

In summary, we have revealed conditions for the efficient coupling of the sterically congested aldehyde **2** with the ketophosphonate **1** that are convenient to carry out, use cheap, readily available bases, and are suitable for large-scale syntheses. Furthermore, such conditions may also be applicable to the synthesis of other HMG-CoA reductase inhibitors and Wittig reactions where both the reagents and products are base sensitive.

Experimental Section

Organic solutions were dried over anhydrous magnesium sulfate. Ether refers to diethyl ether. NMR spectra were acquired at 250 MHz (proton) or 62.9 MHz (carbon) in deuteriochloroform. Coupling constants are given in Hertz.

Methyl (1*S*,2*S*,4*aR*,6*S*,8*S*,8*a**S*,3'*R*)-7'-[1,2,4*a*,5,6,7,8,8*a*-Octahydro-2-methyl-8-[(2'',2''-dimethyl-1''-oxobutyl)oxy]-6-[(*E*)-prop-1-enyl]-1-naphthalenyl]-3'-[(*tert*-butyldimethylsilyl)oxy]-5'-oxohept-6'-enoate (**3**).** Using Lithium Hydroxide. A mixture of ketophosphonate **1** (241 mg, 0.63 mmol) and lithium hydroxide monohydrate (26.5 mg, 0.63 mmol) in anhydrous ether (3 mL) was stirred at room temperature under argon for 35 min. The aldehyde **2** [(1*S*,2*S*,4*a**R*,6*S*,8*S*,8*a**S*)-1,2,4*a*,5,6,7,8,8*a*-octahydro-2-methyl-8-[(2'',2''-dimethyl-1''-oxobutyl)oxy]-6-[(*E*)-prop-1-enyl]naphthalene-1-carbaldehyde)⁶ (131 mg, 0.40 mmol) in ether (3 mL) was added and the resulting suspension stirred for 7 days. The suspension was then diluted with more ether (10 mL) and washed with ammonium chloride solution (3 mL) and brine (2 mL). Column chromatography eluting with hexane/ethyl acetate (12:1) gave the unreacted starting aldehyde (12 mg) followed by the required enone **3** (193 mg, 82%; 92% with respect to recovered aldehyde) which was recrystallized from ether/hexane: mp 93–94 °C; δ H 6.77 (1 H, dd, $J = 17.5$ and 10), 6.01 (1 H, d, $J = 17.5$), 5.75 (1 H, ddq, $J = 15$, 7.5 and 2.5), 5.65 (1 H, dq, $J = 10.5$ and 2.5), 5.5–5.3 (2 H, m), 4.95 (1 H, m), 4.62 (1 H, m), 3.68 (3 H, s), 2.83 (1 H, dd, $J = 17.5$ and 5), 2.74 (1 H, dd, $J = 17.5$ and 5), 2.65–2.2 (6 H, m), 2.05–1.2 (10 H, m), 1.14 (3 H, s), 1.12 (3 H, s), 0.95 (3 H, d, $J = 7.5$), 0.88–0.72 (12 H, m), 0.08 (3 H, s), 0.03 (3 H, s); δ C 195.77, 175.15, 170.05, 147.05, 134.46, 130.73, 130.54, 129.51, 121.68, 68.77, 64.55, 49.99, 46.09, 41.33, 41.23, 41.11, 41.01, 40.03, 35.70, 34.53, 34.44, 34.00, 31.56, 29.31, 24.30, 23.23, 23.05, 16.47, 15.03, 7.77, –6.13, –6.47; IR (KBr disc) 2970, 1740, 1720, 1698, 1630 cm^{-1} . Anal. Calcd for $\text{C}_{34}\text{H}_{56}\text{O}_8\text{Si}$: C, 69.34; H, 9.57. Found: C, 69.35; H, 9.58.

Using the above method with 7.0 g of aldehyde **2** (21 mmol), 11.29 g of ketophosphonate **1** (29.5 mmol), and 1.24 g of lithium hydroxide gave 0.92 g of recovered aldehyde and 9.45 g (76%; 88% with respect to recovered aldehyde) of enone **3**.

Using Cesium Carbonate. 2-Methyl-2-propanol (14 mL) was added to a mixture of the ketophosphonate **1** (1.26 g, 3.30 mmol) and cesium carbonate (1.07 g, 3.28 mmol) and the resulting solution stirred at room temperature for 40 min under argon. A solution of the aldehyde **2** (1.0 g, 3.01 mmol) in 2-methyl-2-propanol (6 mL) was added and the reaction stirred for 4 days. The resulting dark yellow solution was diluted with ether (40 mL) and washed with ammonium chloride solution (20 mL). The aqueous layer was extracted with more ether (2 \times 20 mL), and the combined organic layers were washed with brine (2 \times 15 mL), dried, and evaporated to give a dark yellow solid. Chromatography on silica eluting first with dichloromethane gave unreacted aldehyde (220 mg). Eluting with hexane/ethyl acetate (4:1) gave the desired enone as a pale yellow solid (930 mg, 53%; 68% with respect to recovered aldehyde).

Methyl (*R*)-3-[(*tert*-Butyldimethylsilyl)oxy]-6-(dimethoxyphosphinyl)-5-oxohexanoate (1**).** 10% Palladium on carbon (70 g) was carefully added to a solution of the amide **4** (154 g, 0.33 mol) in ethanol (1.2 L) under an argon atmosphere. Formic acid (126 mL, 3.3 mol) was added slowly and the reaction stirred at room temperature overnight. The mixture was filtered through Celite, which was washed thoroughly with methanol, and the solution evaporated to give a greenish oil. The crude oil was cautiously taken up in potassium carbonate solution (1 M; 800 mL), which was then extracted with ether (3 \times 200 mL). The aqueous layers were acidified with phosphoric acid (2 M) and extracted with ether (3 \times 500 mL) which was dried and evaporated to give the acid as a pale yellow oil (85 g, 83% yield): δ H 0.06

(3 H, s), 0.09 (3 H, s), 0.85 (9 H, s), 2.5–2.88 (4 H, m), 3.18 (3 H, s), 3.68 (3 H, s), 4.62 (1 H, pentet, $J = 4.8$).

Dimethyl methylphosphonate (81 mL, 0.75 mol) in dry THF (400 mL) was added slowly to a solution of *n*-butyllithium (420 mL; 1.6 M in hexanes; 0.68 mol) at -78 °C under an argon atmosphere, and the resulting white suspension stirred for 30 min at -78 °C. A solution of the acid from the previous step (41.7 g, 0.137 mol) in dry THF (200 mL) was cooled to -78 °C and added slowly to the reaction vessel and the resulting yellow suspension stirred for 1 h. The cooling bath was removed and the reaction quenched with phosphoric acid (2 M, 400 mL). The mixture was partitioned between ether (500 mL) and phosphoric acid (2 M, 400 mL), the aqueous layer was extracted with ether (300 mL), and the combined organic layers were washed with brine (300 mL), dried, and evaporated to give the acid as a slightly yellow oil (55 g): δ H 0.06 (3 H, s), 0.09 (3 H, s), 0.83 (9 H, s), 2.54 (2 H, m), 2.88 (2 H, d, $J = 5.5$), 3.10 (2 H, d, $J = 22.5$), 3.76 (6 H, d, $J = 11$), 4.51 (1 H, pentet, $J = 6.25$).

The crude acid was dissolved in dichloromethane (600 mL) in an unblemished 1-L conical flask and cooled in an ice bath. Diazomethane¹² (0.180 mol) was bubbled into the reaction mixture until the bright yellow color persisted. Argon was bubbled through the solution to discharge excess diazomethane, and the solvent evaporated to give a yellow oil. Purification by chromatography on silica eluting with ethyl acetate gave the ketophosphonate **1** as a slightly yellow oil (40.2 g, 0.105 mol, 77% from the acid) with spectral data in accordance with the previously published description.¹

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Temperature-Dependent Phototransposition Chemistry of 1,5-Dimethylpyrazole and 1,2-Dimethylimidazole

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Introduction

Permutation pattern analysis^{1,2} of the pyrazole \rightarrow imidazole phototransposition indicates that the isomerization occurs by three distinct permutation patterns (P_4 , P_6 , and P_7) and hence by three distinct transposition mechanisms. The mechanisms which have been proposed for the phototransposition of pyrazole and similar five-membered heterocycles^{3–7} are the electrocyclic ring closure–nitrogen walk mechanism^{8,9} and the ring contraction–ring expansion mechanism.¹⁰ These mechanisms are illustrated in Scheme I. P_4 , P_6 , and P_7 in Scheme I refer to the per-

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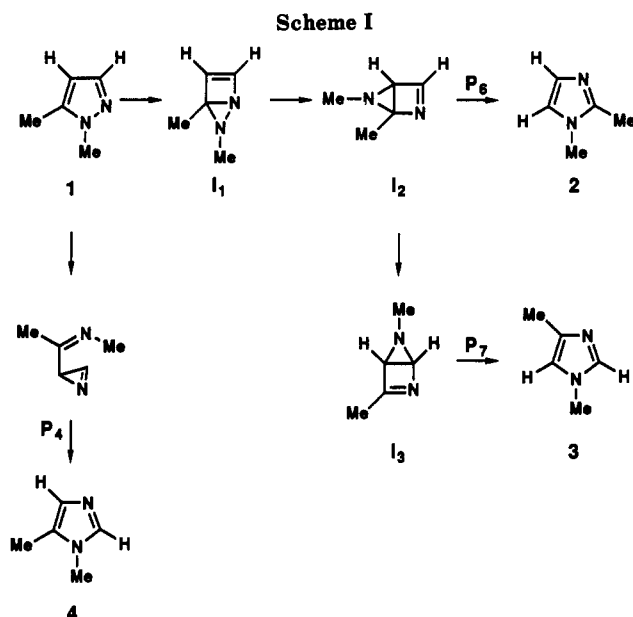
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mutation pattern process by which the imidazole is formed.^{2,11}

Results of theoretical investigations of the formation of the imidazoles by the P_6 and P_7 pathways using the semiempirical MO method MNDO¹² have suggested that the second [1,3]-sigmatropic shift of nitrogen occurs while the molecule is on the ground-state potential energy surface.¹¹ Furthermore, Bartrop and Day⁹ studied the temperature dependence of the phototransposition of 2-cyano-1-methylpyrrole and observed that irradiation at -68 °C did not give any of the P_6 phototransposition product that was observed at 30 °C. They concluded that the barrier to rearomatization of the initially formed bicyclic intermediate back to the reactant is smaller than the barrier to the [1,3]-sigmatropic shift. SINDO1 calculations on 2-cyanopyrrole¹³ also indicate that the analogous barrier to rearomatization is smaller than the barrier to nitrogen walking and that the process takes place on the ground-state potential energy surface.

The present study was undertaken in order to determine if there is a temperature dependency to the phototransposition of pyrazole and to determine if any such temperature effects are consistent with the mechanistic suggestions of our computational studies. We have carried out the photolysis of 1,5-dimethylpyrazole (1) and 1,2-dimethylimidazole (2) at various temperatures and measured the product distributions as a function of reaction time. 1,5-Dimethylpyrazole (1) was chosen as the reactant because it transposes to all three of the observed imidazole permutation products (2, 3, and 4) and analysis of the reaction solution is relatively simple.² 1,2-Dimethylimidazole (2) was chosen as the imidazole reactant since it corresponds to the product of the P_6 mechanistic pathway in the phototransposition of 1.

Results and Discussion

Acetonitrile solutions of 1 were irradiated at 10 °C intervals over the temperature range from 30 °C to -30 °C. Reactions were monitored at 5-min intervals using quantitative capillary column gas chromatography. Figure 1 shows the changes in the concentration of reactant and

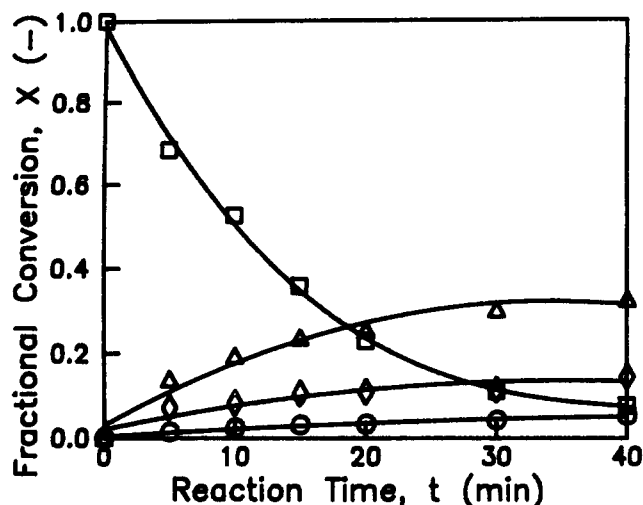


Figure 1. Photoconversion of 1 as a function of irradiation time at 10 °C: (□) 1; (Δ) 4 (P_4); (◇) 2 (P_6); (○) 3 (P_7).

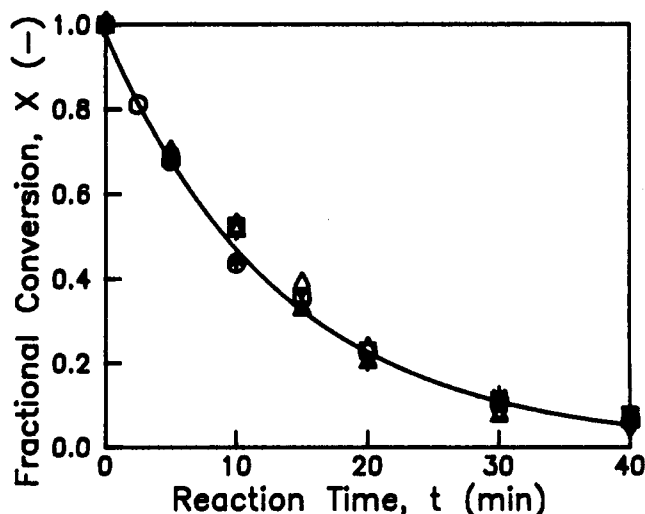


Figure 2. Disappearance of 1 as a function of irradiation time for various temperatures: (○) 30 °C; (□) 10 °C; (◇) 0 °C; (Δ) -10 °C; (*) -30 °C.

Table I. P_6/P_7 Product Ratio for Photoreaction of 1

temp, °C	P_6/P_7
30	1.6
10	3.4
0	6
-10	9
-30	23

products as a function of irradiation time at $+10$ °C. As shown, all three dimethylimidazole products were detected very early in the reaction and exhibit concentration versus irradiation time profiles as expected for their formation as primary photoproducts. Figure 2 shows that the efficiency of reactant disappearance is essentially insensitive to temperature changes over the range studied. This observation is consistent with our previous MNDO investigation which suggests that electrocyclic ring closure to yield 1,5-diazabicyclo[2.1.0]pentene (I₁) and initial [1,3]-sigmatropic shift of nitrogen to form the isomeric 2,5-diazabicyclo[2.1.0]pentene (I₂) both occur while the molecule is on an excited-state surface. Computational studies predict this surface to be relatively flat compared to the corresponding ground-state potential energy surface.¹¹

The formation of 2 and 3 as a function of irradiation time at various temperatures is shown in Figure 3. Figure

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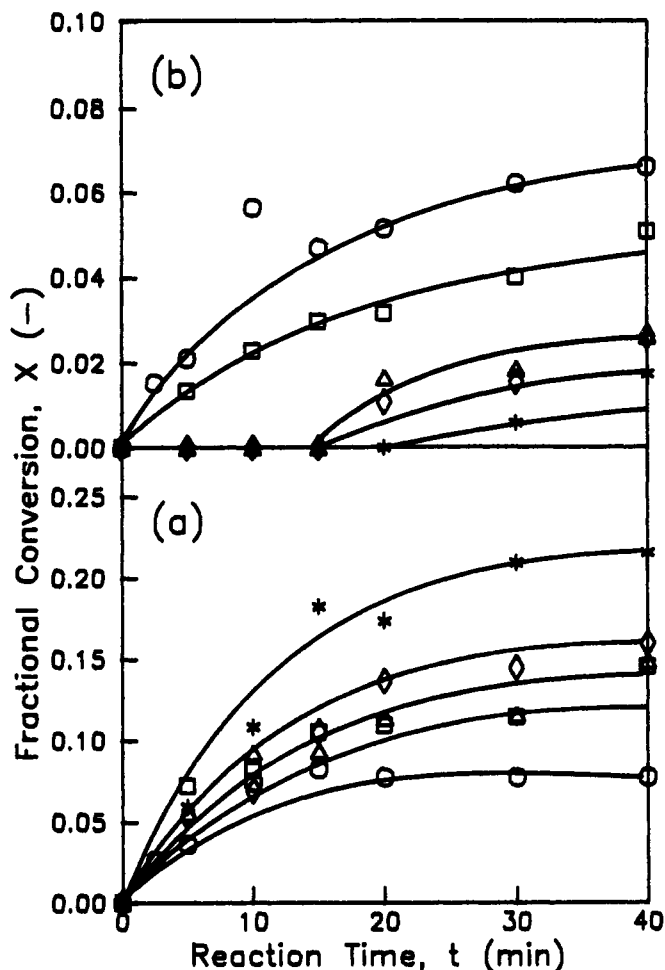


Figure 3. (a) Formation of 2 (P₆) as a function of irradiation time for various temperatures with 1 as the reactant: (○) 30 °C; (□) 10 °C; (△) 0 °C; (◇) -10 °C; (*) -30 °C. (b) Formation of 3 (P₇) as a function of irradiation time for various temperatures with 1 as the reactant: (○) 30 °C; (□) 10 °C; (△) 0 °C; (◇) -10 °C; (*) -30 °C.

3a reveals that as the reaction temperature is decreased from 30 °C to -30 °C, the amount of 2 that is produced via the P₆ pathway increases. Interestingly, Figure 3b shows that there is a concomitant decrease in the amount of 3, the P₇ imidazole, formed during the same temperature change. The P₆ to P₇ product ratios are given in Table I and show that the ratio changes from 1.6 at 30 °C to 23 at -30 °C. Despite these large changes in the relative quantities of product formed, quantitative GC shows that the total amount of 2 and 3 formed over the temperature range from 30 °C to -10 °C remains essentially constant. As anticipated from these trends, irradiation of 1 at 77 K in frozen acetonitrile resulted only in the formation of 4 and 2, the P₄ and P₆ reaction products. No P₇ product was detected even after 33% of the reactant had been consumed.

These results are clearly consistent with the mechanistic interpretation outlined in Scheme I which predicts that 2 and 3 are formed from a common intermediate, namely, I₂, which partitions between aromatization to 2 (P₆) and a second [1,3]-sigmatropic shift and aromatization of I₃ to 3 (P₇).

It should be noted that in addition to its formation from 1 via I₁, I₂ should also be accessible by direct excitation of 2. Accordingly, the phototransposition of 2 to 3 should exhibit the same temperature dependence. The photo-conversion of 2 as a function of irradiation time at 30 °C and -30 °C is shown in Figure 4 and is in accord with this

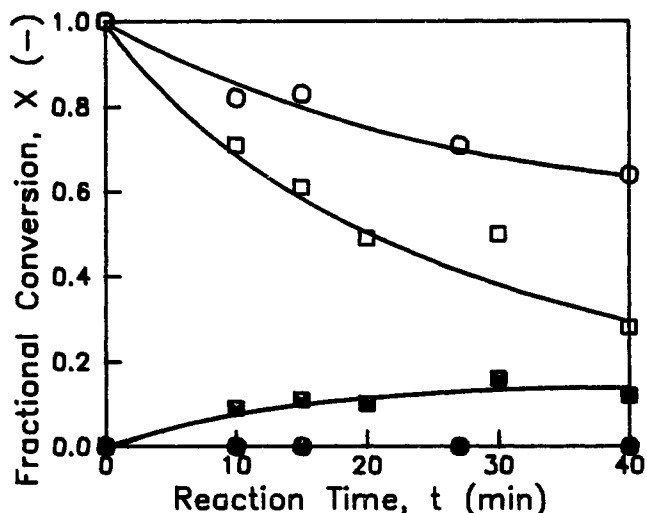


Figure 4. Disappearance of 2 as a function of irradiation time for various temperatures: (○) -30 °C; (□) 30 °C. Formation of 3 as a function of irradiation time for various temperatures with 2 as the reactant: (●) -30 °C; (■) 30 °C.

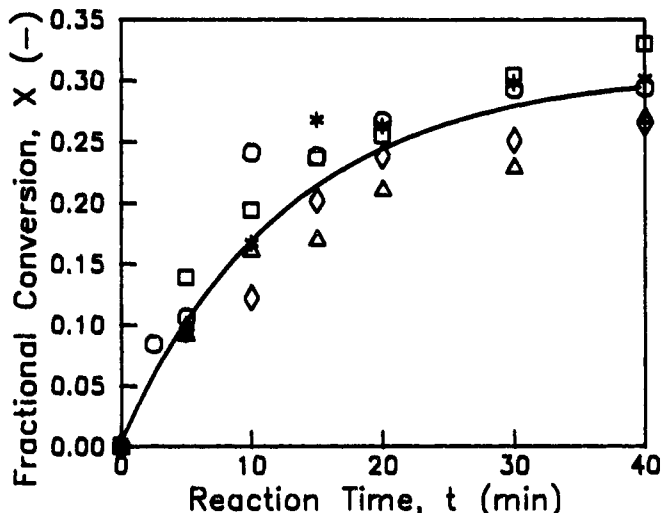


Figure 5. Formation of 4 (P₄) as a function of irradiation time for various temperatures with 1 as the reactant: (○) 30 °C; (□) 10 °C; (△) 0 °C; (◇) -10 °C; (*) -30 °C.

expectation. Thus, although 2 phototransposes to 3 at 30 °C, 3 could not be detected after irradiation of 2 at -30 °C. At this latter temperature, 2 is essentially photostable.

These temperature effects are also entirely consistent with MNDO calculations from which we conclude that I₂ (S₁) undergoes internal conversion to S₀ and that the P₆ and P₇ pathways are competing processes on the ground-state potential energy surface. Furthermore, since the energy barriers to P₆ aromatization and P₇ [1,3]-nitrogen shift were calculated to be 29.8 kcal/mol and 36.7 kcal/mol,¹¹ respectively, formation of 2 via the P₆ pathway is predicted to be kinetically favored over formation of the P₇ product 3. This is in agreement with our experimental results which show that the formation of 2 is favored at decreasing temperatures and that the formation of 3 is completely precluded at very low temperatures.

Despite the temperature dependence associated with the formation of 2 and 3, Figure 5 shows that the reaction profile for the formation of 4 is not dramatically dependent on temperature. In addition, this product was observed upon photolysis of 1 at 77 K in frozen acetonitrile. This confirms that the P₄ process is mechanistically distinct from the P₆ and P₇ pathways and suggests that the P₄

pathway progresses along a relatively flat potential energy surface without temperature-dependent steps.

Experimental Section¹⁴

Photoreaction. A 1×10^{-2} M solution of 1,5-dimethylpyrazole (1) in acetonitrile was placed in a quartz tube and sealed with a rubber septum. The solution was degassed by bubbling dry nitrogen through the sample for 5 min. Initial reactant concentrations were measured using gas chromatography.² The quartz reaction tube was placed into a quartz dewar such that nitrogen could be passed over the tube. In order to cool the reaction solution to the desired temperature, the nitrogen gas which was passed over the reaction tube was initially passed through coils immersed in liquid nitrogen. The temperature was controlled by adjusting the flow rate of nitrogen through the coils and over the sample tube. The reaction temperature was monitored using an Omega 2175A digital thermocouple in which the thermocouple was passed through the rubber septum into the reaction solution. Irradiation was carried out using a 450-Watt high pressure mercury lamp.

Conclusion

Results indicate a dramatic temperature dependence for the formation of 1,2-dimethylimidazole (2) and 1,4-dimethylimidazole (3) in the phototransposition of 1,5-dimethylpyrazole (1) and in the phototransposition of 2 to 3. As the reaction temperature decreases, the amount of 3 decreases and the amount of 2 increases. This is strong evidence for a ground-state thermal reaction, which supports theoretical calculations using the MNDO Hamiltonian. Furthermore, these results support the proposed nitrogen walk mechanism for the formation of the imidazoles formed by the P₆ and P₇ pathways. The fact that the P₆ product 2 is produced at the expense of the P₇ product 3 suggests that the 2,5-diazabicyclo[2.1.0]pentene precursor to 2 via the P₆ pathway is also the precursor to 3 on the P₇ pathway and that the barrier to rearomatization of I₂ to 2 is smaller than the activation enthalpy for undergoing the second [1,3]-sigmatropic shift of nitrogen to provide I₃.

Registry No. 1, 694-31-5; 2, 1739-84-0; 3, 6338-45-0; 4, 10447-93-5.

(14) See ref 2 for the preparation of reactants and products and for a description of the general analytical procedures.

Regiospecific Reactions of the Ambident Anion of Bis(pentamethylphenyl)acetonitrile

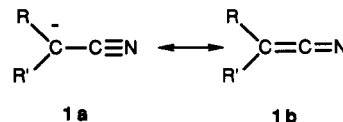
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There are many examples in the literature that illustrate the regiospecificity of reaction with salts of acetonitrile derivatives.^{1a-d} While the ambident anion 1 offers two potential sites for electrophilic attack (C or N as in 1a and

1b, respectively), C-alkylation almost invariably predominates.^{1e-k}



This preponderance of C- over N-alkylation of nitrile "carbanions" is not unlike the reaction between electrophiles and the conjugate bases of aldehydes, ketones, esters, and amides.² Here, however, the influence of temperature, solvent, and counterion on the ratio of C- to O-alkylation appears to be more pronounced.³ The importance of these factors in the alkylation of nitriles can be seen in the clean C-monoalkylation of primary nitriles which can permit successive alkylations using different alkylating agents useful in the preparation of a specific nitrile derivative such as a carboxylic acid or amine.⁴

The regiospecificity of 1 with electrophiles suggests that carbon is more nucleophilic than nitrogen. This, however, is strongly influenced by steric hindrance both in the electrophile and nitrile moieties. The few examples^{5,6} which illustrate this involve bulky alkyl groups; for example, the isopropylation of diisopropylacetonitrile in alkaline conditions affords triisopropylacetonitrile and *N*-isopropyl-diisopropylketene imine in 70% and 23% yields, respectively. We now wish to report the effects of the novel bulky aryl group, pentamethylphenyl, C₆Me₅ (Scheme I), in directing the site of alkylation.

Bis(pentamethylphenyl)acetonitrile (2), which is among the most hindered diarylacetonitriles synthesized to date, requires highly basic conditions for its deprotonation to form 3. This lithium "carbanion" is air sensitive; exposure of the yellow solution to atmospheric oxygen results in a rapid change to dark purple due to the oxidation of 3 to the radical cyanobis(pentamethylphenyl)methyl (4) which has been isolated as a stable crimson colored solid.⁷

An IR spectrum of 3 taken in THF in the absence of oxygen showed strong absorptions at 2044 and 2080 cm⁻¹ (shoulder). These heterocumulene values suggest that 3 exists as an *N*-lithio, 1b, rather than a C-lithio, 1a, salt. A direct comparison can be made with the monolithium salt of phenylacetonitrile [$\nu(\text{C}=\text{N})$ 2065 cm⁻¹] whose dimeric structure in the solid state is believed to be retained in solution.⁸

The significant degree of steric hindrance provided by the pentamethyl groups was illustrated by the exclusive *N*-alkylation of 3 with methyl iodide. When bis(pentamethylphenyl)acetonitrile (2) was deprotonated with 3 molar equiv of *n*-BuLi·TMEDA the yield of *N*-methylbis(pentamethylphenyl)ketene imine (6) [$\nu(\text{C}=\text{N})$ 2016 cm⁻¹] was ca. 80%. There was no evidence for a C-alkylated acetonitrile in the crude product. On using less than this optimum quantity of base, the isolated yield of 6 was significantly reduced (1 mol equiv of base gave 6 and unreacted 2 in 22% and 57% yields, respectively). The structure of the *N*-methylketene imine 6 was confirmed by its independent synthesis from *N*-methylbis(penta-

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