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1,3-Oxazepine Compounds Derived from Azomethine: Synthesis, Characterization and Antibacterial Evaluation

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SUMMARY. Two azomethines, namely 2-methoxy-4-phenyliminomethyl-phenol (1) and 4-[(2,4-dinitrophenyl)-hydrazonomethyl]-2-methoxy-phenol (**2**) were prepared between the reaction of vanillin with aniline and 2,4-dinitrophenylhydrazine, respectively. Subsequently, these two azomethines were reacted via direct cyclic addition with maleic anhydride, phthalic anhydride and succinic anhydride, respectively, yielded a series of new 1,3-oxazepines (**3**-**8**). All the synthesized compounds were characterized on the basis of FT-IR, NMR, MS and elemental analysis (CHN). Disk diffusion and 96-well plate assay methods were employed for zone of inhibition and minimum inhibitory concentration determination respectively to investigate the antibacterial activities. Our studies showed that compound **5** showed promising antibacterial activities with zone of inhibition 24.7 mm against *S. aureus,* AI value 77.2 % compare to standard ciprofloxacin and MIC was 31.25 μg/mL.

RESUMEN. Se prepararon dos azometinas, concretamente 2-metoxi-4-fenilimetil-fenol (1) y 4-(2,4-dinitro-fenil)-hidrazonometil]-2-metoxi-fenol (**2**) mediante la reacción de vanillina con anilina y 2,4-dinitrofenilhidrazina, respectivamente. Posteriormente, estas dos azometinas se hicieron reaccionar por adición cíclica directa con anhídrido maleico, anhídrido ftálico y anhídrido succínico, respectivamente, produciendo una serie de nuevas 1,3-oxazepinas (**3**-**8**). Todos los compuestos sintetizados se caracterizaron sobre la base de FT-IR, NMR, MS y análisis elemental (CHN). Se emplearon métodos de difusión en disco y de ensayo de placa de 96 pocillos para la zona de inhibición y la determinación de la concentración inhibidora mínima, respectivamente, para investigar las actividades antibacterianas. Nuestros estudios mostraron que el compuesto **5** mostró actividades antibacterianas prometedoras con zona de inhibición de 24,7 mm frente a *S. aureus;* el valor de IA en comparación con la ciprofloxacina estándar fue del 77,2% y la CMI fue de 31,25 μg/mL.

KEY WORDS: antibacterial activities, azomethines, MIC.

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