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LETTERS TO THE EDITOR

Synthesis and Intramolecular Heterocyclization of *N*-Allylcytisine-12-carbothioamide

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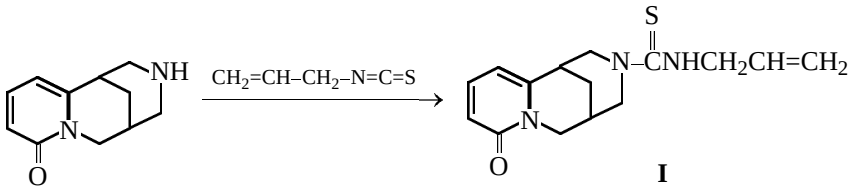
Thiourea derivatives attract interest not only as biologically active compounds, but also as convenient synthons in organic synthesis, especially heterocyclic.

We reacted cytisine with allyl isothiocyanate in alcohol medium to synthesize *N*-allylcytisine-12-carbothioamide (*I*). The product was hydrolyzed in the presence of concentrated HCl under heating until allyl resonance signals no longer observed in the ^{1}H NMR spectra.



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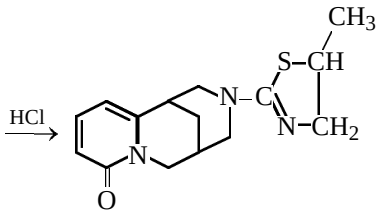

II

It was found that the acid hydrolysis gives rise to a five-membered sulfur-containing heterocyclic compound, viz. *N*-(5-methyl-1,3-thiazolidin-2-yl)cytisine (**II**).

***N*-Allylcytisine-12-carbothioamide (I).** Allyl isothiocyanate, 1 g, was added with stirring to a mixture of 1.9 g of cytisine and 5 ml of ethanol. The mixture was stirred for 1 h at room temperature, and the solvent was then removed in a vacuum to obtain 2.54 g (88%) of compound **I**, mp 234–235°C. IR spectrum, ν, cm^{-1}: 1510 [NHC(S)], 1651 (amide C=O). ^{1}H NMR spectrum, ν, ppm: 6.45 d (1H, CH$^{\alpha}$, $^3J_{HH}$ 10.4 Hz), 7.22 d.d (1H, CH$^{\beta}$, $^3J_{HH}$ 7.4 Hz), 6.05 d (1H, CH$^{\gamma}$), 4.64 d (CH_2=C), 5.50 m (C=CH), 3.76 d (CH_2). Found, %: C 62.41; H 6.76; N 14.72. $C_{15}H_{19}\cdot N_3OS$. Calculated, %: C 62.28; H 6.57; N 14.53.

***N*-(5-Methyl-1,3-thiazolidin-2-yl)cytisine. N**-Allylcytisine-12-carbothioamide (**I**), 1.45 g, in 10 ml of conc. HCl was heated for 3 h in a sealed ampule on a water bath. After cooling, the reaction mixture was

made alkaline with 40% aqueous NaOH. The oily thiazolidine separated and was extracted with benzene and dried with Na_2SO_4. The solvent was then removed to obtain 1.17 g (81%) of a crystalline substance, mp 166–167°C. IR spectrum, ν, cm^{-1}: 1603 (C=N), 1653 (amide C=O). ^1H NMR spectrum, δ, ppm: 6.48 d (1H, CH^{α}, $^3J_{HH}$ 10.2 Hz), 7.25 d.d (1H, CH^{β}, $^3J_{HH}$ 7.5 Hz), 6.03 d (1H, CH^{γ}), 1.18 d (5CH_3, J_{HH} 6 Hz), 3.81–4.05 m (CH_3CH), 3.56 d (CH_2). Found, %: C 62.50; H 6.81; N 14.86. $C_{15}H_{19}N_3OS$. Calculated, %: C 62.28; H 6.57; N 14.53.

The IR spectra were recorded on a UR-20 instrument in KBr. The ^1H NMR spectra were taken on a Tesla BS-587 spectrometer (80 MHz) in C_6D_6 against internal HMDS. The melting points were measured on a Boetius hot stage.

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