

SHORT
COMMUNICATIONSSynthesis of 6*H*-Pyrrolo[2,3,4-*gh*]perimidines
from Naphthalene-1,4,8-triamine

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The importance of indole derivatives as biologically active compounds is difficult to overestimate. Benzo[*c,d*]indoles are not an exception; for example, efficient inhibitors of thymidylate synthase were found among compounds of this series [1]. The present communication describes the synthesis of fused benzo[*c,d*]indole derivatives, 6*H*-pyrrolo[2,3,4-*gh*]perimidines **IVa–IVc**, from naphthalene-1,4,8-triamine (**I**) and 1,3,5-triazines **IIa–IIc**.

We previously showed that 1,3,5-triazine in polyphosphoric acid (PPA) acts as efficient formylating and acylating agent [2–5], in particular toward naphthylamines [5]. Therefore, it may be expected that the use of 1,3,5-triazine should ensure convenient synthesis of pyrroloperimidines **IVa–IVc**. In fact, by heating triamine **I** with triazines **IIa–IIc** in PPA first at 80–90°C and then at 130–140°C we obtained the corresponding pyrroloperimidines **IVa–IVc** in 38–48% yield. The reaction is likely to involve intermediate formation of aminoperimidines **IIIa–IIIc**.

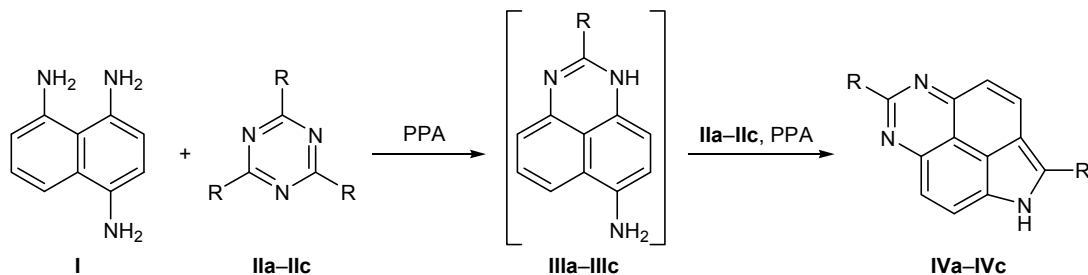
Unlike the known procedure [6], the proposed method requires no preliminary preparation and acylation of perimidines. Furthermore, the key step in the known procedure [6] is the Schmidt reaction utilizing

sodium azide in acid medium, and there exists a risk of evolution of toxic and explosive hydrazoic acid. The procedure proposed by us is free from the said disadvantage.

Pyrroloperimidines IVa–IVc (general procedure). A mixture of 0.173 g (1 mmol) of naphthalene-1,4,8-triamine (**I**) and 3.1 mmol of triazine **IIa–IIc** in 3–4 g of polyphosphoric acid [7] was heated under vigorous stirring for 3 h at 80–90°C. The temperature was then raised to 130–140°C, and the mixture was stirred for 3 h at that temperature. The mixture was cooled, poured into 30 ml of water, heated for 10 min at the boiling point, made alkaline by adding aqueous ammonia, and extracted with benzene (3 × 100 ml). The combined extracts were evaporated, and the residue was purified by recrystallization from benzene (**IVa**, **IVb**) or benzene–petroleum ether (**IVc**).

6*H*-Pyrrolo[2,3,4-*gh*]perimidine (IVa). Yield 0.073 g (38%), yellow crystals, mp 207–209°C (from benzene) [6]. Found, %: C 74.71; H 4.58; N 21.64. C₁₂H₇N₃. Calculated, %: C 74.60; H 3.65; N, 21.75.

2,7-Dimethyl-6*H*-pyrrolo[2,3,4-*gh*]perimidine (IVb). Yield 0.104 g (47%), yellow crystals, mp 271–



R = H (a), Me (b), Ph (c).

272°C (from benzene) [6]. Found, %: C 76.18; H 4.95; N 18.87. C₁₄H₁₁N₃. Calculated, %: C 76.00; H 5.01; N 18.99.

2,7-Diphenyl-6H-pyrrolo[2,3,4-gh]perimidine (IVc). Yield 0.166 g (48%), yellow crystals, mp 169–170°C (from benzene–petroleum ether) [6]. Found, %: C 83.62; H 4.29; N 12.09. C₂₄H₁₅N₃. Calculated, %: C 83.46; H 4.38; N 12.17.

The ¹H NMR spectra of **IVa–IVc** (Bruker WP-200, 200 MHz, TMS) were consistent with those given in [6]. The progress of reactions and the purity of products were monitored by TLC on Silufol UV-254 plates using ethyl acetate as eluent.

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