NOVEL HETEROCYCLES BY THE MALONIC ESTER VARIATION OF THE JAPP-KLINGEMANN REACTION

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Polyaza-heterocycles have been prepared by coupling malonic ester derivatives with diazotized anilines, 3-amino-2-chloropyridine, anthranilic ester or 2-aminobenzophenones. The resulting azocompounds (2)/(5) were cyclized with base to pyrazolo-quinazolines (1)/(3) and triazinones (7). Further transformations of primary ring closure products led to pyrido-triazolo-azines (4), pyrido-triazolo-benzodiazepine (6) and triazino-indoles (9). Azocompounds derived from acryloyl-aminomalonates underwent smooth intramolecular (2+4) cycloaddition resulting in the formation of imidazo-cinnolines (8).