

Reissert Compound Studies. XIX. Reactions of Phthalazine (1)

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The use of a wide variety of quinolines (3) and isoquinolines (4) in Reissert compound formation has been reported and their value as synthetic intermediates has been demonstrated (5). A logical extension of this work on quinoline and isoquinoline would be in the area of azquinolines or azaisoquinolines and in this line we now report on our work with phthalazine.

Reaction of phthalazine, benzoyl chloride, and potassium cyanide in methylene chloride-water gave the phthalazine Reissert compound (I). Use of an excess of benzoyl chloride and potassium cyanide did not lead to the formation of any material resulting from reaction at the second ring nitrogen.

Acid-catalyzed hydrolysis of the phthalazine Reissert compound proceeded in an analogous manner to the

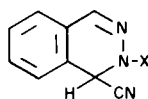
hydrolysis of a normal Reissert compound (for example, II). Use of concentrated hydrochloric acid in the presence of 2,4-dinitrophenylhydrazine (6) with I gave a quantitative yield of benzaldehyde 2,4-dinitrophenylhydrazone while hydrolysis of I with hydrobromic acid in acetic acid (7) gave phthalazine-1-carboxylic acid as its hydrobromide.

Reaction of I with methyl iodide in the presence of sodium hydride in dimethylformamide proceeded as has been reported for II (5) to give III in quantitative yield. Hydrolysis in base converted III to 1-methylphthalazine in 76% yield. Condensation of I with benzaldehyde in the presence of sodium hydride in dimethylformamide at room temperature gave a quantitative yield of the carbinol (IV).

Reaction of phthalazine and potassium cyanide with

TABLE I

Phthalazine Reissert Analogues



X	M.p. (a)	Yield	Analyses					
			Calcd.			Found		
			C	H	N	C	H	N
-CON(C ₆ H ₅) ₂	206-208	72	74.98	4.58	15.90	75.01	4.59	15.76
-CON(C ₂ H ₅) ₂	136-138	11	65.60	6.29	21.86	65.43	6.24	22.08
C ₆ H ₅ SO ₂ -	151-154	99	60.59	3.73	14.13	60.60	3.63	14.05
-CO ₂ C ₂ H ₅	137-138 (b)	35	62.87	4.84	18.33	62.68	4.81	18.01
-PS(OC ₂ H ₅) ₂	83-86	74	50.47	5.21	13.59	50.56	5.25	13.69

(a) Recrystallized from ethanol unless otherwise noted. (b) Recrystallized from ethanol-water.

