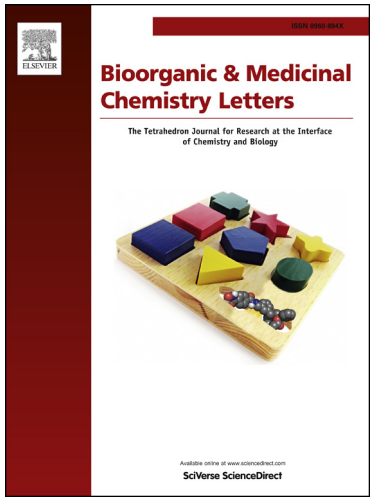

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PII: S0960-894X(13)00575-1
DOI: http://dx.doi.org/10.1016/j.bmcl.2013.04.085
Reference: BMCL 20450

To appear in: *Bioorganic & Medicinal Chemistry Letters*

Received Date: 16 January 2013
Revised Date: 24 April 2013
Accepted Date: 30 April 2013

Please cite this article as: Chen, J., Sun, W., Yang, J., Sun, H., Wang, Z., Dong, L., Qiao, C., Xia, C-m., Development of a Novel Class of Pyrrolo-[1,2,5]benzothiadiazepine Derivatives as Potential anti-Schistosomal Agents, *Bioorganic & Medicinal Chemistry Letters* (2013), doi: http://dx.doi.org/10.1016/j.bmcl.2013.04.085

Development of a Novel Class of Pyrrolo-[1,2,5]benzothiadiazepine Derivatives as Potential anti-Schistosomal Agents

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Abstract: Analogues of pyrrolo-[1,2,5]benzothiadiazepine were prepared and evaluated against *Schistosoma japonica*. The biological data revealed that most benzothiazepine derivatives show anti-schistosomal activity to some extent, while α-chloronation of the title compound and another bioisosteric derivative pyrrolo-[1,2,5]benzodiazepine displayed the most distinct worm killing activity. This study proved that benzodiazepine may serve as a novel structural skeleton for the development of anti-schistosomal agents.

Key word: benzothiadiazepine derivatives, anti-schistosomal

Schistosomiasis is one of the most burdensome nevertheless neglected tropical diseases. The World Health Organization (WHO) estimates that the number of people that treated for schistosomiasis has risen from 12.4 million in 2006 to 33.5 million in 2010.[1] Over the past 40 years, praziquantel (PZQ, Figure **1**) has been used as the only effective drug for schistosomal disease.[2,3]There are no back-up drugs for the treatment of schistosomiasis should praziquantel become less effective. Thus, there is an urgent need to develop newer anti-schistosomal agents.

A benzodiazepine derivative 3-methylclonazepam (Figure **1**, compound **2**) was