Latin American Journal of Pharmacy
(formerly *Acta Farmacéutica Bonaerense)*
Lat. Am. J. Pharm. **33** (10): 1631-6 (2014)

Regular article
Received: August 5, 2014
Revised version: October 9, 2014
Accepted: October 10, 2014

Gold-Catalyzed Synthesis and *In Vitro* Antimicrobial Bioevaluation of Novel Steroidal Oxazoles

Fengjun WANG [1], Longxiang LI [2], Mingyu LIU [1], Hong SUN *[1], & Jiannan XIANG *[2]

[1] *Department of Otolaryngology-Head and Neck Surgery Xiangya Hospital, Central South Univ , Changsha, 410008, China.*

[2] *State Key Laboratory of Chemo/Biosensing and Chemometrics, College of Chemistry and Chemical Engineering, Hunan Univ, Changsha, 410082, China.*

SUMMARY. Nine steroidal oxazole derivatives were synthesized via gold-catalyzed alkyne oxidation and characterized by IR, 1H NMR, ^{13}C NMR and MS spectra. The antibacterial activities of these compounds were evaluated by the agar diffusion assay against three Gram-positive and two Gram-negative bacteria, and then the minimum inhibitory concentration of compounds were determined. The results showed that all the synthesized steroidal oxazole derivatives were active *in vitro*. Among all the novel compounds, compounds **1a-c** were better antibacterial against as compared with standard drug amoxicillin.

RESUMEN. Nueve derivados esteroideos de oxazol se sintetizaron mediante la oxidación alquino catalizada por oro y se caracterizaron por espectros IR, 1H NMR, ^{13}C NMR y MS. Las actividades antibacterianas de estos compuestos fueron evaluados por el ensayo de difusión en agar contra tres bacterias Gram-positivas y dos Gram-negativas, y se determinó la concentración mínima inhibitoria de los compuestos. Los resultados mostraron que todos los derivados de oxazol esteroides sintetizados fueron activos *in vitro*. Entre todos los nuevos compuestos, los compuestos **1a-c** fueron mejores antibacterianos en comparación con el fármaco estándar amoxicilina.

KEY WORDS: Antibacterial activity, Gold-Catalyzed, Steroidal oxazoles, Synthesis.

* Author to whom correspondence should be addressed. *E-mail:* leichiwang@gmail.com (Hong Sun), leichi302@icloud.com (Jiannan Xiang)

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