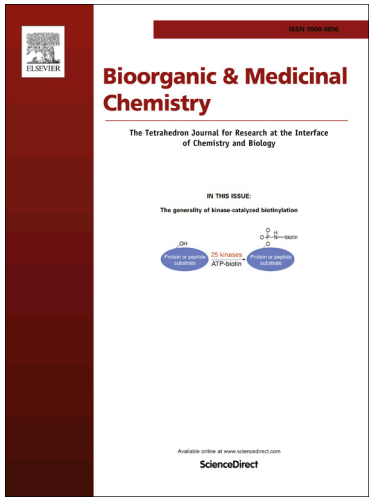

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PII: S0968-0896(16)30569-7
DOI: http://dx.doi.org/10.1016/j.bmc.2016.07.052
Reference: BMC 13165

To appear in: *Bioorganic & Medicinal Chemistry*

Received Date: 5 July 2016
Revised Date: 22 July 2016
Accepted Date: 23 July 2016

Please cite this article as: Shi, W-K., Deng, R-C., Wang, P-F., Yue, Q-Q., Liu, Q., Ding, K-L., Yang, M-H., Zhang, H-Y., Gong, S-H., Deng, M., Liu, W-R., Feng, Q-J., Xiao, Z-P., Zhu, H-L., 3-Arylpropionylhydroxamic acid derivatives as *Helicobacter pylori* urease inhibitors: Synthesis, molecular docking and biological evaluation, *Bioorganic & Medicinal Chemistry* (2016), doi: http://dx.doi.org/10.1016/j.bmc.2016.07.052

3-Arylpropionylhydroxamic acid derivatives as *Helicobacter pylori* urease inhibitors: Synthesis, molecular docking and biological evaluation

Wei-Kang Shi,[a] Rui-Cheng Deng,[a] Peng-Fei Wang,[b] Qin-Qin Yue,[a] Qi Liu,[a] Kun-Ling Ding,[a] Mei-Hui Yang,[a] Hong-Yu Zhang,[a] Si-Hua Gong,[a] Min Deng,[a] Wen-Run Liu,[a] Qiu-Ju Feng,[a] Zhu-Ping Xiao,[a *] Hai-Liang Zhu[a, b *]

[a]College of Chemistry and Chemical Engineering, Jishou University, Jishou 416000, P. R. China

[b]State Key Laboratory of Pharmaceutical Biotechnology, Nanjing University, Nanjing 210093, P. R. China

Abstract: *Helicobacter pylori* urease is involved in several physiologic responses such as stomach and duodenal ulcers, adenocarcinomas and stomach lymphomas. Thus, inhibition of urease is taken for a good chance to treat *H. pylori*-caused infections, we have therefore focused our efforts on seeking novel urease inhibitors. Here, a series of arylpropionylhydroxamic acids were synthesized and evaluated for urease inhibition. Out of these compounds, 3-(2-benzyloxy-5-chlorophenyl)-3-hydroxypropionylhydroxamic acid (**d24**) was the most active inhibitor with IC_{50} of 0.15±0.05 μM, showing a mixed inhibition with both competitive and uncompetitive aspects. Non-linear fitting of kinetic data gives kinetics parameters of 0.13 and 0.12 $\mu g \cdot mL^{-1}$ for Ki and Ki', respectively. The plasma protein binding assays suggested that **d24** exhibited moderate binding to human and rabbit plasma proteins.

Keywords: 3-Arylpropionylhydroxamic acid; *H. pylori* urease inhibitor; Plasma protein binding; Kinetics study; Non-linear fitting

* Corresponding author. E-mail address: xiaozhuping2005@163.com
* Corresponding author. E-mail address: zhuhl@nju.edu.cn