

trometer using potassium bromide pellets. For column chromatography, silica gel (Kieselgel 60, Merck, 70-230 mesh ASTM) was used. Elemental analyses were performed at Elemental Analysis Center in Kyoto University.

o-Bromoacetylbenzophenone (**3**).

A mixture of *o*-acetylbenzophenone **1** (1 mmole) in dry ether and 5,5-dibromo-2,2-dimethyl-4,6-dioxo-1,3-dioxane (dibromo-Meldrum Acid) [**5**] (0.5 mmole) was heated under reflux for 4 days. After neutralization of the mixture with sodium bicarbonate solution, the products was extracted with ether or chloroform and washed with water. The extract was chromatographed on a silica gel column using tetrachloromethane-chloroform (9:1) as the eluent. From the first fraction 56% of **3** was obtained and from the next fraction 43% of **1** was recovered. By use of excess dibromo Meldrum acid (1 mmole), the product **3** was contaminated with the by-products which were difficult to separate from **3**.

Compound **3** had mp 50° dec; ir: 1680 and 1660 cm⁻¹ (ν CO); ¹H nmr (deuteriochloroform): δ 7.86-7.41 (m, 8H), 7.36 (m, 1H), 4.31 (s, 2H, CH₂Br); ms: m/z 304/302 (M⁺), 303/301 (M-1)⁺, 223 (M-Br)⁺, 209 (base peak, M-CH₂Br)⁺.

Anal. Calcd. for C₁₅H₁₁BrO₂ (303.15): C, 59.43; H, 3.63; Br, 26.36. Found: C, 59.02; H, 3.71; Br, 26.81.

3-Phenylisoindolin-1-one (**5a**).

A. From **2** and Aqueous Ammonia.

To a methanol solution (5 ml) of **2** (0.3 mmole) 3 ml of 28% aqueous ammonia was added and the mixture was stirred at room temperature for three days. After concentrating the mixture, the residue was chromatographed on a silica gel column using chloroform-methanol (9:1) as the eluent to give colorless **5a** in 61% yield. When the reaction was carried out in benzene under the above conditions, **5a** was obtained in 24% yield.

B. From **3** and Aqueous Ammonia.

The mixture of **3** and aqueous ammonia in methanol was treated in a similar manner as for A to give **5a** in 11% yield. When the reaction was followed in benzene, only decomposition products were obtained.

Compound **5a** had mp 215.1-216.5° (ethyl acetate) (lit [6] mp 214-216°); ir: 3210 (ν NH) and 1690 (ν CO) cm⁻¹; ¹H nmr (deuteriochloroform): δ 7.88 (m, 1H), 7.48 (m, 3H), 7.35-7.15 (m, 5H), 6.71 (br, 1H, NH), 5.61 (s, 1H, 3-H); (lit [6] ¹H nmr (DMSO-d₆): δ 7.86 (m, 1H, 7-H), 5.61 (s, 1H, 3-H); ¹³C nmr (deuteriochloroform): δ 171.1 (CO), 148.0, 132.3, 130.5, 129.6, 129.1, 128.6, 128.4, 128.1, 126.8, 123.8, 123.3, 60.9 (CHN=); ms: m/z 209 (M⁺, base peak), 180 (M-COH)⁺.

Anal. Calcd. for C₁₄H₁₁NO (209.25): C, 80.36; H, 5.30; N, 6.69; O, 7.65. Found: C, 79.95; H, 5.52; N, 6.68; O, 7.60.

2-Methyl-3-phenylisoindolin-1-one (**5b**) and 3-Hydroxy-2-methyl-3-phenylisoindolin-1-one (**4b**).

To a benzene solution of **3** (0.5 mmole) 0.2 ml of aqueous methylamine solution (40%) was added under stirring in an ice-bath and the mixture was stirred for 3 hours. After neutralization of the mixture with hydrochloric acid, the benzene layer was washed with water and concentrated. The residue was chromatographed on a silica gel column using tetrachloromethane-chloroform (3:1) as the eluent. From the first pale yellow fraction **5b** was obtained in the yield of 7% and the second pale green fraction 21% of **4b** was yielded. The reaction was carried out at room temperature to afford **5b** in 11% and **4b** in 17% yield respectively. Treating **3** with aqueous ammonia in methanol instead of benzene **5b** in 3% and **4b** in 2.5% were isolated. When a methanol solution of **4b** with methylamine was stirred formation of **5b** was observed.

Compound **4b** had mp 185-187° (lit [7] mp 187-188°); ir: (cm⁻¹) 3250 (ν OH), 1675 (ν CO), 1050 (ν COH); ¹H nmr (deuteriochloroform): δ 7.59 (m, 1H), 7.51-7.29 (m, 8H), 4.21 (br, 1H, OH), 2.66 (s, 3H, NCH₃); ¹H nmr (DMSO-d₆): δ 7.71 (m, 1H), 7.51 (m, 2H), 7.38-7.25 (m, 6H), 7.02 (s, 1H, OH), 2.68 (s, 3H, NCH₃); (lit [7] 7.37 (s, 5H) 7.9-7.3 (m, 4H), 7.02 (s, 1H, OH), 2.70 (s, 3H, NCH₃); ms: m/z 239 (M⁺), 222 (M-OH)⁺, 210 (M-CO-1)⁺, 162 (base peak, M-Ph)⁺ ([7] 239, 222, 210, 162 (100%)).

Compound **5b** had mp 103-105° (carbon tetrachloride) (lit [6] 102-104°); ir: 1680 cm⁻¹ (ν CO); ¹H nmr (deuteriochloroform): δ 7.89 (m, 1H), 7.49-7.33 (m, 5H), 7.20-7.12 (m, 3H), 5.34 (s, 1H), 2.98 (s, 3H, NCH₃); (lit [6] ¹H nmr (DMSO-d₆): δ 7.90 (m, 1H, 7-H), 5.33 (s, 1H), 2.97 (s, 3H); ms: m/z 223 (base peak, M⁺), 194 (M-CO-1), 146 (M-Ph)⁺.

Reaction of **2** and Methylamine.

The mixture of **2** and aqueous methylamine in benzene was stirred at room temperature for 3 hours. After the usual workup as above only **5b** isolated in 19% yield. The reaction in methanol even in an ice-bath none of the **4b** and **5b** were obtained.

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