Latin American Journal of Pharmacy
(formerly *Acta Farmacéutica Bonaerense)*
Lat. Am. J. Pharm. **37** (4): 768-74 (2018)

Received: February 17, 2018
Revised version: March 3, 2018
Accepted: March 4, 2018

Design, Synthesis and Activity Evaluation of 2-Ethyl-1-(4-substituted) Phenyl-1*H*-Imidazole Derivatives as Potent Antibacterial Agents

Xian-Yu SUN*, Chun-Yan ZHONG, Mei-Yu LIU,
Qing-Qing QIU, Gui-Lin ZHENG, Ming-Yue LV, Chun-Yuan PAN & Xin WANG

College of Animal Science and Technique, Heilongjiang Bayi Agriculture University, Daqing 163319, Heilongjiang, PR China

SUMMARY. A series of 2-ethyl-1-(4-substituted)phenyl-1*H*-imidazole compounds was designed, synthesized, and evaluated for antibacterial activity. Most of the synthesized compounds showed potent inhibitory activity against both *Staphylococcus aureus* and *Escherichia coli* with MIC values ranging from 2 to 256 μg/mL *in vitro*. In particular, compound **4e** (2-ethyl-1-[4-(3-chloro)benzylamino]phenyl-1*H*-imidazole) was found to be the most potent inhibitor, with MIC values of 16 μg/mL against *Staphylococcus aureus* and 2 μg/mL against *Escherichia coli*. These MIC values indicated that in terms of antibacterial potency, compound **4e** was comparable to the reference agent ciprofloxacin, and was more potent than the reference agent amoxicillin. Furthermore, compound **4e** exhibited significantly stronger antibacterial activity against *S. typhimurium* and *P. multocida* than the reference agents. Hence, further research on compound **4e** into its potential application as a drug will be pursued.

RESUMEN. Se diseñó, sintetizó y evaluó la actividad antibacteriana de una serie de compuestos de 2-etil-1-(4-sustituidos)fenil-1*H*-imidazol. La mayoría de los compuestos sintetizados mostraron una potente actividad inhibidora contra *Staphylococcus aureus* y *Escherichia coli* con valores de MIC que van de 2 a 256 μg/mL *in vitro*. En particular, se encontró que el compuesto **4e** (2-etil-1-[4-(3-cloro)bencilamino]fenil-1*H*-imidazol) era el inhibidor más potente, con valores MIC de 16 μg/mL contra *Staphylococcus aureus* y 2 μg/mL *contra Escherichia coli*. Estos valores MIC indicaron que, en términos de potencia antibacteriana, el compuesto **4e** era comparable al agente de referencia ciprofloxacina y era más potente que el agente de referencia amoxicilina. Además, el compuesto **4e** exhibió una actividad antibacteriana significativamente más fuerte contra *S. typhimurium* y *P. multocida* que los agentes de referencia. Por lo tanto, se realizarán nuevas investigaciones sobre el compuesto **4e** en cuanto a su potencial aplicación como fármaco.

KEY WORDS: antibacterial activity, imidazole, Gram-positive bacteria, Gram-negative bacteria, minimum inhibitory concentration (MIC).

* Author to whom correspondence should be addressed. *E-mail:* sxianyu@163.com

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