RECYCLIZATION OF PERHYDROOXAZOLO[5,4-C]PYRIDINES TO 5,6,7,8-TETRAHYDROOXAZOLO[3,4-c]PYRIMIDINES

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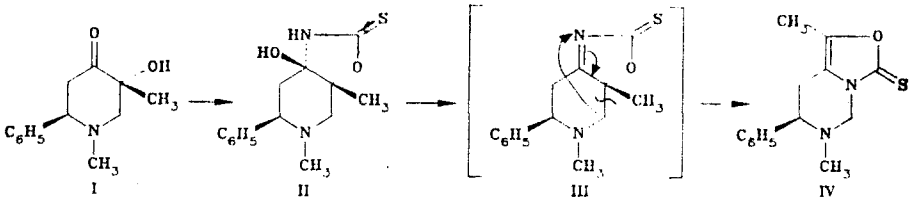
Compounds that contain a pyrrolo[3,2-c]piperidine fragment are recyclized to pyrrolo[1,2-c]pyrimidines with cleavage of the piperidine ring and migration of the aminomethylene link to the nitrogen atom of the pyrrole ring [1-3]. We have established that a similar rearrangement can take place in the perhydrooxazolo[5,4-c]piperidine series. Thus refluxing II in o-xylene for 20 min converts it to oxazolopyrimidine IV, the formation of which can be conceived of as being the result of rearrangement of III:



5,6,7,8-Tetrahydro-1,6-dimethyl-7-phenyloxazolo[3,4-c]pyrimidine-3-thione (IV). This compound had mp 96-97°C. IR spectrum (CCl_4): 1400, 1680 cm^{-1}. PMR spectrum ($CDCl_3$): 2.12 (s, 1-CH_3), 2.19 (s, 6-CH_3), 2.77-2.96 (m, 8-H), 3.86 (dd, $J_1 + J_2$ = 14.5 Hz, 7-H), 4.69-4.78 (dd, J_{gem} = 13.0 Hz, 5-H), 7.29 ppm (broad s, C_6H_5). The yield was 74%.

The previously unknown starting oxazole II (mp 144-145°C) was obtained by allowing a mixture of piperidone I [4] with 50% excess potassium thiocyanate in acetic acid to stand for 24 h.

The results of elementary analysis of the compounds obtained were in agreement with the calculated values.

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