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Synthesis of Fused Azines by Bi- and Multicomponent Reactions

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Bi- and multicomponent reactions represent attractive strategies for combinatorial chemistry. Libraries of oxazolopyrimidins **3** [R^2=Me, i-Pr, Bn, $CH_2C_6H_4$-4-OH, CH_2OH, CH_2CO_2H, $(CH_2)_2CO_2H$], pyrimidoisoquinolines **6a** and pyrimido-β-carbolines **7a** were synthesized by reactions of 1,3-isothiocyanatocarbonyl compounds **1** with α-aminoacids, arylethylamines and thryptamines. One-pot synthesis of acetals **2 (R=H,Me)** by action of HNCS, $HC(OEt)_3$ on α,β-unsaturated aldehydes in alcoholic media was developed. Libraries of compounds **4** and **5a** were obtained on the base of acetals **2**. It was shown that interaction of α,β-unsaturated carbonyl compounds with corresponding N-mono substituted ureas ($H_2NCONHR^4$) leads to formation of 6-hydroxytetrahydro-2(1*H*)-pyrimidinones **5b** [R^4=Bn, $(CH_2)_2Ph$], pyrimidoisoquinolines **6b** [R^4=$(CH_2)_2C_6H_3$-3,4-$(R^5)_2$, R^5=OH,OMe] and pyrimido-β-carbolines **7b** [R^4=$(CH_2)_2$-3-Ind].