Latin American Journal of Pharmacy
(formerly *Acta Farmacéutica Bonaerense)*
Lat. Am. J. Pharm. **34** (4): 719-24 (2015)

Regular article
Received: January 29, 2015
Revised version: February 17, 2015
Accepted: February 18, 2015

Synthesis and Biological Evaluation of Amino Terminal Modified New Sulfonamides of Contemporary Drugs

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SUMMARY. Novel sulfonamides were developed by the simple and single pot reaction of existing drugs (lamotrigine, metoclopramide, ampicillin, cefixime, cefradine) with p-toluene sulphonyl chloride and structures of the new products (**2**-**6**) were confirmed by elemental and spectral analysis (FT-IR, ESI-MS, ^{1}H NMR and ^{13}C NMR). *In vitro,* developed compounds were screened for their antibacterial and antifungal activities against two sensitive bacteria belonging to both gram positive and gram negative types and two fungi. Among the tested compounds, it was found that compound 5 has marked activity against *E. coli* and *Alternaria alternata* with zone of inhibition 28.1 ± 0.22 mm (MIC: 6.25 μg/mL) and 27.6 ± 0.22 mm (MIC: 6.25 μg/mL) respectively nearly as active as ciprofloxacin and clotrimazole. *S. aureus* was more sensitive to compound **2** with zone of inhibition (mm) 24.1 ± 0.11 and MIC: 6.25 μg/mL.

RESUMEN. Se desarrollaron nuevas sulfonamidas a partir de medicamentos existentes (lamotrigina, metoclopramida, ampicilina, cefixima, cefradine) con cloruro de p-tolueno sulfonilo. Las estructuras de los nuevos productos (**2**-**6**) fueron confirmadas por análisis elemental y espectral (FT-IR, ESI-MS, ^{1}H RMN y ^{13}C NMR). *In vitro*, los compuestos desarrollados fueron seleccionados por sus actividades antibacterianas y antifúngicas contra dos bacterias tanto Gram positivas como Gram negativas y dos tipos de hongos. Entre los compuestos ensayados, se encontró que el compuesto 5 posee marcada actividad contra *E. coli* y *Alternaria alternata* con zonas de inhibición de 28,1 ± 0,22 mm (MIC: 6,25 μg/mL) y 27,6 ± 0,22 mm (MIC: 6,25 μg/mL), respectivamente, casi tan activa como la ciprofloxacina y clotrimazol. *S. aureus* fue más sensible al compuesto **2** con zona de inhibición de 24,1 ± 0.11 mm y MIC = 6,25 μg /mL.

KEY WORDS: antimicrobial agents, minimum inhibitory concentration, sulfonamides.

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ISSN 0326 2383 (printed ed.)
ISSN 2362-3853 (on line ed.)