New Synthesis of Amines and Amides Mediated by Additions of Benzotriazole to Enamines and Enamides and Transformations of the Adducts

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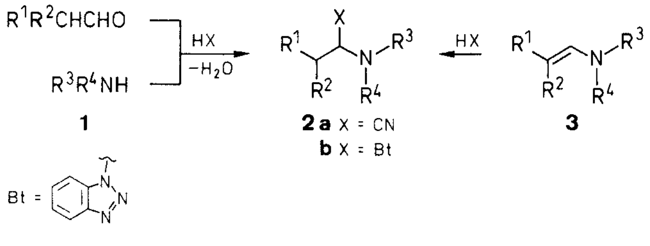
Adducts of type N–C–Bt can be obtained in which the nitrogen atom is derived from an *N*-vinyl non-basic heterocyclic NH compound or a secondary amide, or in which the central carbon is derived from a ketone by the addition of benzotriazole to an enamine or enamide. Thus, 9-vinylcarbazole, 1-vinylpyrrolidin-2-one and 1-(1-ethylprop-1-enyl)pyrrolidine afforded the corresponding *N*-(1-benzotriazolylalkyl)-substituted compounds which could be alkylated by various methods in good yield. The range of benzotriazole mediated syntheses of amines and amides is significantly extended in this way.

Following the initial discovery by Bruylants[1,2] in 1924, and the independant work by Stevens,[3] that α-aminonitriles **2a** are susceptible to nucleophilic substitution of the cyano group by an alkyl or an aryl from a Grignard reagent, aminonitriles **2a** have been extensively applied in organic synthesis. Recent applications have involved the development of preparative methods for unsymmetrical secondary amines,[4] *N,N*-dialkylallylamines,[5] tetrahydropyridines,[6,7] 4-anilinopiperidines,[8] enamines,[9] ketones[9,10] and the synthesis of a wide variety of alkaloids.[11-15]

Extensive work in our laboratory has shown that benzotriazolyl derivatives of this type, **2b**,[16] behave similarly to the cyano derivatives **2a**, while possessing significant advantages: serious limitations of the Bruylants reaction are toxicity of the reagent and possibility of an alternative attack of the nucleophile on the nitrile carbon atom.[3]

1-(α-Aminoalkyl)benzotriazoles of type **2b** (Scheme 1), prepared by the condensation of benzotriazole, an amine and an aldehyde under a variety of conditions (mainly depending on the nature of the amine), have become important intermediates for the synthesis of a wide range of compounds.[16] Similar condensation products can be prepared from amides (**2b**, $R^3 = COR$),[17] thioamides (**2b**, $R^3 = CSR$)[18] and from sulfonamides (**2b**, $R^3 = SO_2R$).[19]



Scheme 1

This paper presents an alternative route to compounds of type **2b** by the addition of benzotriazole to enamines and enamides **3** and illustrates the synthetic utility of the compounds **2b** formed in this manner. Transformations of type **3** → **2b** are analogous to the previously reported preparation of 1-(α-alkoxyalkyl)benzotriazoles by addition of benzotriazole to vinyl ethers.[20] The new route **3** → **2b** significantly extends the types of nitrogen compounds from which derivatives **2b** can be prepared as follows:

(a) to almost non-basic secondary amines such as carbazole (which do not react in the manner **1** → **2b**),

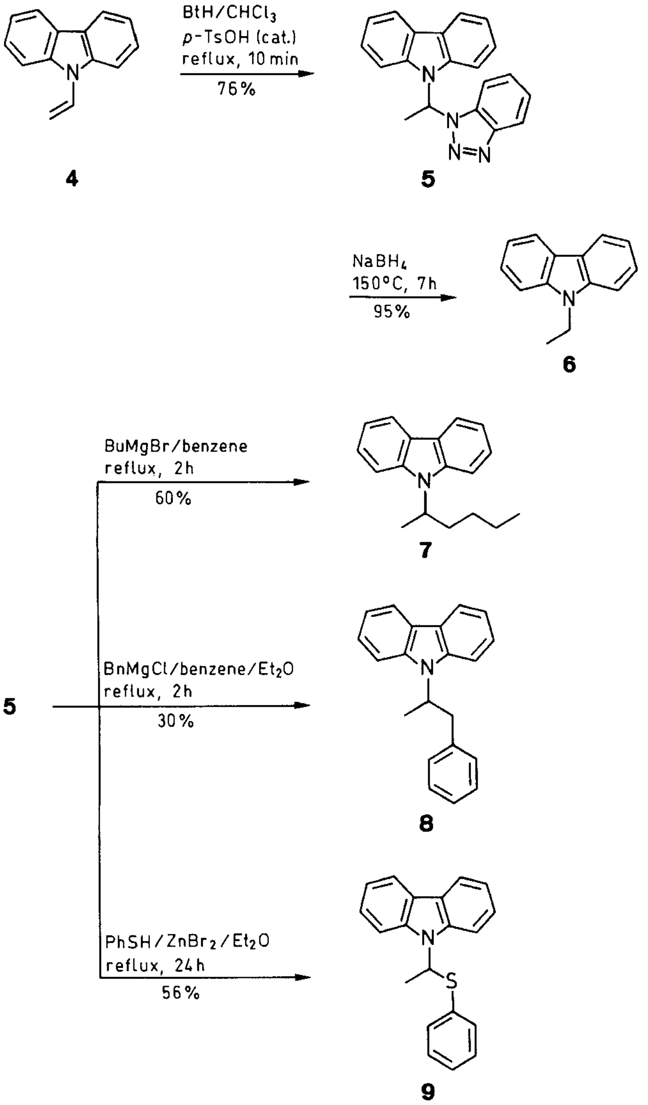
(b) to ketones such as diethyl ketone (with the exception of some cycloalkanones, ketones generally do not undergo condensations of type **1** → **2b**[21]),

(c) to *N*-substituted amides such as pyrrolidone (with the exception of formamides, *N*-substituted amides do not undergo the condensation **1** → **2b**[22]).

Analogous cyano derivatives, especially of categories (a) and (c), are also difficult in preparation. Thus, α-methyl-9-carbazoleacetonitrile was obtained in 8% yield by irradiation of a mixture of carbazole and acetonitrile,[23] and α-methyl-2-oxo-1-pyrrolidineacetonitrile was prepared by alkylation of a sodium salt of 2-pyrrolidone with α-chloropropionitrile.[24] We now report one example of the preparation of a compound of type **2b** from each of the categories (a)–(c) and their further reactions with nucleophiles.

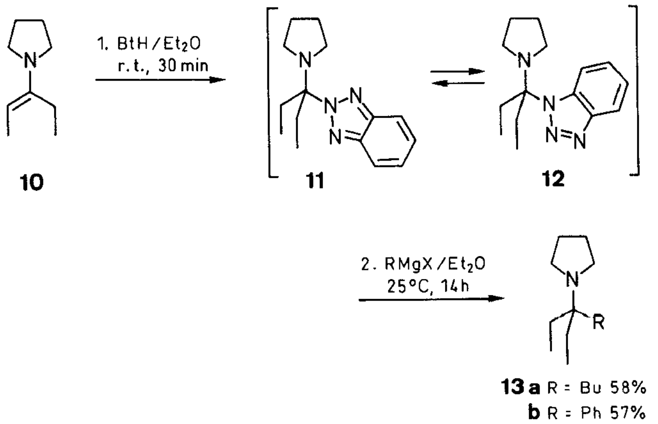
9-Vinylcarbazole (**4**), reacted with benzotriazole to form the adduct **5** (Scheme 2). In refluxing chloroform in the presence of a catalytic amount of *p*-toluenesulfonic acid, the addition was rapid and was complete in a few minutes. Compound **5** successfully underwent a variety of transformations of the types previously reported for derivatives of structure **2** prepared by the earlier methods, although the conditions required were somewhat more stringent. Thus, **5** was reduced with sodium borohydride at 150 °C to give 9-ethylcarbazole (**6**) in almost quantitative yield. Reactions of **5** with the Grignard reagents, butylmagnesium bromide and benzylmagnesium chloride in benzene/diethyl ether gave 9-alkylcarbazoles **7** and **8**, in 60 and 36% yields, respectively. Substitution of the benzotriazolyl moiety with thiophenol catalyzed by zinc bromide produced the thio derivative **9**.

Benzotriazole adds rapidly to the pyrrolidine enamine of diethyl ketone, **10**, affording the adduct **11** (Scheme 3). The ^1H NMR spectrum of an equimolar mixture of enamine **10** and benzotriazole taken 10 minutes after the compounds were dissolved in deuteriochloroform showed the presence of no starting materials. The equilibrium **11** ⇄ **12** strongly favors the benzotriazol-2-yl adduct **11**. The NMR spectra showed only signals for this form,[25] but slight broadening suggested a minor contribution of **12** to the mixture. Compound **11** undergoes spontaneous decomposition in moist air to pentan-3-one, pyrrolidine and benzotriazole. Reactions with Grignard reagents proceeded readily at room temperature producing amines



Scheme 2

13 in good yields. This type of reaction should be of general synthetic application as a method of *N*-alkylation of secondary amines with the introduction of tertiary alkyl groups, a transformations which cannot be achieved with tertiary alkyl halides, and for which most other methods fail.



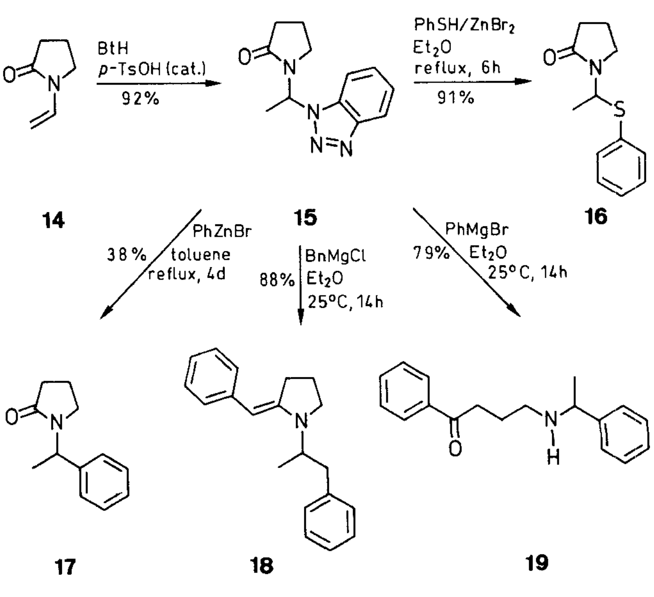
Scheme 3

Table 1. Yields and Physical Data of the New Compounds Prepared

Compound	Yield (%)	mp (°C) or bp (°C)	Molecular Formula[a]	MS *m/z* (%)
5	81	156–157	$C_{20}H_{16}N_4$ (312.4)	312 (M^+, 38), 268 (15), 241 (12), 194 (52), 186 (49), 167 (33), 146 (100)
7	60	138/0.18	$C_{18}H_{21}N$ (251.4)	251 (M^+, 28), 195 (14), 194 (100), 167 (16)
8	36	175/0.15	$C_{21}H_{19}N$ (285.4)	286 (5), 285 (M^+, 20), 195 (21), 194 (100), 193 (5), 167
9	56	95–96	$C_{20}H_{17}NS$ (303.4)	303 (M^+, 2), 195 (16), 194 (100), 193 (6), 192 (5), 167 (8)
13a	58	90/2.8	$C_{13}H_{27}N$ (197.4)	197 (M^+, 1), 168 (100), 140 (62), 124 (5), 112 (10)
13b	57	87/0.2	$C_{15}H_{23}N$ (217.4)	217 (M^+, 15), 188 (100), 140 (37), 130 (15), 117 (21)
15	98	74–75	$C_{12}H_{14}N_4O$ (230.3)	230 (M^+, 3), 119 (8), 112 (100)
16	91	119/0.22	$C_{12}H_{15}NOS$ (221.3)	222 (M^+ + 1, 22), 219 (14), 181 (16), 137 (13), 131.0 (12), 113 (6), 112 (100)
17	38	125/0.35	$C_{12}H_{15}NO$ (189.3)	189 (M^+, 100), 174 (82), 160 (39), 146 (20), 131 (10), 105 (62), 104 (47)
18	88	oil	$C_{20}H_{23}NO$ (277.2)	277 (M^+, 21), 186 (100), 170 (16), 160 (19), 118 (20), 91 (30)
19	79	oil	$C_{18}H_{21}NO$ (267.4)	249 (14), 234 (8), 146 (22), 145 (64), 144 (100), 105 (31)

[a] The microanalyses (**5–16** and **19**) or HRMS (**17** and **18**) data were in satisfactory agreement with the calculated values: C ±0.43, H ±0.24, N ±0.11; *m/z* +0.0002 (M^+).

Rapid addition of benzotriazole to 1-vinylpyrrolidin-2-one (**14**) in chloroform in the presence of a catalytic amount of *p*-toluenesulfonic acid afforded adduct **15** (Scheme 4) in an almost quantitative yield. Substitution of the benzotriazolyl group of **15** by thiophenol proceeded smoothly in diethyl ether in the presence of zinc bromide producing the thioalkyl derivative **16** in a high



Scheme 4

Table 2. NMR Data of the New Compounds Prepared

Compound	^{1}H NMR ($CDCl_3$/TMS) δ, J (Hz)	^{13}C NMR ($CDCl_3$/TMS) δ
5	2.62 (d, 3H, J = 6.8, H-2), 6.97 (d, 1H, J = 8.3), 7.14 (t, 1H, J = 8.3), 7.25 (m, 3H), 7.40 (m, 3H), 7.50 (d, 2H, J = 8.1), 8.01 (d, 1H, J = 8.2), 8.06 (d, 1H, J = 7.8)	17.8 (C-2), 64.9 (C-1), 109.5 (2C), 109.6, 120.0, 120.4 (2C), 120.7 (2C), 123.8 (2C), 124.3, 126.4 (2C), 127.9, 132.7, 138.5 (2C), 146.5 (Bt)
7	0.72 (t, 3H, J = 7.2, H-6), 0.96–1.25 (m, 4H, H-5, H-4), 1.58 (d, 3H, J = 7.0, H-1), 1.89 (m, 1H, H-3), 2.22 (m, 1H, H-3), 4.67 (m, 1H, H-2), 7.14–7.45 (m, 6H), 8.08 (d, 2H, J = 7.0)	14.3 (C-6), 19.7 (C-1), 22.8 (C-5), 29.5 (C-4), 35.0 (C-3), 51.7 (C-2), 110.4 (2C), 118.9 (2C), 120.7 (2C), 123.6 (2C), 125.7 (2C), 140.2 (2C, carbazolyl)
8	1.66 (d, 3H, J = 7.0, H-3), 3.23 (dd, 1H, J = 7.3, 13.4, H-1), 3.38 (dd, 1H, J = 7.6, 13.5, H-1), 4.91 (m, 1H, H-2), 7.19 (m, 11H), 8.05 (d, 2H, J = 7.8, carbazolyl)	18.6 (C-3), 41.2 (C-1), 53.2 (C-2), 110.2 (2C), 118.6 (2C), 120.2 (2C), 123.2 (2C), 125.3 (2C), 126.4, 128.3 (2C), 128.8 (2C), 138.7, 139.6 (2C)
9	2.02 (d, 3H, J = 7.2, H-2), 6.14 (q, 1H, J = 7.2, H-1), 6.88–7.50 (m, 11H), 8.02 (d, 2H, J = 7.8)	20.6 (C-2), 59.9 (C-1), 110.5 (2C), 119.3 (2C), 120.1 (2C), 123.5 (2C), 125.3 (2C), 128.1 (Ph), 128.6 (2C, Ph), 133.0 (Ph), 133.8 (2C, Ph), 139.4 (2C)
13a	0.83 (t, 6H, J = 7.5, Et), 0.90 (m, 3H, Bu), 1.18 (m, 4H, Bu), 1.32 (m, 2H, Bu), 1.47 (q, 4H, J = 7.5, Et), 1.68 (m, 4H, pyrrole), 2.74 (m, 4H, pyrrole)	8.9 (2C, Et), 14.1 (Bu), 23.8 (Bu), 24.1 (2C, Et), 26.6 (Bu), 27.5 (2C, pyrrole), 34.6 (Bu), 45.2 (2C, pyrrole), 58.1 (quaternary)
13b	0.83 (t, 6H, J = 7.3, Et), 1.58 (m, 4H, pyrrole), 1.98 (m, 4H, Et), 2.52 (m, 4H, pyrrole), 7.23 (m, 1H, Ph), 7.35 (m, 4H, Ph)	8.5 (2C, Et), 23.3 (2C, Et), 26.7 (2C, pyrrolidine), 45.4 (2C, pyrrolidine), 62.6 (quaternary), 126.0 (Ph), 127.3 (2C, Ph), 127.7 (2C, Ph), 140.7 (Ph)
15	1.95 (m, 2H, pyr. CH_2N), 2.13 (d, 3H, J = 6.9, Me), 2.39 (m, 2H), 3.12 (ddd, 1H, J = 5.5, 8.6, 14.0), 3.63 (ddd, 1H, J = 5.9, 8.2, 14.3), 7.00 (q, 1H, J = 6.9, NCHN), 7.38 (1H, ddd, J = 1.2, 6.9, 8.2), 7.50 (ddd, J = 1.1, 6.9, 8.1), 7.83 (ddd, 1H, J = 0.9, 1.0, 8.4), 8.05 (ddd, J = 1.0, 1.1, 8.1)	17.0 (Me), 17.7, 30.9, 41.8, 59.6 (NCHN), 110.5, 119.6, 124.4, 127.8, 132.4, 145.8, 175.1 (C=O)
16	1.48 (d, 3H, J = 6.9, CH_3), 1.71–1.95 (m, 2H), 2.01–2.31 (m, 2H, CH_2), 3.25–3.58 (m, 2H), 5.88 (q, 1H, J = 6.9, NCHS), 7.21–7.41 (m, 5H)	17.4 (CH_3), 18.7, 31.0, 41.1 (CH_2), 54.2 (CH), 127.3, 128.6 (2C), 132.0 (2C), 132.8, 174.2 (C=O)
17	1.51 (d, 3H, J = 7.2, CH_3), 1.90 (m, 2H), 2.40 (m, 2H), 2.95 (m, 1H), 3.28 (m, 1H), 5.50 (q, 1H, J = 7.2, NCHPh), 7.30 (m, 5H)	15.9 (CH_3), 17.6, 31.1, 42.0, 48.6 (NCHPh), 126.7 (2C), 127.1, 128.2 (2C), 140.0, 174.1 (C=O)
18	1.11 (d, 3H, J = 6.6, H-3), 1.77 (m, 2H), 2.63 (dd, 1H, J = 8.5, 13.4, H-1), 2.76 (t, 2H, J = 7.3), 2.93 (dd, 1H, J = 5.4, 13.4, H-1), 3.11 (m, 2H), 3.89 (m, 1H, H-2), 5.16 (s, 1H, PhCH=), 6.90 (m, 1H), 7.18 (m, 9H)	16.1, 22.0, 31.4, 39.3, 45.1, 50.8, 90.9 (PhCH=), 121.9, 121.9, 126.0 (2C), 128.1 (2C), 128.3 (2C), 129.0 (2C), 139.4, 140.9, 148.8
19	1.31 (d, 3H, J = 6.6, CH_3), 1.88 (m, 2H, H-3), 2.46 (dt, 1H, J = 11.7, 7.1, H-4), 2.58 (dt, 1H, J = 11.6, 6.8, H-4′), 2.96 (m, 2H, H-2), 3.74 (q, 1H, J = 6.6, PhCH), 7.10–7.60 (m, 8H), 7.91 (d, 2H, J = 7.1)	22.8 (CH_3), 23.3 (C-3), 35.0 (C-2), 45.7 (C-4), 56.9 (PhCH), 125.3 (2C), 125.6, 126.7 (2C), 127.1 (2C), 127.2 (2C), 127.4, 135.7, 144.1, 200.0 (C-1)

yield. Treatment of adduct **15** with phenylzinc bromide replaced the benzotriazolyl residue by the phenyl group giving derivative **17**. Organomagnesium reagents rapidly attacked the carbonyl group of **15** even under mild conditions (in diethyl ether), then the less reactive benzotriazolyl substituent was substituted by a benzyl or a phenyl group. The obtained 2-hydroxypyrrolidines were unstable however, and during the workup eliminated water to give enamine **18** or underwent the C–N bond cleavage to give amino-substituted ketone **19**.

1-[1-(Carbazol-9-yl)ethyl]benzotriazole (5):

A solution of 9-vinylcarbazole (4.83 g, 25 mmol), benzotriazole (2.97 g, 25 mmol) and *p*-TsOH (0.10 g) in $CHCl_3$ (20 mL) was heated at reflux for 10 min. The mixture was poured into ice-water (50 mL) and extracted with $CHCl_3$. The extract was washed with 10% Na_2CO_3 followed by H_2O and dried ($MgSO_4$). Evaporation of the solvent under reduced pressure gave a glassy product which upon trituration with Et_2O and cooling overnight at 5 °C in a fridge afforded the pure crystalline adduct (5.89 g, 76%), mp 147 °C.

9-Ethylcarbazole (6):

A mixture of **5** (3.12 g, 10 mmol) and $NaBH_4$ (0.76 g, 20 mmol) was heated under N_2 in an oil bath at 150 °C for 7 h. The mixture was triturated with H_2O and extracted with $CHCl_3$. The organic phase was washed with 10% NaOH and dried (Na_2CO_3). Evaporation of the solvent afforded pure **6** (1.95 g, 95%), mp 69–70 °C (Lit.[26] mp 68–70 °C).

9-(1-Methylpentyl)carbazole (7):

To a solution of the Grignard reagent prepared from Mg (0.17 g, 7 mmol), I_2 (0.25 g, 1 mmol), and BuBr (0.96 g, 7 mmol) in dry Et_2O (7 mL) was added dropwise a solution of **5** (1.56 g, 5 mmol) in dry benzene (20 mL). The mixture was refluxed for 2 h, and kept at r.t. overnight. The product was hydrolyzed with 10% HCl (20 mL), and extracted with $CHCl_3$ (2 × 35 mL). The extract was washed with H_2O and dried (Na_2SO_4). Evaporation of the solvent gave a crude oily product, which was purified by column chromatography (silica gel, CH_2Cl_2/MeOH, 10:1) to give 0.76 g (60%) of pure **7** as a light yellow oil.

9-(1-Methyl-2-phenylethyl)carbazole (8):

Adduct **5** (1.56 g, 5 mmol) was treated with a Grignard reagent prepared from Mg (0.17 g, 7 mmol), I_2 (0.25 g, 1 mmol), and BnCl (0.89 g, 7 mmol) under the conditions described above to give pure **8**, (0.52 g, 36%) as a light yellow oil.

9-[1-(Phenylthio)ethyl]carbazole (9):

To a solution of **5** (1.56 g, 5 mmol) and PhSH (2.0 mL, 19.5 mmol) in dry Et_2O (50 mL) stirred under N_2 was added a solution of $ZnBr_2$ (1.1 g, 5 mmol) in dry Et_2O (3 mL). The mixture was heated at reflux under N_2 for 24 h and cooled to r.t. After addition of benzene

(30 mL) the mixture was washed with 5% aq NaOH (2 × 50 mL) and H_2O (2 × 50 mL). The organic layer was dried (Na_2SO_4) and the solvent was evaporated. The residue was recrystallized from benzene/hexane (1 : 1) to give **9** (0.84 g, 56%) as colorless prisms.

2-[1-Ethyl-1-(pyrrolidin-1-yl)propyl]benzotriazole (11):
Enamine **10** (2.78 g, 20 mmol) was added to a solution of benzotriazole (2.38 g, 20 mmol) in dry Et_2O (20 mL) and stirred under N_2 for 30 min. Evaporation of the ether gave pure **11** as a glassy matter.

1-(1,1-Diethylpentyl)pyrrolidine (13a):
To a suspension of dry benzotriazole (4.00 g, 34 mmol) in dry Et_2O (20 mL), stirred under N_2 was added enamine **10** (5 mL, 28 mmol). The mixture became a clear solution. After 10 min, the Grignard reagent prepared from Mg turnings (2.67 g, 110 mmol), I_2 (1.00 g, 4 mmol) and BuBr (10.8 mL) was added portionwise. The mixture was stirred at 25 °C for 14 h, then poured into ice-water (200 mL) and stirred with 10% Na_2CO_3 (200 mL) for 2 h. Extraction with $CHCl_3$ (5 × 50 mL), drying (Na_2CO_3) and evaporation of the $CHCl_3$ under reduced pressure gave crude **13a**. Vacuum distillation 90 °C/2.8 Torr gave analytical sample of **13a** (3.21 g, 58%).

1-(1-Ethyl-1-phenylpropyl)pyrrolidine (13b):
Following a procedure analogous to that for **13a** with the Grignard reagent from bromobenzene 8.42 mL (80 mmol), Mg turnings (2.19 g, 90 mmol) and I_2 (1.27 g, 5 mmol), amine **13b** was obtained as colorless oil (3.49 g, 57%).

1-[1-(2-oxopyrrolidin-1-yl)ethyl]benzotriazole (15):
From 1-vinyl-2-pyrrolidin-2-one (**14**; 11.1 g, 100 mmol), benzotriazole (11.9 g, 100 mmol) and *p*-TsOH (0.20 g, 1 mmol), by the procedure described for **5** adduct **15** was obtained as white needles.

1-[1-(Phenylthio)ethyl]pyrrolidin-2-one (16):
To a solution of **15** (1.15 g, 5 mmol) and PhSH (2.0 mL, 19.5 mmol) in dry Et_2O (50 mL) under N_2 was added a solution of $ZnBr_2$ (1.1 g, 5 mmol) in dry Et_2O (3 mL). The mixture was heated at reflux for 6 h, and then cooled to r.t. After addition of Et_2O (30 mL) the mixture was washed with 5% NaOH (2 × 50 mL) and H_2O (2 × 50 mL). The ethereal solution was dried (Na_2SO_4) and the solvent evaporated. The crude product was purified by column chromatography (silica gel, CH_2Cl_2/MeOH, 10 : 1) to yield 1.01 g (91%) of a yellow oil.

1-(1-Phenylethyl)pyrrolidin-2-one (17):
A solution of $ZnBr_2$ (6.75 g, 30 mmol) in dry Et_2O (20 mL) was added dropwise to a solution of the Grignard reagent prepared from Mg (0.72 g, 30 mmol), I_2 (0.5 g, 2 mmol) and bromobenzene (4.72 g, 30 mmol) in dry Et_2O (25 mL). After stirring at r.t. under N_2 for 2 h, the mixture was heated to boiling. A solution of **15** (4.60 g, 20 mmol) in dry toluene (50 mL) was added dropwise to the refluxing solution and the ether was simultaneously distilled out. The mixture was stirred at reflux for 4 d, cooled to r.t., poured into ice-water (75 mL), acidified with 10% HCl (20 mL), and extracted with benzene (2 × 75 mL). The organic layer was washed with 10% NaOH (2 × 35 mL) followed by H_2O (2 × 35 mL) and dried. Evaporation of the solvent gave a crude oily product, which was purified by column chromatography (silica gel, hexane/EtOAc, 3 : 2) to give **17** (1.43 g, 38%).

2-Benzylidene-1-(1-methyl-2-phenylethyl)pyrrolidine (18):
Adduct **15** (4.60 g, 20 mmol) was treated with BnMgCl (60 mmol) in Et_2O (30 mL) by the procedure used to prepare **13**. Chromatography of the crude product (silica gel, toluene) gave pure enamine **18** (4.88 g, 88%) as colorless oil.

1-Phenyl-4-(1-phenylethyl)amino-1-butanone (19):
Adduct **15** (9.21 g, 40 mmol) was treated with PhMgBr (120 mmol) in Et_2O (100 mL) by the procedure used to prepare **13**. Chromatography of the crude product (silica gel, $CHCl_3$) gave pure **19** (8.65 g, 79%) as a yellowish oil.

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