Synthesis of 1,3-Benzothiazol-2(3H)-one and Some Its Derivatives

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Abstract—Acylation of 2-aminobenzenethiol with methyl chloroformate in pyridine gave dimethyl 2,2'-disulfanediylbis(2,1-phenylene)dicarbamate instead of expected methyl 2-suylfanylphenylcarbamate. Heating of the product with zinc dust in glacial acetic acid led to the formation of 1,3-benzothiazol-2(3*H*)-one. Alkylation of the latter with 1,2-dibromoethane and allyl bromide, as well as acylation with chloroacetyl chloride, afforded the corresponding 3-substituted derivatives. 3-[3-(Pyridin-2-yl)-4,5-dihydroisoxazol-5-ylmethyl]-1,3-benzothiazol-2(3*H*)-one was synthesized with high regioselectivity by 1,3-dipolar cycloaddition of 3-allyl-1,3-benzothiazol-2(3*H*)-one to pyridine-2-carbonitrile oxide generated from *N*-hydroxypyridine-2-carboximidoyl chloride hydrochloride by the action of triethylamine.

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