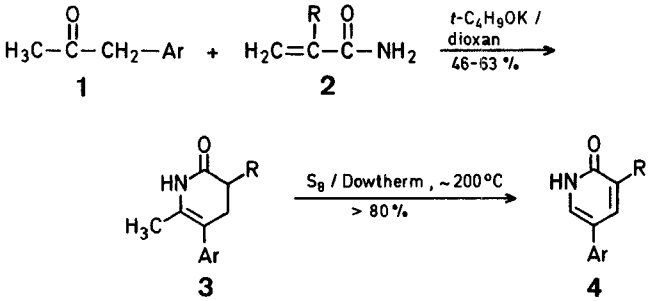
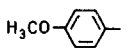
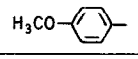
[d] Recorded at 90 MHz with a Varian EM-390 instrument.
[e] Some quantity of the starting phosphorane was recovered.
[f] I.R. (Nujol): $\nu = 3410\,cm^{-1}$.

A literature search revealed the preparation of quinolones and fused quinolones in low yields by the Michael addition of acrylamide to cyclic ketones in the presence of a strong base[1]. However, the Michael addition of acrylamide to acyclic ketones such as acetophenone and dibenzyl ketone did not lead to 3,4-dihydro-2(1*H*)-pyridones[1].

In contrast to the behavior of acetophenone and dibenzyl ketone, arylacetones **1** were found to react exothermally with acrylamides **2** in the presence of potassium *t*-butoxide to give 5-aryl-3,4-dihydro-2(1*H*)-pyridones **3** in 46–63% yields. Also, this method was found to be convenient for large scale preparation of **3**.

There are numerous instances where sulphur had been used as a dehydrogenating agent[2–6]. In most of these cases, the reactions were carried out neat at high temperatures (> 200 °C). In two of the cases cited above, 1,4-dihydropyridines were converted to pyridines by dehydrogenation with



3,4	Ar	R
a		H
b		CH_3
c		H
d		CH_3

A Novel and Facile Two Step Synthesis of 5-Aryl-2(1*H*)-pyridones

Baldev SINGH

Sterling-Winthrop Research Institute, Rensselaer, New York 12144, U.S.A.

5-Aryl-3,4-dihydro-2(1*H*)-pyridones **3** and 5-aryl-2(1*H*)-pyridones **4** were needed in our laboratory for evaluation of their cardiotonic activity. There is no previously recorded general synthesis of 5-aryl-3,4-dihydro-2(1*H*)-pyridones **3**.

Table. Pyridones **3** and **4** prepared

Product No.	Yield [%]	m.p. [°C]	Molecular Formula[a]	M.S. *m/e* (M^+)	^{1}H-N.M.R. (solvent) δ [ppm]
3a	46	174–177°	$C_{11}H_{12}N_2O$ (188.2)	188	DMSO-d_6: 1.93 (s, 3H, CH_3); 2.38–2.80 (m, 4H, —CH_2—CH_2—); 7.19, 8.49 (AA′BB′, 4H_{arom}, J = 5 Hz); 9.37 (s, 1H, NH)
3b	57	148–150°	$C_{12}H_{14}N_2O$ (202.3)	202	$CDCl_3$: 1.3 (d, 3H, J = 6 Hz, 5-CH_3); 2.02 (s, 3H, 2-CH_3); 2.44–2.99 (m, 3H, —CH_2—CH—); 7.13, 8.57 (AA′BB′, 4H_{arom}, J = 5 Hz); 9.11 (s, 1H, NH)
3c	54	160–162°	$C_{13}H_{15}NO_2$ (217.3)	217	DMSO-d_6: 1.82 (s, 3H, CH_3); 2.30–2.65 (m, 4H, —CH_2—CH_2—); 3.78 (s, 3H, OCH_3); 6.90–7.12 (AA′BB′, 4H_{arom}, J = 8 Hz); 9.05 (s, 1H, NH)
3d	63	135–136°	$C_{14}H_{17}NO_2$ (231.3)	231	DMSO-d_6: 1.18 (d, 3H, J = 6 Hz, 3-CH_3); 1.81 (s, 3H, 6-CH_3); 2.20–2.72 (m, 3H, —CH_2—CH—); 3.77 (s, 3H, OCH_3); 6.96–7.13 (AA′BB′, 4H_{arom}, J = 8 Hz); 9.04 (s, 1H, NH)
4a	83	285–288°	$C_{11}H_{10}N_2O$ (186.2)	186	CF_3COOD: 2.77 (s, 3H, CH_3); 7.36 (d, 1H, J = 9 Hz, 5-H); 8.24 (d, 1H, J = 9 Hz, 4-H); 8.24, 9.02 (AA′BB′, 4H_{arom}, J = 6 Hz); 12.44 (s, 1H, NH, exchangeable with D_2O)
4b	97	256–258°	$C_{12}H_{12}N_2O$ (200.2)	200	CF_3COOD: 2.4 (s, 3H, 5-CH_3); 2.63 (s, 3H, 2-CH_3); 7.95 (s, 1H, 4-H); 8.12, 8.90 (AA′BB′, 4H_{arom}, J = 6 Hz); 12.18 (s, 1H, NH, exchangeable with D_2O)
4d	92	189–191°	$C_{14}H_{15}NO_2$ (229.3)	229	CF_3COOD: 2.49 (s, 3H, 3-CH_3); 2.65 (s, 3H, 6-CH_3); 4.08 (s, 3H, OCH_3); 7.2, 7.37 (AA′BB′, 4H_{arom}, J = 8 Hz); 8.04 (s, 1H, 4-H); 11.75 (s, 1H, NH, exchangeable with D_2O)

[a] Satisfactory microanalyses obtained: C ±0.32, H ±0.16, N ±0.16.

sulphur[5,6]. However, there was no known example wherein sulphur had been used to convert a 3,4-dihydro-2(1*H*)-pyridinone to a 2(1*H*)-pyridinone.

Herein I report a method for the dehydrogenation of 5-aryl-3,4-dihydro-2(1*H*)-pyridones **3** to 5-aryl-2(1*H*)-pyridones **4** in high yields (>80%) using one equivalent of sulphur in Dowtherm® at 195–200°C. When large quantities of reactants are used in neat reactions, the control of the reaction temperature is difficult. The use of Dowtherm® as a solvent overcomes this problem.

2,5-Dimethyl-6-oxo-1,4,5,6-tetrahydro-3,4′-bipyridyl (3b); Typical Procedure:

To a stirred mixture of 1-(4-pyridyl)-2-propanone[7] (**1**; 35 g, 0.26 mol), methacrylamide (**2**; 25 g, 0.3 mol), and dioxan (200 ml) is added polassium *t*-butoxide (30 g, 0.27 mol) and the resulting exothermic reaction is allowed to continue at ambient temperature for 40 min. The dark brown mixture is then heated on a steam bath for 1.5 h. After cooling to room temperature, the solvent is removed under reduced pressure and the dark brown semisolid residue is partitioned between chloroform (300 ml) and 20% aqueous acetic acid (200 ml). Removal of chloroform gives a brown gummy solid which is decolorized with charcoal and is crystallized from 2-propanol/hexane to give tan flakes; yield: 29.7 g (57%); m.p. 148–150°C (Table).

Dehydrogenation of 5-Aryl-3,4-dihydro-2(1*H*)-pyridones with Sulphur; Typical Procedures:

2-Methyl-6-oxo-1,6-dihydro-3,4′-bipyridyl (**4a**): A mixture of 2-methyl-6-oxo-1,4,5,6-tetrahydro-3,4′-bipyridyl (**3a**; 9.4 g, 0.05 mol), sulphur (1.6 g, 0.05 mol) and Dowtherm® (40 ml) is stirred and heated in an oil bath at 195–200°C for 4.5 h to give a dark brown solution. The cooled solution is extracted with 6 normal aqueous hydrochloric acid (200 ml). The aqueous extract is treated with charcoal, concentrated under reduced pressure to ~ 30 ml, and neutralized with ~ 15 normal aqueous ammonia (12 ml). The tan crystalline solid precipitated is filtered, washed with water, and dried; yield: 8.19 g (83%); m.p. 285–288°C.

2,5-Dimethyl-6-oxo-1,6-dihydro-3,6′-bipyridyl (**4b**): A suspension of 2,5-dimethyl-6-oxo-1,4,5,6-tetrahydro-3,4′-bipyridyl (**3b**; 15.1 g, 0.075 mol), sulphur (2.4 g, 0.075 mol), and Dowtherm® (50 ml) is stirred and heated in an oil bath at 195–200°C for 2.5 h and then cooled to room temperature where up on a light orange solid crystallizes; yield: 14.6 g (97%); m.p. 256–258°C.

3,6-Dimethyl-5-(4-methoxyphenyl)-2-oxo-1,2-dihydropyridine (**4d**): A mixture of 3,6-dimethyl-5-(4-methoxyphenyl)-2-oxo-1,2,3,4-tetrahydropyridine (**3d**; 46.2 g, 0.2 mol), sulphur (6.4 g, 0.2 mol), and Dowtherm® (250 ml) is stirred and heated in an oil bath at 195–200°C for 2.5 h to give a brown solution. After cooling to room temperature the mixture is diluted with a large excess of *n*-hexane (1000 ml). The solid precipitated is recrystallized from 2-propanol; yield: 42.1 g (92%); m.p. 189–191°C.

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