

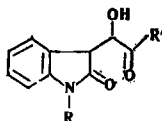
REACTION OF  $\alpha$ -KETO ALDEHYDES WITH HYDROXYINDOLES

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Owing to the lability of the hydrogen atoms in the 3-position, hydroxyindoles readily undergo condensation reactions [1]. We have shown that they form  $\alpha$ -hydroxy ketones (Table 1) by refluxing with  $\alpha$ -keto aldehydes in benzene for 1 h. The products crystallized on cooling the reaction mixture and were recrystallized several times from benzene and methanol for further purification. The purities of the  $\alpha$ -hydroxy ketones were proved by chromatography in a thin layer of silica gel.

TABLE 1.



R	R'	mp	Empirical formula	Found %	Calc. %	UV spectrum in alcohol: $\lambda_{\max}$ , nm (log $\epsilon$ )	Yield, %
H	C <sub>6</sub> H <sub>5</sub>	134	C <sub>16</sub> H <sub>13</sub> NO <sub>3</sub>	C 71,04 H 4,73 N 5,11	71,91 4,86 5,21	248 (3,39)	25
H	<i>p</i> -CH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>	112	C <sub>17</sub> H <sub>15</sub> NO <sub>3</sub>	C 72,88 H 5,38 N 5,02	72,59 5,33 4,98	254 (3,52)	30
CH <sub>3</sub>	C <sub>6</sub> H <sub>5</sub>	134	C <sub>17</sub> H <sub>15</sub> NO <sub>3</sub>	C 71,99 H 5,38	72,59 5,34	247 (3,36)	29
CH <sub>3</sub>	<i>p</i> -CH <sub>3</sub> OC <sub>6</sub> H <sub>4</sub>	136	C <sub>18</sub> H <sub>17</sub> NO <sub>4</sub>	C 69,52 H 5,62	69,68 5,47	255 (3,34)	38
CH <sub>3</sub>	<i>p</i> -ClC <sub>6</sub> H <sub>4</sub>	146	C <sub>17</sub> H <sub>14</sub> ClNO <sub>3</sub>	C 65,21 H 4,28 N 4,48	64,66 4,43 4,43	255 (3,47)	33
CH <sub>3</sub>	$\alpha$ -Thienyl	150	C <sub>15</sub> H <sub>13</sub> NO <sub>3</sub>	C 60,70 H 4,47 N 5,01	62,71 4,52 4,88	255 (3,21)	35

The  $\alpha$ -hydroxy ketones are readily dehydrated by passage through a layer of aluminum oxide. For example,  $\omega$ -(1-methylhydroxy-3-indolydene)-*p*-methoxyacetophenone, previously prepared by the dehydration of 1-methyl-3-hydroxy-3-(*p*-methoxyphenacyl)hydroxyindole [2], was obtained via this path.

The condensation of 1-methylhydroxyindole with *p*-anisylglyoxal also gave bis(1-methylhydroxy-3-indolyl)-*p*-anisylmethane, which was isolated from the reaction mixture by chromatography with a column filled with aluminum oxide to give a product with mp 180°. Found %: C 74.69; H 5.69; N 6.14. C<sub>27</sub>H<sub>24</sub>N<sub>2</sub>O<sub>4</sub>. Calculated %: C 73.63; H 5.45; N 6.36.

UV spectrum:  $\lambda_{\max}$  265 nm, log  $\epsilon$  3.46 (in alcohol).

## LITERATURE CITED

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