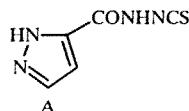


LETTERS TO THE EDITOR

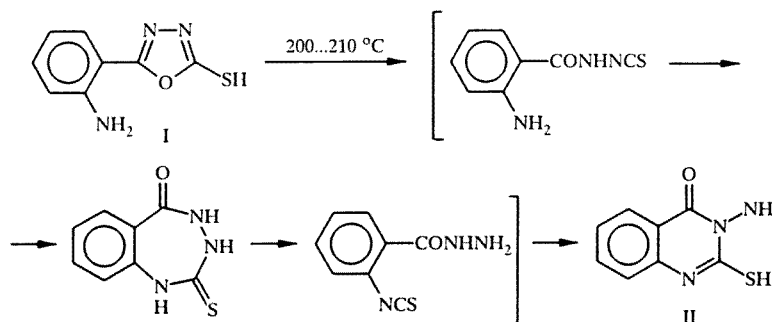
RECYCLIZATION OF 5-(2-AMINOPHENYL)-1,3,4- OXADIAZOLE-2-THIONE TO YIELD QUINAZOLINE DERIVATIVES

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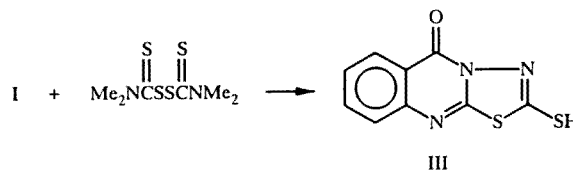
The thermal recyclization of 2-mercapto-5-(3(5)-pyrazolyl)-1,3,4-oxadiazole leads to 7-mercaptopyrazolo[1,5-*d*]-as-triazin-4(5)-one [1]. This reaction presumably proceeds through intermediate (A), which arises upon opening of the oxadiazole ring.



We have found that 5-(2-aminophenyl)-1,3,4-oxadiazole-2-thione (I) is converted upon heating at 200-210°C to 3-amino-2-mercaptoquinazolin-4(3H)-one (II) through a series of consecutive rearrangements:

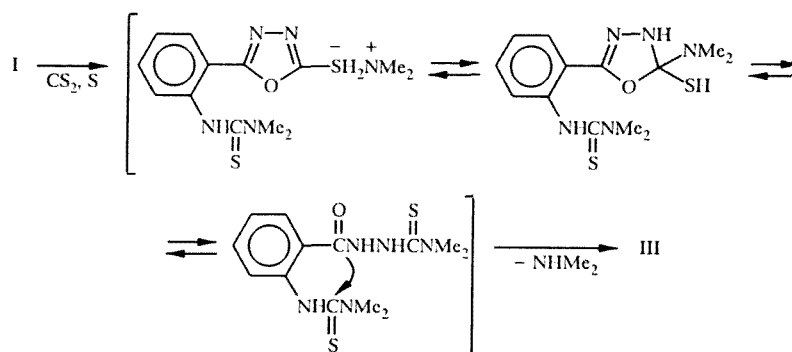


The reaction of thione I with tetramethylthiuram disulfide (TMTD) gives 2-mercapto-1,3,4-thiadiazolo[2,3-*b*]quinazolin-5-one (III):



Products II and III proved identical to samples prepared according to Pathac and Devani [2]. Their structures were confirmed by IR and PMR spectroscopy.

The following scheme is proposed for the conversion of I into III:



3-Amino-2-mercaptoquinazolin-4(3H)-one (II). A sample of 0.865 g (0.005 mole) 5-(2-amino-phenyl)-1,3,4-oxadiazole-2-thione was heated in a sealed ampule at 200-210°C for 0.5 h. After cooling, the product was dissolved in 20 ml 2% aq. KOH and precipitated by adding concentrated acetic acid. The yield of II was 0.81 g (94%), mp 239-240°C. IR spectrum in vaseline mull: 3305 (NH_{as}), 3272 (NH), 3225 (NH_s), 1700, 1678 (C=O), 1640 cm⁻¹ (C=N). PMR spectrum in DMSO-d₆: 8.07-7.33 (4H, m, CH), 6.39 (2H, s, NH₂), 3.46 ppm (1H, s, SH).

2-Mercapto-1,3,4-thiadiazolo[2,3-b]quinazolin-5-one (III). A mixture of 1.93 g (0.01 mole) I and 2.40 g (0.01 mole) TMTD in 6 ml DMF was heated for 1 h at 100°C and poured into 50 ml 2% aq. KOH. The sulfur precipitate was filtered off and the filtrate was acidified by adding concentrated hydrochloric acid. The product was filtered off, washed on the filter with water, and dried to give 2.28 g (97%) III, mp 300°C. IR spectrum in vaseline mull: 3335 (NH), 2630 (SH), 1730 (C=O), 1640 cm⁻¹ (d, C=N).

REFERENCES

1. C. Ainsworth, J. Am. Chem. Soc., **78**, 4475 (1956).
2. U. S. Pathac and M. V. Devani, Indian J. Chem., **B25**, 489 (1986).