One-Pot Sequential Synthesis of Quinazolin-8-ol derivatives employing Heterogeneous Catalyst for Suzuki-Miyaura coupling

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Abstract

Quinazolines are pivotal heterocycles in medicinal chemistry. These have been isolated from different plants and microorganisms and are often the central core of complex natural products such as farinamycin [1], phaitanthrin C and methylisatoid [2] etc. (Figure 1) which have various biological activities. Further, many synthetic quinazoline derivatives such as batracyclin for its anti-tumor activity [5], and the piperazine-containing trimazosin and prazosin for the treatment of high blood pressure, symptoms of an enlarged prostate, post-traumatic stress disorder (PTSD) and preventing neurodegenerative diseases such as Parkinson’s disease (PD) [6] are all of these derivatives have been synthesized by multistep reactions with low to moderate yields. We have reported an efficient and eco-friendly method for a one-pot sequential synthesis of quinazolin-8-ol derivatives. A variety of boronic acids were used for Suzuki-Miyaura coupling with commercially-available SiliaCat®DPP-Pd heterogeneous catalyst. Use of this catalyst ensures minimal leaching of palladium in the product and alleviates the need of further purification. The reaction conditions used in the four synthetic steps were optimized to telescope three intermediates without first requiring their isolation to establish an efficient and eco-friendly one-pot synthesis. Significance, synthetic strategy, experimental details and characterization of these newly developed interesting molecules

Biography:

Vijay Kumar Sharma is pursuing his PhD from Amity University Uttar Pradesh, Sector-125, Noida, India. He has done M.Sc. in Pharmaceutical Chemistry from Guru Nanak Dev University Amritsar, India in 2007. He is working as Senior Research Scientist in Integral Bio Sciences Pvt. Ltd, Noida, India. He has worked as a synthetic chemist for project in drug discovery for various collaborators and involved in synthesis of novel scaffolds on mg to gram scale. He has an experience of over 12 years in this area and worked with premier organization such as Ranbaxy Laboratories, Gurugram, India. (Now Sun Pharmaceutical Industries Limited) and Jubilant Chemsys Limited Noida, India

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