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NEW SYNTHESIS OF 7,8-DIHYDROTHIAZOLO[2,3-i]PURINE DERIVATIVES

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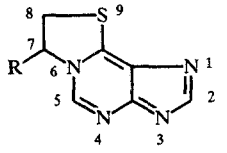
Derivatives of 7,8-dihydrothiazolo[2,3-i]purine (I) have not been much investigated. A synthesis of compounds I (R = H) by the reaction of 6-thiopurine with 1,2-dibromo(bromochloro)ethane has been described in [1]. The same compound is formed on treatment of 6-(2-aminoethyl)thiopurine with hydrochloric acid [2]. 1-Benzyl- and 3-benzyl-7,8-dihydrothiazolo[2,3-i]purinium bromides were obtained by the reaction of 7- and 9-benzyl-6-thiopurines with 1,2-dibromoethane [3]. The formation has been reported [4, 5] of a 7-hydroxymethyl derivative of I as a result of complex transformations of 6-(2-hydroxy-3-chloropropyl)thiopurine by the action of sodium methylate.

We have developed a simple new preparative synthesis of substituted derivatives of I by the reduction of accessible 6-[2-oxoalkyl(aralkyl)thiopurines with $NaBH_4$ to the corresponding 6-[2-hydroxyalkyl(aralkyl)]thiopurines [6], followed by their cyclization by the action of $SOCl_2$. The yield of the compounds was 45-90%.

The structure of the tricyclic compounds was confirmed by the IR (absence of absorption bands of the OH and NH groups) and PMR spectra. A short report on this investigation is given in [7].



I

Ia R = Ph; R = C_6H_4Br-*p*; R = $C_6H_4NO_2$-*p*

7-Phenyl-7,8-dihydrothiazolo[2,3-i]purine (Ia, $C_{13}H_{10}N_4S$), mp 270-271°C (dec., from DMFA). 7-p-Bromophenyl-7,8-dihydrothiazolo[2,3-i]purine (Ib, $C_{13}H_9BrN_4S$), mp 280-282°C (dec., from DMFA). 7-p-Nitrophenyl-7,8-dihydrothiazolo[2,3-i]purine (Ic, $C_{13}H_9N_5O_2S$), mp 261-262°C (dec., from acetone).

The chemical analysis data for C, H, N, S, Br correspond to the calculated values.

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