 27.3, 22.62, 22.57, 14.0, 13.9
5m	156.7, 141.7, 141.4, 128.4, 127.6, 127.4, 110.0, 106.4, 61.2, 48.0, 31.8, 30.1, 29.5, 29.2, 29.1, 27.3, 22.6, 14.1
5n	157.6, 141.2, 139.8, 128.6, 128.3, 126.0, 109.7, 105.1, 51.1, 48.3, 36.3, 20.2
5o	156.4, 141.8, 141.1, 139.9, 128.7, 128.4, 127.5, 127.4, 127.2, 126.1, 110.0, 106.5, 61.0, 49.0, 36.3
5p	149.6, 140.5, 128.3, 128.2, 126.8, 126.2, 124.3, 123.8, 58.0, 51.3, 38.7, 28.5, 22.5, 14.0
5q	136.4, 126.3, 121.7, 120.9, 119.1, 118.9, 111.3, 50.4, 48.0, 31.8, 30.2, 29.5, 29.2, 27.4, 22.6, 22.4, 14.0
5r	158.5, 144.7, 136.7, 128.4, 128.3, 127.1, 126.8, 113.8, 67.0, 55.2, 48.0, 32.4, 20.5, 14.0
1a	171.3, 155.4, 141.6, 109.8, 106.3, 60.4, 52.9, 47.2, 39.8, 31.7, 30.0, 29.4, 29.2, 27.2, 22.6, 14.1, 14.0
1b	171.3, 147.4, 140.0, 128.3, 128.2, 126.9, 126.5, 124.5, 124.4, 60.6, 54.4, 51.1, 43.5, 14.1

Conclusions

1-(Trimethylsilyl)benzotriazole-assisted additions of Grignard reagents to imines described herein represent a general and versatile approach to secondary amines. While some of the existing methods are quite successful for the synthesis of aromatic secondary amines, the present procedure has been demonstrated to be particularly useful for the preparation of less sterically hindered aliphatic secondary amines. The use of 1-(trimethylsilyl)-benzotriazole, which is neither appreciably acidic nor basic, minimizes the potential problems associated with Lewis acids, as illustrated by the smooth additions of Grignards to imines derived from furan-, thiophene-, indole-, and *p*-methoxybenzenecarboxaldehyde. Addi-

tions of the Reformatsky reagent to imines lead to the exclusive formation of the corresponding β-amino esters.

Experimental Section

Melting points were determined on a hot-stage microscope and are uncorrected. ^{1}H NMR spectra were recorded at 300 MHz with Me_4Si as the internal standard (in $CDCl_3$ unless otherwise noted). ^{13}C NMR spectra were recorded at 75 MHz on the same instrument using the solvent peak ($CDCl_3$, δ 77.0) as a reference. Elemental analyses were carried out within the Department. Flash column chromatography was run on EM Science silica gel 60 (230–400 mesh).

1-(Trimethylsilyl)benzotriazole (**4**) was prepared according to the literature procedure.[12]

General Procedure for the Preparation of Imines 2a–h. A mixture of the appropriate amine (100 mmol), aldehyde (100 mmol), molecular sieves (50 g, 4 Å) and dry diethyl ether (300 mL) was stirred at room temperature overnight. The molecular sieves were removed by filtration, and the filtrate was evaporated under reduced pressure to give the crude imine which was used in the subsequent Grignard reactions without further purification (Table 1). All imines were characterized by their ^{1}H and ^{13}C NMR spectra (Tables 2 and 3, see supplementary material).

General Procedure for the Reaction of Grignard Reagents with Imines 2 and 1-(Trimethylsilyl)benzotriazole (4). Preparation of Secondary Amines 5a–r. Grignard reagent (10 mmol, in diethyl ether) was added to a solution of the appropriate imine **2** (5 mmol) and 1-(trimethylsilyl)benzotriazole (**4**) (5 mmol) in dry toluene (30 mL). The mixture was refluxed for 20 h, poured into ice–water (30 mL), and extracted with diethyl ether (3 × 60 mL). The combined organic layers were washed with 2 N NaOH (2 × 20 mL) and water (2 × 30 mL) and dried over $MgSO_4$. Evaporation of the solvent under reduced pressure gave the crude product which was purified by flash column chromatography (hexane/ethyl acetate = 3 :1) (Table 4).

One-Pot Procedure for the Preparation of Amines 5m and 5o–r from a Primary Amine, Aldehyde, Grignard, Benzotriazole, and $(Me_3Si)_2NH$. The appropriate aldehyde (10 mmol) and amine (10 mmol) were stirred at room temperature for 30 min, and then benzotriazole (2.38 g, 20 mmol) and $(Me_3Si)_2NH$ (4.84 g, 30 mmol) in dry toluene (30 mL) were added. The mixture was refluxed for 18 h, cooled to room temperature, and the appropriate Grignard reagent (25 mmol, 1 *M* in diethyl ether) added. The ether was distilled off and the mixture heated at reflux for 24 h, cooled to room temperature and poured into ice–water (30 mL). The aqueous layer was extracted with diethyl ether (3 × 60 mL) and the combined organic layers washed with 2 N NaOH (2 × 20 mL) and water (2 × 30 mL). The solution was dried ($MgSO_4$) and the solvent evaporated under reduced pressure to give the crude product which was purified by flash column chromatography (hexane/ethyl acetate = 3 :1) (Table 4).

General Procedure for the Preparation of β-Amino Esters 1a,b. 1-(Trimethylsilyl)benzotriazole (**4**) (20 mmol), imine **2e** or **2g** (10 mmol), and zinc powder (20 mmol) were added to dry toluene (30 mL). The suspension was stirred at room temperature for 10 min, then ethyl bromoacetate (15 mmol) was added. The mixture was heated at reflux for 24 h, then cooled to room temperature, poured onto ice–water, and extracted with chloroform (3 × 50 mL). The combined organic layers were washed with water (2 × 30 mL), dried over $MgSO_4$, and evaporated under reduced pressure to give the crude product **1a** or **1b**, which was purified by flash column chromatography (hexane/ethyl acetate = 6:1) (Table 4).

Supplementary Material Available: ^{1}H and ^{13}C NMR data of imines **2a–h** (Tables 2, 3) and ^{1}H NMR data of secondary amines **5a–r** and β-amino esters **1a,b** (Table 5) (4 pages). This material is contained in libraries on microfiche, immediately follows this article in the microfilm version of the journal, and can be ordered from the ACS; see any current masthead page for ordering information.

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