

Accepted Manuscript

Synthesis and *in-vitro* anti-leishmanial activity of (4-arylpiperazin-1-yl)(1-(thiophen-2-yl)-9H-pyrido[3,4-*b*]indol-3-yl)methanone derivatives

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PII: S0045-2068(16)30088-8

DOI: <http://dx.doi.org/10.1016/j.bioorg.2016.11.013>

Reference: YBIOO 1977

To appear in: *Bioorganic Chemistry*

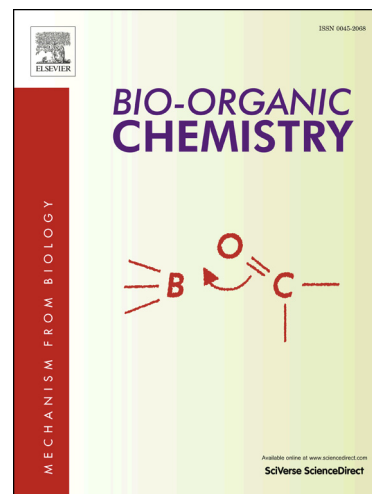
Received Date: 19 June 2016

Revised Date: 8 October 2016

Accepted Date: 27 November 2016

Please cite this article as: P. Ashok, S. Chander, L.M.C. Chow, I.L.K. Wong, R. Prakash Singh, P. Nath Jha, M. Sankaranarayanan, Synthesis and *in-vitro* anti-leishmanial activity of (4-arylpiperazin-1-yl)(1-(thiophen-2-yl)-9H-pyrido[3,4-*b*]indol-3-yl)methanone derivatives, *Bioorganic Chemistry* (2016), doi: <http://dx.doi.org/10.1016/j.bioorg.2016.11.013>

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Synthesis and *in-vitro* anti-leishmanial activity of (4-arylpiperazin-1-yl)(1-(thiophen-2-yl)-9*H*-pyrido[3,4-*b*]indol-3-yl)methanone derivatives

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ABSTRACT: In the present study, we have reported synthesis and biological evaluation of a series of fifteen 1-(thiophen-2-yl)-9*H*-pyrido[3,4-*b*]indole derivatives against both promastigotes and amastigotes of *Leishmania* parasites responsible for visceral (*L. donovani*) and cutaneous (*L. amazonensis*) leishmaniasis. Among these reported analogues, compounds **7b**, **7c**, **7f**, **7g**, **7i**, **7j**, **7m**, **7o** displayed potent activity (15.55, 7.70, 7.00, 3.80, 14.10, 9.25, 3.10, 4.85 μ M, respectively) against *L. donovani* promastigotes than standard drugs miltefosine (15.70 μ M) and pentamidine (32.70 μ M) with good selectivity index. In further, *in-vitro* evaluation against amastigote forms, two compounds **7g** (8.80 μ M) and **7i** (7.50 μ M) showed significant inhibition of *L. donovani* amastigotes. Standard drug amphotericin B is also used as control to compare inhibition potency of compounds against both promastigote (0.24 μ M) and amastigote (0.05 μ M) forms.

Keywords: Leishmaniasis, Promastigote, Amastigote, β -carboline

1. Introduction

Leishmaniasis is a group of diseases caused by protozoan parasites of the genus *Leishmania*. It is considered as one of the most neglected diseases and is endemic in 90 countries. It is estimated that, currently 350 millions are living at risk places and 12 millions are affected with annual mortality of 50,000 [1]. Traditionally, leishmaniasis has been classified into three different clinical forms (i.e.) Cutaneous Leishmaniasis (CL), Mucocutaneous Leishmaniasis (MCL) and Visceral Leishmaniasis (VL) [2]. CL is the most common form of the infection, 90% of cases occurs in countries like Afghanistan, Algeria, Brazil, Pakistan, Peru, Saudi Arabia and Syria. Nearly about 20 species of *Leishmania* are responsible for CL which includes *Leishmania*

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