

Semisynthesis of Some Benzamide, Benzenesulfonamide, and Thioureido-4,5-Dimethylthiophene Derivatives as a New Class of Antimicrobial Agents

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SUMMARY. The natural alkaloid 2-amino-1-phenylpropan-1-ol (1) was utilized in the synthesis of some novel benzamides (2-5), benzenesulfonamides (6-8) and ethyl 2-(3-(1-hydroxy-1-phenylpropan-2-yl)thioureido)-4,5-dimethylthiophene-3-carboxylate (9). The structures of the semisynthesized compounds were proved by analytical and spectral data. The synthesized compounds were evaluated *in vitro* for antimicrobial activity against *Escherichia coli* ATCC 25922, *Staphylococcus aureus* ATCC 35501, *Candida albicans* ATCC 14053 and *Aspergillus niger* ATCC 16404. Two compounds showed inhibitory effect against *C. albicans* ATCC 14053: ethyl 2-(3-(1-hydroxy-1-phenylpropan-2-yl)thioureido)-4,5-dimethylthiophene-3-carboxylate (9) was the most active with MIC = 1.5 mg/mL followed by 4-bromo-N-(1-hydroxy-1-phenylpropan-2-yl)benzenesulfonamide (8) with MIC = 3 mg/mL.

RESUMEN. El alcaloide natural 2-amino-1-fenilpropan-1-ol (1) fue utilizado en la síntesis de algunas nuevas benzamidas (2-5), bencenosulfonamidas (6-8) y acetato de 2-(3-(1-hidroxi-1-fenilpropan-2-il) tioureido)-4,5-dimetiltiofeno-3-carboxilato de metilo (9). Las estructuras de los compuestos semisintetizados se probaron mediante datos analíticos y espectrales. Los compuestos sintetizados se evaluaron *in vitro* para la actividad antimicrobiana contra *Escherichia coli* ATCC 25922, *Staphylococcus aureus* ATCC 35501, *Candida albicans* ATCC 14053 y *Aspergillus niger* ATCC 16404. Dos compuestos mostraron efecto inhibitorio contra *C. albicans* ATCC 14053: el 2-(3-(1-hidroxi-1-fenilpropan-2-il) tioureido)-4,5-dimetiltiofeno-3-carboxilato de metilo (9) fue el más activo con MIC = 1,5 mg/mL, seguido de 4-bromo-N-(1-hidroxi bencenosulfonamida-1-fenilpropan-2-il) (8), con MIC = 3 mg/mL.

KEY WORDS: antimicrobial activity, benzamide, l-norephedrine, sulfonamide, thioureido.

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