Synthesis, antibacterial activity and cytotoxicity of new fused pyrazolo[1,5-a]pyrimidine and pyrazolo[5,1-c][1,2,4]triazine derivatives from new 5-aminopyrazoles

ABSTRACT

New 5-aminopyrazoles 2a–c were prepared in high yields from the reaction of known α,α-dicyanoketene-N,S-acetals 1a–c with hydrazine hydrate under reflux in ethanol. These compounds were utilized as intermediates to synthesize pyrazolo[1,5-a]-pyrimidines 3a–c, 4a–d, 5a–c, and 6a–c, as well as pyrazolo[5,1-c][1,2,4]triazines 7a–c and 8a–c, by the reaction of 2-[bis(methylthio)methylene]malononitrile, α,α-dicyanoketene-N,S-acetals 1a–b, acetylacetone, acetoacetanilide as well as acetylacetone, and malononitrile, respectively. Furthermore, cyclization of 2a–c with pentan-2,5-dione yielded the corresponding 5-pyrrolylpyrazoles 9a–c. Moreover, fusion of 2a–c with acetic anhydride resulted in the corresponding 1-acetyl-1H-pyrazoles 10a–c. The antibacterial activity and cytotoxicity against Vero cells of several selected compounds are also reported.

Keyword: 5-Aminopyrazoles; Pyrazolo[1,5-a]pyrimidines; Pyrazolo[5,1-c][1,2,4]triazines; α,α-Dicyanoketene-S,S- and N,S-acetals; Antibacterial activity; Cytotoxicity.