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Pallabi Saikia, Partha Pratim Kaishap, Rashmi Prakash, Kommuri Shekarrao, Sanjib Gogoi, Romesh C Boruah

PII: S0040-4039(14)00799-0
DOI: http://dx.doi.org/10.1016/j.tetlet.2014.05.021
Reference: TETL 44612

To appear in: *Tetrahedron Letters*

Received Date: 10 February 2014
Revised Date: 9 May 2014
Accepted Date: 10 May 2014

Please cite this article as: Saikia, P., Kaishap, P.P., Prakash, R., Shekarrao, K., Gogoi, S., Boruah, R.C., A facile one-pot synthesis of 7-substituted pyrazolo[1,5-*a*]pyrimidines by base induced three-component reaction, *Tetrahedron Letters* (2014), doi: http://dx.doi.org/10.1016/j.tetlet.2014.05.021

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

Pallabi Saikia, Partha Pratim Kaishap, Rashmi Prakash, Kommuri Shekarrao, Sanjib Gogoi,* Romesh C Boruah*

*Corresponding author, Tel.: +91 3762372948; fax: +913762370011; Email: skgogoi1@gmail.com, rc_boruah@yahoo.co.in

Medicinal Chemistry Division, CSIR-North East Institute of Science and Technology, Jorhat 785006, India

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 (Ki < 1 nM) as 5-HT_6 receptor antagonist[3]. 7-Substituted pyrazolo[1,5-*a*]pyrimidine derivatives **II** and **III** are known drugs for