

Novel 3-benzyl-2,6-diarylpiperidin-4-one derivatives: syntheses, characterization, and antimicrobial profile

Shashi Kant Sahu · Avinash C. Tripathi ·
Mary Koshy · Shailendra K. Saraf

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Abstract The study was aimed at the syntheses and evaluation of a series of novel 3-benzyl-2,6-diarylpiperidine-4-ones. The newly synthesized compounds were characterized by elemental analysis, infrared, ^1H NMR, ^{13}C NMR, and mass spectral analyses. These compounds were screened for their inhibiting potential against various bacterial and fungal strains. The antimicrobial activity was performed against four Gram positive bacteria (*S. aureus*, *B. subtilis*, *B. pumilus*, and *M. luteus*), three Gram negative bacteria (*P. aeruginosa*, *P. fluorescens*, and *E. coli*), and two fungal strains (*A. niger* and *P. chrysogenum*) by cup-plate method and tube assay method. The results reveal that some of these compounds exhibited remarkable activity against the selected bacterial and fungal strains, with MIC values as low as 50 $\mu\text{g/mL}$. Interestingly, all the compounds exhibited better antifungal activity than antibacterial activity. Thus, it can be concluded that 3-benzyl-2,6-diarylpiperidine-4-ones may exhibit potent antifungal activity.

Keywords Piperidin-4-ones · Mannich reaction · Antifungal · Antibacterial · Antimicrobial

Introduction

The widespread use of heterocyclic compounds is because their structures can be subtly manipulated to achieve the required modification in function (Gilchrist, 1997). Heterocyclic ring systems having piperidin-4-one nucleus have generated great interest in the past and recent years due to their wide variety of biological properties (Sahu *et al.*, 2013) such as antibacterial (Ramalingan *et al.*, 2004; Jayabharathi *et al.*, 2007a, b; Gopalakrishnan *et al.*, 2009; Srinivasan *et al.*, 2006), antifungal (Aridoss *et al.*, 2006, 2007a, b, 2009; Jayabharathi *et al.*, 2005), analgesic and antipyretic (Kalaiselvan *et al.*, 2004; Rameshkumar *et al.*, 2003; Salima *et al.*, 1986), anticancer (Dimmock *et al.*, 1990, 2002; Das *et al.*, 2007; Pati *et al.*, 2008, 2009; Makarov *et al.*, 2009; Patel *et al.*, 2007), antiviral (Artico *et al.*, 1998; Santo *et al.*, 2003; El-Subbagh *et al.*, 2000), antihypertensive (Zhang *et al.*, 2009), and antimycobacterial activities (Das *et al.*, 2008; Aridoss *et al.*, 2008).

Piperidine-4-one nucleus is generally synthesized by Mannich reaction, producing β -amino-carbonyl compound, also known as a Mannich base. The biological activities of piperidones are associated with substitutions at 2, 3, and 6 positions. The biological activity was found to be significant in compounds possessing aromatic substituents at 2 and/or 6 positions (Rameshkumar *et al.*, 2003). The substituted piperidin-4-ones, such as 1,3-dimethyl-2,6-diphenyl-4-piperidone, have been found to be versatile intermediates in different types of reactions since they have two reactive sites, carbonyl and keto methylene groups. This paved the pathway for the synthesis of some heterocyclic compounds such as tetrahydropyridine, diazepanone, oxazepanone, piperidone, pyridopyrimidone, pyrido-pyrimidinethione, thiazolopyridine, furanylmethylene, and pyridoindole (Padmavathi *et al.*, 2005). Piperidin-4-one, when reacted with

S. K. Sahu · A. C. Tripathi · M. Koshy · S. K. Saraf (✉)
Faculty of Pharmacy, Babu Banarasi Das Northern India
Institute of Technology, BBD City, Faizabad Road,
Chinhut, Lucknow 227105, U.P, India
e-mail: dirpharmnic@gmail.com

S. K. Sahu
e-mail: shashikant1505@gmail.com

A. C. Tripathi
e-mail: avinie31@gmail.com

M. Koshy
e-mail: marymary@rediffmail.com