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Facile Synthesis of Furobenzothiazepines

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The reaction of methyl 5-(2-isocyanatophenylthio)-2-furancarboxylate **2** with *N*-methylpiperazine gave 5-(2-*N*-piperazinocarbonylphenylthio)-2-furancarboxylate **3a**. Furthermore, 4-*N*-methylpiperazinyl-2-methoxycarbonyl-furo[2,3-*b*][1,5] benzothiazepine **4a** was obtained by the Bischler-Napieralski reaction of **3a** with phosphorus oxychloride in the presence of phosphorus pentoxide. Three furobenzothiazepines could be obtained using the same method. Based on the pharmacological studies of these compounds, it was found that 4-morpholinyl-2-methoxycarbonyl-furo[2,3-*b*][1,5]benzothiazepine **4b** had anti-inflammatory activity similar to flufenamic acid.