Oxidative N-Dearylation of 2-Azetidinones. *p*-Anisidine as a Source of Azetidinone Nitrogen

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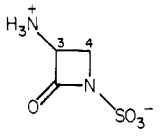
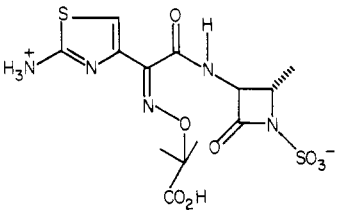
A general method is described for the preparation of N-unsubstituted azetidinones. The imine derived from *p*-anisidine and cinnamaldehyde is annelated with azidoacetic trifluoroacetic anhydride to yield the azetidinone **9**. Treatment of **9** with ceric ammonium nitrate (CAN) yields the N-dearylated product **3**. Similarly, treatment of the imine derived from *p*-anisidine and methyl glyoxylate methyl hemiacetal with *N*-phthalimidoacetyl chloride yields azetidinone **13**. Derivatives of **13** at C-3 and C-4 when treated with CAN yield N-dearylated compounds **15**, **17**, **19**, and **21**.

Acylated derivatives of 3-aminomonobactamic acid (1)



1



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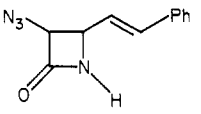
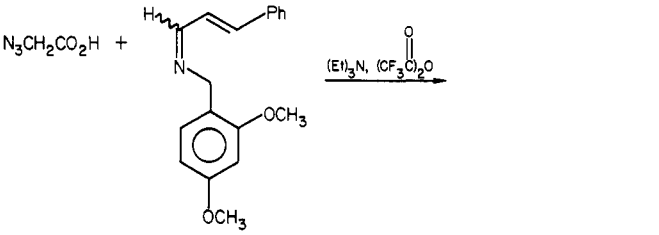


3

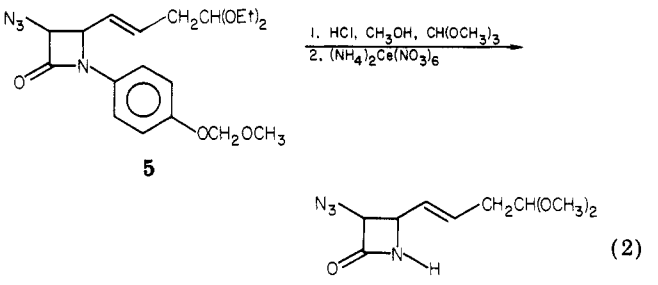
are efficacious antimicrobial agents for a variety of gram-positive and negative bacteria.[1] In particular, compound **2** (SQ 26 776) possesses a broad spectrum of gram-negative activity as well as excellent β-lactamase stability and is currently undergoing clinical trials. As part of a program devoted to the synthesis of other 4-substituted derivatives of **1** a reliable preparation of **3** was required.[2,3] We initially attempted to prepare **3** via the persulfate-mediated debenzylation of **4**,[4-6] readily available from the standard imine–acid chloride/anhydride annelation (eq 1).[6]



4

(1)

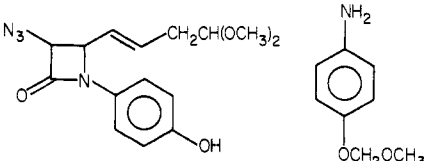
While **3** was in fact obtained by this sequence, the low yield prompted us to consider an alternative to the 2,4-dimethoxybenzyl group (cf. **4**) that could be utilized as effectively in the annelation and be removed in a single, mild operation. Out attention was thus drawn to the reported transformation of **5** to **7** (eq 2), presumably occurring via oxidation of phenol **6** with ceric ammonium nitrate (CAN).[8,9]



5

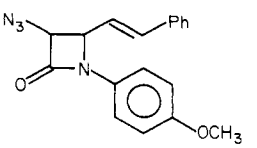
7 (74%)

(2)



6

8



9

(1) (a) Sykes, R. B.; Cimarusti, C. M., et al. *Nature (London)* **1981**, *291*, 489. (b) Cimarusti, C. M., et al. *J. Org Chem.* **1982**, *47*, 179. (c) Floyd, D. M.; Fritz, A. W.; Cimarusti, C. M. *Ibid.* **1982**, *47*, 176.

(2) All compounds (with the exception of **1** and **2**) referred to herein are racemic.

(3) Preliminary accounts of this work have been published. See: Sykes, R. B., et al. Belgian Patent 887-428, 1980.

(4) The persulfate-mediated demethylation of amides is well-known. See: Needles, H. L.; Whitfield, R. E. *J. Org. Chem.* **1964**, *29*, 3632.

(5) Workers at SKF have utilized this reaction for the preparation of various N-unsubstituted azetidinones from the corresponding *N*-(2,4-dimethoxybenzyl) compounds. The reported yields range from 32 to 73%. See: (a) Gleason, J. G.; Delran, K. G; Huffman, W. F. U. S. Patent 4 166 816, Sept 4, 1979; *Chem. Abstr.* **1979**, *92*, 654. (b) Huffman, W. F.; Holden, K. G.; Buckley, T. F., III; Gleason, J. G.; Wu, L. *J. Am. Chem. Soc.* **1977**, *99*, 2352. (c) Gleason, J. G.; Bryan, D. B.; Hall, R. F.; Holden, K. G,; Huffman, W. F. *Ibid.* **1977**, *99*, 2353.

(6) Bose has reported the debenzylation of *N*-(3,4-dimethoxybenzyl)-azetidinones with persulfate in low yields (21–35%). See ref 7 and: (a) Bose, A. K., et al. *Tetrahedron Lett.* **1979**, 2271. (b) Bose, A. K., et al. *Synthesis* **1979**, 543.

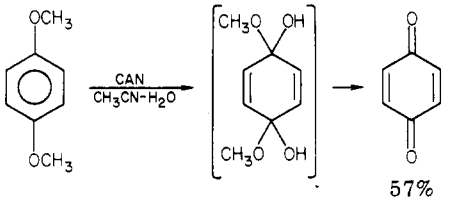
(7) For a recent review see: Bose, A. K., et al. *Tetrahedron* **1981**, *37*, 2321.

(8) Fukuyama, T.; Frank, R. K.; Jewell, C. F., Jr. *J. Am. Chem. Soc.* **1980**, *102*, 2122.

0022-3263/82/1947-2765$01.25/0

The construction of **5** involves annelation with the imine derived from **8** which in turn is synthesized from *p*-nitrophenol in several steps.

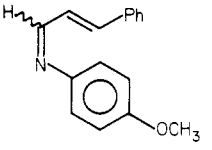
In contrast to this relatively indirect method, we felt that the *p*-anisyl moiety (cf. **9**) might provide a direct solution to the problem. The relatively electron-rich aromatic ring of **9** should be amenable to oxidative removal (i.e., **9** → **3**) without prior ether cleavage as suggested by the observation of Castagnoli that CAN oxidatively demethylates hydroquinone dimethyl ether (eq 3).[10] Additionally, it is



(3)

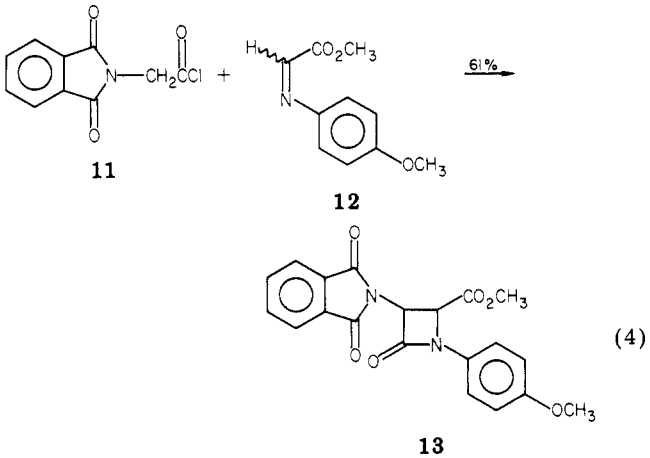
well documented that imines derived from commercially available *p*-anisidine react with acid chlorides and anhydrides of azidoacetic acid in the desired manner to afford azetidinones, generally with a high degree of cis stereoselectivity.[11,12]

In practice, the reaction of the mixed anhydride of azidoacetic acid and trifluoroacetic acid with the imine (**10**)[13] derived from cinnamaldehyde and *p*-anisidine pro-



10

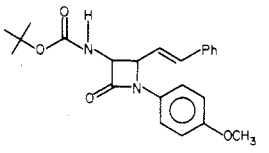
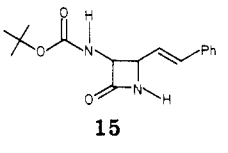
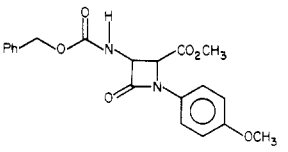
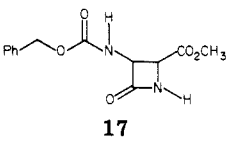
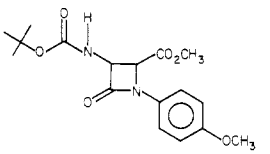
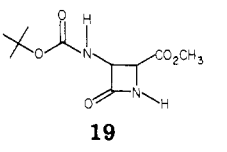
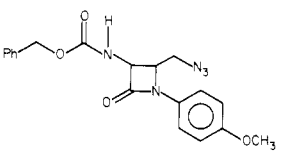
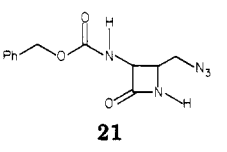
vided **9** in 60% yield. The trans isomer was never detected. Equally good results were obtained in the annelation of **11** and **12** (eq 4). The crucial deblocking of the ring



(4)

nitrogen in **9** was achieved with CAN (CH_3CN–H_2O, −5 to 0 °C) to afford **3** in 68% yield.[14] The concurrent formation of benzoquinone was apparent by TLC.

Table I. Oxidative N-Dearylation of Azetidinones

substrate	product	% yield[a]
9	**3**	68
 **14**[c]	 **15**	48
 **16**	 **17**	83
 **18**	 **19**	55
 **20**[b]	 **21**	67

[a] Yields are for analytically pure material. [b] See ref 22. [c] See ref 26.

As illustrated in Table I, the reaction is viable for other functionalized azetidinones, generally producing N-dearylated material in good yields under relatively mild conditions.[15] The use of the sodium bromate–CAN system,[16] or one containing pyridine-2,6-dicarboxylic acid *N*-oxide as a ligand for cerium,[17] produced very inferior results. Importantly, analytically pure products are obtained directly by a simple trituration with ether.[18–21]

(9) Although **6** is not drawn as an intermediate by the authors in ref 8, the conditions employed in step 1 of eq 2 are standard for deprotecting phenolic methoxymethyl ethers. Cf.: Yardely, J. P.; Fletcher, H., III. *Synthesis* **1976**, 244. In particular, note ref 4 of this paper.

(10) Castagnoli, N.; Jacob, P., III; Callery, P. S.; Shulgin, A. T. *J. Org. Chem.* **1976**, *41*, 3627.

(11) Bose, A. K.; Sharma, S. D.; Kapur, J. C.; Manhas, M. S. *Synthesis* **1973**, 216.

(12) For a discussion of the factors controlling the stereochemistry of azetidinones produced in the reaction of imines with acid chlorides/anhydrides see: (a) Moore, H. W.; Hernandez, L., Jr.; Chambers, R. *J. Am. Chem. Soc.* **1978**, *100*, 2245. (b) Just, G.; Ugulini, A.; Zambani, R. *Synth. Commun.* **1979**, *9* (2), 117. (c) Bose, A. K.; Chiang, Y. H.; Manhas, M. S. *Tetrahedron Lett.* **1972**, 4091. (d) Doyle, T. W.; Belleau, B.; Luh, B. Y.; Ferrari, C. F.; Cunningham, M. P. *Can. J. Chem.* **1977**, *55*, 468.

(13) Only one imine isomer (by NMR) with undefined stereochemistry was formed.

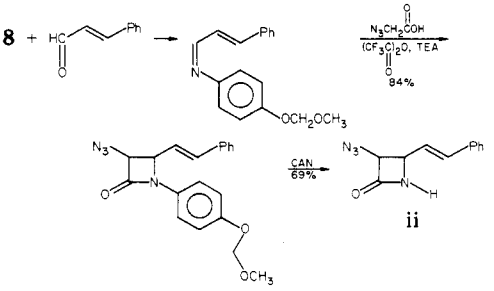
(14) Removal of the anisyl residue generally resulted in a shift of the azetidinone carbonyl from ca. 1760 to 1780 cm^{-1}. See the Experimental Section for full spectral details.

(15) Compound **13** is a poor substrate in this reaction due to its low solubility (phthalimido moiety) in organic solvents.

(16) Olah, G. A.; Gupta, B. G.; Fung, A. P. *Synthesis* **1980**, 897.

(17) Mluchowski, J.; Syper, L.; Kloc, K.; Szule, Z. *Synthesis* **1979**, 521.

(18) Pearson, M. J.; Branch, C. L.; European Patent Application 81300479.3, 1981. After the completion of this manuscript, these authors reported the use of amine **8** in the preparation of N-unsubstituted azetidinones: This method suffers from the lack of commercial availability



of **8** as compared to the use of *p*-anisidine as described in the text and the necessity to chromatograph both i and ii.

Experimental Section

Infrared spectra were recorded on a Perkin-Elmer Model 257 spectrophotometer as chloroform solutions and are given in reciprocal centimeters. NMR spectra were determined in $CDCl_3$ on a Varian T-60 spectrometer. Chemical shifts are given in parts per million from Me_4Si. Splitting patterns are designated as follows: s, singlet; d, doublet; t, triplet; q, quartet; m, multiplet; br, broad. Coupling constants are given in hertz. Sodium sulfate was routinely employed as a drying agent. All concentrations were performed in vacuo.

***cis*-3-Azido-2-oxo-4-(2-phenylethenyl)-1-azetidine (3).** A solution of **9** (4.62 g, 14.4 mmol) in acetonitrile (150 mL) was cooled to 0 °C and treated with a solution of CAN (23.7 g, 43.3 mmol) in water (200 mL) over 3 min. The reaction was stirred at −5–0 °C for 25 min and diluted with 1 L of water. The mixture was extracted with ethyl acetate (3 × 200 mL). The organic extracts were washed with 5% sodium bicarbonate (500 mL) and the aqueous extracts back-washed with ethyl acetate (100 mL). The combined organic solutions were washed with 10% sodium sulfite (until the aqueous layer remained colorless), 5% sodium bicarbonate (100 mL), and brine. The resulting solution was swirled over charcoal (Darco G-60) for 30 min, sodium sulfate was added, and the mixture was filtered through Celite. Removal of the solvent yielded a yellow oil which was dissolved in ether and cooled. Filtration yielded 1.91 g of white solid. An additional 0.23 g of material was obtained from the mother liquor. The total yield was 68%: IR 2100, 1780; NMR 7.4 (s, 5 H), 6.75 (d, 1 H, J = 16), 6.23 (m, 2 H), 4.9 (dd, 1 H, J = 6, 1), 4.6 (dd, 1 H, J = 6, 8); mp 103–105 °C (lit.[18] mp 106 °C).

Anal. Calcd for $C_{11}H_{10}N_4O$: C, 61.66; H, 4.71; N, 26.16. Found: C, 61.55; H, 4.78; N, 25.82.

By use of the general procedure for the preparation of **3**, the following compounds were obtained (see Table I for yields).

***cis*-3-[[(1,1-Dimethylethoxy)carbonyl]amino]-2-oxo-4-(2-phenylethenyl)-1-azetidine (15):** IR 1770, 1710; NMR 7.4 (m, 5 H), 6.6 (m, 3 H), 5.2 (dd, 1 H, J = 6, 8), 4.5 (m, 1 H); mp 188–190 °C.

Anal. Calcd for $C_{16}H_{20}N_2O_3$; C, 66.63; H, 7.00; N, 9.72. Found: C, 66.38; H, 7.06; N, 9.50.

***cis*-4-(Methoxycarbonyl)-2-oxo-3-[[(phenylmethoxy)carbonyl]amino]-1-azetidine (17):** IR 1780, 1735; NMR 7.3 (s, 5 H), 6.7 (br s, 1 H), 6 (d, 1 H, J = 9), 5.3 (dd, 1 H, J = 5, 9), 5.1 (s, 2 H), 4.4 (d, 1 H, J = 5), 3.7 (s, 3 H); mp 124–126 °C.

Anal. Calcd for $C_{13}H_{14}N_2O_5$: C, 56.10; H, 5.08; N, 10.07. Found: C, 55.98; H, 5.03; N, 9.99.

***cis*-3-[[(1,1-Dimethylethoxy)carbonyl]amino]-4-(methoxycarbonyl)-2-oxo-1-azetidine (19):** IR 1775, 1735; NMR 6.7 (br s, 1 H), 5.6 (d, 2 H, J = 9), 5.23 (dd, 1 H, J = 6, 9), 4.4 (d, J = 6), 3.8 (s, 3 H), 1.4 (s, 9 H); mp 148–149 °C.

Anal. Calcd for $C_{10}H_{16}N_2O_5$: C, 49.16; H, 6.62; N, 11.47. Found: C, 48.94; H, 6.53; N, 11.31.

***cis*-4-(Azidomethyl)-2-oxo-3-[[(phenylmethoxy)carbonyl]amino]-1-azetidine (21):** IR 2120, 1780, 1725; NMR 7.4 (s, 5 H), 6.3 (s, 1 H), 5.8 (d, 1 H, J = 9), 5.2 (m, 3 H), 3.9 (m, 1 H), 3.4 (m, 2 H); mp 132–133 °C.

Anal. Calcd for $C_{12}H_{13}N_5O_3$: C, 52.35; H, 4.77; N, 25.44. Found: C, 52.08; H, 4.66; N, 25.34.

***N*-(3-Phenyl-2-propenylidene)-4-methoxyaniline (10).** A solution of recrystallized *p*-anisidine (12.32 g, 100 mmol) in dichloromethane (160 mL) was treated with magnesium sulfate (20 g, 166 mmol) and cooled to 0 °C under a nitrogen atmosphere. *trans*-Cinnamaldehyde (13.22 g, 100 mmol) was added dropwise over 30 min. The mixture was stirred for 2 h, filtered, and evaporated to a light brown solid. Recrystallization from dichloromethane–petroleum ether afforded 20.96 g (88%) of bright yellow solid: IR 1630, 1610, 1580, 1510; NMR 8.2 (t, 1 H, J = 4), 6.8–7.6 (m, 9 H), 3.8 (s, 3 H); mp 116–119 °C.

***cis*-3-Azido-1-(4-methoxyphenyl)-2-oxo-4-(2-phenylethenyl)azetidine (9).** A solution of azidoacetic acid[23] (24.26 g, 0.33 mol) in dichloromethane (100 mL) was cooled to 0 °C under a nitrogen atmosphere. To this solution was added triethylamine (48.57 g, 0.48 mol) and **10** (14.24 g, 0.06 mol) dissolved in dichloromethane (250 mL). Trifluoroacetic anhydride (50.41 g, 0.24 mol) was added dropwise to the yellow mixture over 1 h. The resulting dark mixture was stirred an additional hour at 0 °C and then for 12 h at room temperature. The mixture was diluted with dichloromethane (250 mL) and washed with water (750 mL), 5% sodium bicarbonate solution (2 × 750 mL), and 1 N HCl (750 mL). The organic layer was dried, filtered, and evaporated to a brown solid. Recrystallization from ethyl acetate afforded 11.4 g (60%) of a tan solid: IR 2100, 1755; NMR 6.6–7.5 (m, 10 H), 6.4 (dd, 1 H, J = 10, 16), 4.8 (m, 2 H), 3.7 (s, 3 H); mp 116–117 °C.

Anal. Calcd for $C_{18}H_{16}N_4O_2$: C, 67.48; H, 5.04; N, 17.49. Found: C, 67.63; H, 5.16; N, 17.62.

Methyl [(4-Methoxyphenyl)imino]acetate (12). Treatment of *p*-anisidine with methyl glyoxylate methyl hemiacetal[24] under the conditions for the preparation of **10** yields **12** as a brown oil in 99% yield: IR 1730, 1620, 1590; NMR 8.0 (s, 1 H), 7.4 (d, 1 H, J = 8), 6.9 (d, 1 H, J = 8), 3.9 (s, 3 H), 3.8 (s, 3 H).

***cis*-3-(1,3-Dihydro-1,3-dioxo-2*H*-isoindol-2-yl)-4-(methoxycarbonyl)-1-(4-methoxyphenyl)-2-oxazetidine (13).** A solution of imine **12** (300 mg, 1.55 mmol) in dichloromethane (2 mL) was cooled to 0 °C under an argon atmosphere and treated with a solution phthalimidoacetyl chloride[25] (381 mg, 1.71 mmol) in dichloromethane (1.5 mL). The mixture was stirred for 5 min, and triethylamine (0.26 mL, 1.86 mmol) was added dropwise. The mixture was stirred for 20 min at 0 °C, diluted with additional dichloromethane, washed with pH 4.5 phosphate buffer, 5% sodium bicarbonate, and brine, dried, filtered, and concentrated to a foam which solidified upon treatment with ethyl acetate. The resulting solid was filtered, washed with acetone, and dried to yield 362 mg (61%) of white product: IR 1785, 1765, 1750, 1730; NMR 7.8 (m, 4 H), 7.4 (d, 2 H, J = 8), 6.9 (d, 2 H, J = 8), 5.8 (d, 1 H, J = 6), 4.9 (d, 1 H, J = 6), 3.8 (s, 3 H), 3.6 (s, 3 H); mp 204–205 °C.

Anal. Calcd for $C_{20}H_{16}N_2O_6$: C, 63.15; H, 4.25; N, 7.37. Found: C, 63.16; H, 4.24; N, 7.50.

***cis*-4-(Methoxycarbonyl)-1-(4-methoxyphenyl)-2-oxo-3-[[(phenylmethoxy)carbonyl]amino]azetidine (16).** A slurry of **13** (18.65 g, 49.14 mmol) in dichloromethane (325 mL) was cooled to 0 °C and treated dropwise with methyl hydrazine (7.7 mL). The resulting solution was stirred for 1 h, and the solvent was removed in vacuo. The residue was treated with additional dichloromethane which was again removed in vacuo (repeated two times). The resulting foam was dried under high vacuum for 20 min, redissolved in dichloromethane, and allowed to stand at room temperature overnight. The mixture was filtered, and the filtrate was cooled to 0 °C under argon and treated with diisopropylethyl amine (17 mL, 0.1 mol) followed by benzyl chloroformate (7 mL, 0.05 mol) dropwise. The reaction mixture was stirred at 0 °C for 30 min and then at room temperature for 1.5 h. The mixture was washed with pH 4.5 phosphate buffer (2 × 300 mL), 5% sodium bicarbonate (2 × 300 mL), and brine (300 mL), dried, and filtered. Concentration yielded a yellow foam which on trituration with ether yielded 9.9 g (53%) of the title compound as a white solid: IR 1760, 1730; NMR 7.2 (m, 6 H), 6.9 (d, 2 H, J = 8), 5.5 (m, 2 H), 5.2 (s, 2 H), 4.7 (d, 1 H, J = 6), 3.7 (s, 3 H), 3.66 (s, 3 H); mp 162–164 °C.

(19) Ozonolysis at 20 °C is reported to effect the N-dearylation of certain 1-arylazetidinones in modest yield. See: Lattrell, R.; Lohaus, G. *German Patent* 1914386, 1970; *Chem. Abstr.*, **1971**, *74*, 12982. When applied to compound **16** this protocol was vastly inferior to the one delineated in this paper.

(20) For other methods of preparing N-unsubstituted azetidinones see, inter alia the following. (a) The review by: Mukerjee, A. K.; Singh, A. K. *Synthesis* **1975**, 547 and references therein. (b) Miller, M. J.; Mattingly, P. G. *J. Org. Chem.* **1980**, *45*, 410. (c) Reference 6. (d) Heck, J. V.; Christensen, B. G. *Tetrahedron Lett.* **1981**, 5027.

(21) Sunagawa, M.; Matsumura, H.; Inoue, T.; Hirohashi, T. European Patent Application 80302197.1. This recent patent which appeared after the completion of our work describes the oxidative debenzylation of azetidinones containing the *N*-(2,4-dimethoxybenzyl) and *N*-bis(4-methoxyphenyl)methyl moieties with CAN in good yield.

(22) Prepared from **16** via (1) $NaBH_4$, THF–EtOH–H_2O, (2) TsCl, pyridine, and (3) KN_3, DMF, 45 °C.

(23) Bose, A. K.; Kapur, J. C.; Sharma, S. D.; Manhas, M. S. *Tetrahedron Lett.* **1973**, 2319.

(24) Ben-Ishai, D.; Bernstein, Z. *Tetrahedron* **1977**, 881.

(25) Sheehan, J. C.; Frank, V. S. *J. Am. Chem. Soc.* **1949**, *71*, 1856.

(26) Prepared from **9** via (1) Zn, acetic acid and (2) di-*tert*-butyl pyrocarbonate.

Anal. Calcd for $C_{20}H_{20}N_2O_6$: C, 62.48; H, 5.25; N, 7.29. Found: C, 62.12; H, 5.23; N, 7.37.

***cis*-3-[[(1,1-Dimethylethoxy)carbonyl]amino]-4-(methoxycarbonyl)-1-(4-methoxyphenyl)-2-oxoazetidine (18).** A slurry of **13** (15 g, 39 mmol) in dichloromethane (200 mL) was cooled to 0 °C under argon and treated with methylhydrazine (6.2 mL, 117 mmol) dropwise. The procedure for the preparation of **16** was followed at this point, substituting di-*tert*-butyl pyrocarbonate for benzyl chloroformate (18.35 g, 82 mmol) and stirring the resulting mixture for 24 h. The mixture was washed with phosphate buffer, bicarbonate solution, and brine and dried. Filtration and concentration yielded a waxy solid which was triturated with ether. Filtration then yielded 9.7 g (71%) of the desired product as a white solid: IR 1765, 1720; NMR 7.3 (d, 2 H, J = 8), 6.9 (d, 2 H, J = 8), 5.4 (m, 2 H), 4.8 (d, 1 H, J = 5), 3.80 (s, 3 H), 3.73 (s, 3 H); mp 161–163 °C.

Anal. Calcd for $C_{17}H_{22}N_2O_6$: C, 58.27; H, 6.34; N, 8.00. Found: C, 57.66; H, 6.07; N, 7.92.

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Registry No. 3, 81767-89-7; **9**, 80542-41-2; **10**, 80542-40-1; **11**, 6780-38-7; **12**, 72079-55-1; **13**, 80542-45-6; **14**, 81741-01-7; **15**, 81741-02-8; **16**, 80542-46-7; **17**, 80542-47-8; **18**, 81741-03-9; **19**, 61964-83-8; **20**, 81741-04-0; **21**, 81741-05-1; *p*-anisidine, 104-94-9; *trans*-cinnamaldehyde, 14371-10-9; azidoacetic acid, 18523-48-3; methyl glyoxylate methyl hemiacetal, 19757-97-2.

Reactions of Phosphorus Compounds. 40. Alkylation and Acylation Reactions of Triphenylphosphonium 2-[(2-Oxo-1,2-diphenylethylidene)hydrazono]propylide. Examination of the Anomalous Pyridazine and Pyrazole Products from the Benzoylation Reaction

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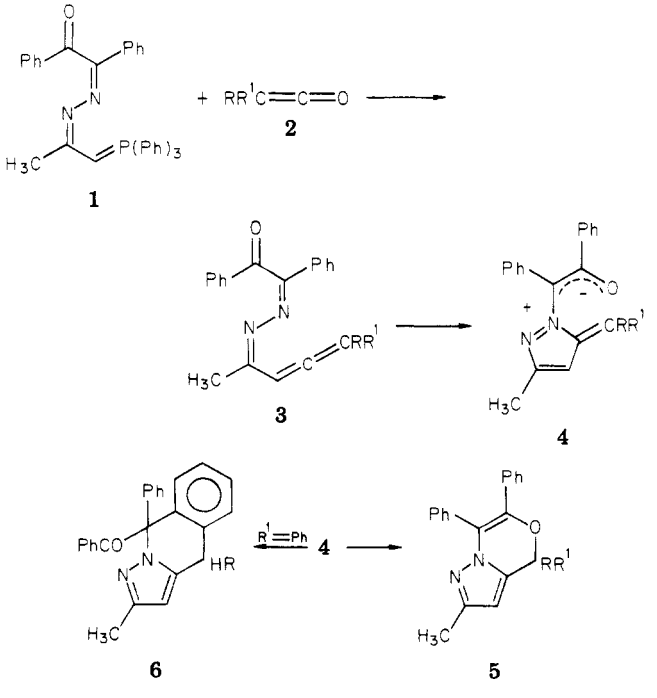
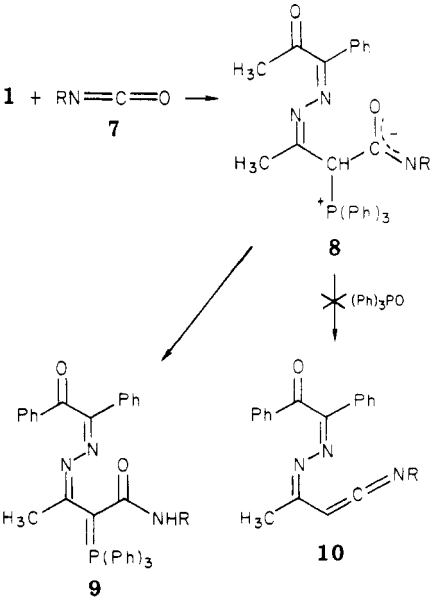
The title compound has been alkylated with methyl iodide, allyl bromide, and benzyl chloride, in acetonitrile, to give the corresponding salts. The title compound has been acylated with benzoyl chloride and ethyl chloroformate, in benzene, to give the corresponding ylides and hydrochloride salt of the title compound. Benzoylation of the title compound, in acetonitrile, gave two unexpected products, triphenyl[1-benzoyl-1-(3,4-diphenyl-6-pyridazinyl)methylene]phosphorane (**14**) and 2-(3-methyl-5-phenyl-1*H*-pyrazol-1-yl)-1,2-diphenyl-1-(benzoyloxy)ethene (**24**), in addition to the expected benzoylated ylide and salt.

We have previously reported[1] that pyrazolo[5,1-*c*]-1,4 oxazines, **5**, and/or 4,9-dihydropyrazolo[1,5-*b*]isoquinolines, **6**, may be prepared readily from conjugated azines, **3**. The azines, **3**, were prepared by allowing triphenylphosphonium 2-[(2-oxo-1,2-diphenylethylidene)hydrazono]propylide (**1**) to react with ketenes, **2**.



Continuing our interest in the reactions of conjugated azines to prepare fused pyrazolo ring systems,[1,2] we attempted the reaction of isocyanates **7** with the phosphorane **1**.[3] However, the intermediate betaine, **8**, did not



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