

CCC.V.—1 : 3 : 4-Triazoles.

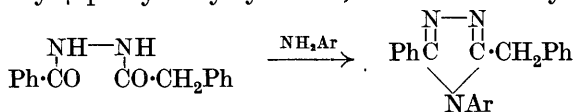
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OF the methods for the preparation of 1 : 3 : 4-triazoles, none has advantage over the little-investigated reaction of Pellizzari and Alciatore (*Atti R. Accad. Lincei*, 1901, **10**, i, 444). These authors found that *s*-dibenzoylhydrazine reacted with benzanilide or aniline to give 1 : 2 : 5-triphenyl-1 : 3 : 4-triazole, a substance having physiological properties not unlike those of strychnine.

Macht and Fisher (*J. Pharm. Exper. Ther.*, 1917, **10**, 95) have shown that, though morphine and papaverine have narcotic action in common there is a fundamental difference between them in that the former exerts a stimulating action on the rhythmic contraction and tonicity of smooth muscle whereas the latter exerts an inhibitory action possibly due to the benzyl group.

The present work was done in connexion with a search for a substance having the physiological properties of strychnine but without its action on smooth muscle.

α -Benzoyl- β -phenylacetylhydrazine, like *s*-dibenzoylhydrazine,



condenses with aromatic amines to give 1 : 2 : 5-triaryl-1 : 3 : 4-triazoles: α -benzoyl β -acetylhydrazine, however, invariably produces an acyl derivative of the amine. These triazoles are rather weak bases and do not form stable salts with acids. Attempts to prepare triazoles containing a sulpho-group from sulphanilic and orthanilic acids were unsatisfactory.

An account of the pharmacological properties of these substances will be published elsewhere.

EXPERIMENTAL.

α -Benzoyl- β -phenylacetylhydrazine, prepared by heating benzoylhydrazine (1 mol.) and phenylacetic acid (1 mol.) together with a few drops of pyridine at 180° for 3 hours, crystallised from alcohol in needles, m. p. 214—215°.

1 : 2-Diphenyl-5-benzyl-1 : 3 : 4-triazole.—A mixture of benzoylphenylacetylhydrazine (10 g.) and the equivalent of aniline was heated together with freshly fused zinc chloride (10 g.) at 180—190° for 3 hours. The product was triturated successively with water, sodium hydroxide solution, and water and crystallised from hot alcohol; m. p. 200° (Found : N, 13·8. $C_{21}H_{17}N_3$ requires N, 13·5%).

Under similar conditions *m*-toluidine and *p*-anisidine gave 2-phenyl-1-*m*-tolyl-5-benzyl-1 : 3 : 4-triazole, m. p. 240° (Found : N, 13·3. $C_{22}H_{19}N_3$ requires N, 12·9%), and 2-phenyl-1-*p*-methoxyphenyl-5-benzyl-1 : 3 : 4-triazole, m. p. 225° (Found : N, 12·0. $C_{22}H_{19}ON_3$ requires N, 12·3%), respectively.

1 : 2 : 5-Triphenyl-1 : 3 : 4-triazole, obtained from *s*-dibenzoylhydrazine and aniline at 240°, had m. p. 292° (Found : N, 14·4. Calc. : N, 14·1%). Pellizzari and Alciatore (*loc. cit.*) give m. p. 305°.

2 : 5-Diphenyl-1-*p*-tolyl-1 : 3 : 4-triazole, formed from *s*-dibenzoylhydrazine and *p*-toluidine, had m. p. 296—297° (Found : N, 13·8. $C_{21}H_{17}N_3$ requires N, 13·5%). The mother-liquor on concentration furnished another substance, m. p. 115° (Found : N, 10·9, 11·2%), which has not been identified. Similarly *p*-anisidine, *m*-toluidine, and *p*-phenetidine furnished 2 : 5-diphenyl-1-*p*-methoxyphenyl-1 : 3 : 4-triazole, m. p. 246—247° (Found : N, 13·1. $C_{21}H_{17}ON_3$ requires N, 12·8%), 2 : 5-diphenyl-1-*m*-tolyl-1 : 3 : 4-triazole, m. p. 250° (Found : N, 13·6. $C_{21}H_{17}N_3$ requires N, 13·5%), and 2 : 5-diphenyl-1-*p*-ethoxyphenyl-1 : 3 : 4-triazole, m. p. 215° (Found : N, 12·6. $C_{22}H_{19}ON_3$ requires N, 12·3%), respectively.

α -Benzoyl- β -acetylhydrazine, prepared by acetylation of benzoylhydrazine with acetyl chloride in the cold, gave benzanilide, m. p. 157°, when treated with aniline in the usual way.

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