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A facile one-pot synthesis of 7-substituted pyrazolo[1,5-*a*]pyrimidines by base induced three-component reaction

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Abstract: The preparation of steroid/nonsteroid fused 7-substituted pyrazolo[1,5-*a*]pyrimidines is described by a one-pot reaction of steroidal/nonsteroidal ketones, aromatic aldehydes and 3-amino-1*H*-pyrazoles/5-amino-1*H*-pyrazoles in the presence of potassium *tert*-butoxide in good yield under reflux condition in ethanol.

Keywords: Pyrazolo[1,5-*a*]pyrimidine, steroid, potassium *tert*-butoxide, heterocycle, amino-1*H*-pyrazole.

Pyrazolo[1,5-*a*]pyrimidine derivatives are of great pharmaceutical importance because of their wide range of biological activities. For example, they show antitrypanosomal and antischistosomal activities,¹ and many of them are used as COX-2 selective inhibitors, CRF1 antagonists, HMG-CoA reductase inhibitors, histamine-3 receptor ligands and antianxiety agents.² Among the pyrazolo[1,5-*a*]pyrimidine derivatives, recently, 7-substituted cycloalkane ring fused pyrazolo[1,5-*a*]pyrimidine derivatives as well as 7-substituted pyrazolo[1,5-*a*]pyrimidines turned out to be very promising molecules due to their interesting biological activities. For example, 7-substituted cyclopentane ring fused pyrazolo[1,5-*a*]pyrimidine derivative **I** (Figure 1) is found to have sub-nanomolar affinity ($K_i < 1$ nM) as 5-HT₆ receptor antagonist³. 7-Substituted pyrazolo[1,5-*a*]pyrimidine derivatives **II** and **III** are known drugs for

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