

ORIGINAL PAPER

Microwave-assisted synthesis and antibacterial activity of derivatives of 3-[1-(4-fluorobenzyl)-1*H*-indol-3-yl]-5-(4-fluorobenzylthio)-4*H*-1,2,4-triazol-4-amine

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Herein, an excellent method for the synthesis of twelve novel Schiff base derivatives containing indole and triazole assisted by microwave irradiation is reported. Compared with the conventional method, the yields increased from 59–84 % to 85–96 % and the reaction time was reduced from 24–30 h to 4–8 min. Moreover, all series of the newly synthesized Schiff bases were evaluated for their antibacterial activity. The values of minimum inhibitory concentration (MIC) and IC₅₀ indicated that many target compounds possessed excellent antibacterial activity against *Escherichia coli*, *Staphylococcus aureus*, *Pseudomonas aeruginosa*, and *Bacillus subtilis*.

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Introduction

Due to the universality and resistance of pathogenic bacteria, the contest between human and pathogenic bacteria exists along the whole course of human development (Giske & Cornaglia, 2010). Unfortunately, billions of people are infected by pathogenic bacteria every year, which brings great pain and loss to mankind. Therefore, the research of antibacterial drugs has become an urgent task for our existence.

In recent years, indole has attracted significant attention because of its good antimicrobial activity. Many derivatives of indole-2-ones were reported to possess good antimicrobial activity against *Escherichia coli*, *Staphylococcus aureus*, and *Bacillus subtilis*, etc. (Reddy et al., 2011; Akhaja & Raval, 2011, 2012). Some derivatives of 1-(1*H*-indol-3-yl) ethanamine were found to strongly inhibit the growth of *Staphylococcus strains* (Burchak et al., 2011).

1,2,4-Triazole derivatives are reported frequently for their good antimicrobial activity (Patil et al., 2010; Pardeshi & Bobade, 2011). Quinoline derivatives carrying 1,2,4-triazole (Eswaran et al., 2009), 1,2,4-triazole fused macrocyclic crown compounds (Khalil,

2010), and sulfonamide-1,2,4-triazoles (Zoumpoulakis et al., 2012) were confirmed to have good antimicrobial activity against *S. aureus*, *E. coli*, and *B. subtilis*, etc.

Schiff bases have also received attention owing to their good antimicrobial activity (da Silva et al., 2011). Many Schiff bases with good inhibition activity against both Gram-positive and Gram-negative bacterial strains were explored (Bharti et al., 2010; Negm et al., 2010); e.g. pyrazole based Schiff bases (Singh et al., 2012) and Schiff bases containing the thiourea structure (Zhang et al., 2011) were reported to possess good inhibition activity against *E. coli*, and *B. subtilis*, etc.

From the above facts results that indole, 1,2,4-triazole and Schiff bases possess good antimicrobial activity. However, to our knowledge, Schiff bases containing both 1,2,4-triazole and indole have been reported only rarely.

In addition, due to the environmental pollution and waste of resources, traditional chemical industry processes have also brought serious harm to the environment (Nadal et al., 2011). To improve chemical processes and reduce environmental pollution

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