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Synthesis, Spectral Characterization and Biological Activities of Methyl Substituted Amino Acid Conjugated Sulfonamides

Muhammad A. QADIR [1], Mahmood AHMED [1] *, Muhammad I. SHAFIQ [1], Nasir MAHMOOD [2], Sarosh ANWAR [1], Tayyaba HABIB [1], & Sajilah NOSHEEN [1]

[1] *Institute of Chemistry, University of Punjab, Lahore-Pakistan 54000*
[2] *University of Health Sciences, Lahore-Pakistan 54590*

SUMMARY. Novel sulfonamides were developed by the simple and single pot reaction of methylated amino acids (*N*-methylglycine, N^6-methyllysine, and *N*-methylmethionine) with *p*- toluene sulphonyl chloride and structures of the new products (**3a-3c**) were confirmed by elemental and spectral analysis (FT-IR, ESI-MS, 1H NMR and ^{13}C NMR). The developed compounds were screened *in vitro* for their antibacterial and antifungal activities against three sensitive bacteria belonging to both Gram-positive and Gram-negative types and three fungi. Among the tested compounds, it was found that compound **3a** has marked activity against *Escherichia coli* and *Aspergillus parasiticus* with zone of inhibition 30 ± 0.23 mm (MIC: 7.81 μg/mL) and 27 ± 0.32mm (MIC: 31.25 μg/mL), respectively, nearly as active as ciprofloxacin and clotrimazole. Compound **3c** has highest MIC value and lowest zone of inhibition against *A. parasiticus*.

RESUMEN. Nuevas sulfonamidas fueron desarrolladas mediante la simple reacción de aminoácidos metilados (*N*-metilglicina, N^6-metillisina y *N*-metilmetionina) con cloruro de *p*- toluensulfonilo y las estructuras de los nuevos productos (**3a-3c**) fueron confirmadas por análisis elemental y espectral (FT-IR, ESI-MS, 1H NMR y ^{13}C NMR). Los compuestos desarrollados fueron ensayados por sus actividades antibacterianas y antifúngicas *in vitro* contra tres bacterias Gram-positivas y Gram-negativas y tres tipos de hongos. Entre los compuestos ensayados se encontró que el compuesto **3a** posee marcada actividad contra *Escherichia coli* y *Aspergillus parasiticus* con zona de inhibición 30 ± 0,23 mm (MIC: 7,81 g/mL) y 27 ± 0,32 mm (MIC: 31,25 g/mL), respectivamente, casi tan activo como la ciprofloxacina y clotrimazol. El compuesto **3c** tiene mayor valor de MIC y la zona más baja de inhibición contra *A. parasiticus*.

KEY WORDS: amino acids, antimicrobial activities, sulfonamides.

* Author to whom correspondence should be addressed. *E-mail:* mahmoodresearchscholar@gmail.com

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