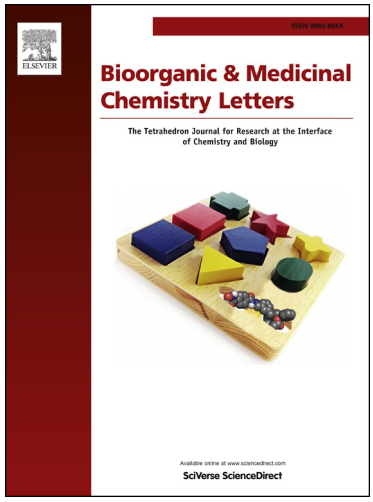

Identification of a novel class of Quinoline-Oxadiazole hybrids as anti-tuberculosis agents

Puneet P. Jain, Mariam S. Degani, Archana Raju, Aarti Anantram, Madhav Seervi, Sadhana Sathaye, Muktikanta Ray, M.G.R. Rajan

PII: S0960-894X(15)30265-1
DOI: http://dx.doi.org/10.1016/j.bmcl.2015.11.057
Reference: BMCL 23313

To appear in: *Bioorganic & Medicinal Chemistry Letters*

Received Date: 21 May 2015
Revised Date: 29 October 2015
Accepted Date: 17 November 2015

Please cite this article as: Jain, P.P., Degani, M.S., Raju, A., Anantram, A., Seervi, M., Sathaye, S., Ray, M., Rajan, M.G.R., Identification of a novel class of Quinoline-Oxadiazole hybrids as anti-tuberculosis agents, *Bioorganic & Medicinal Chemistry Letters* (2015), doi: http://dx.doi.org/10.1016/j.bmcl.2015.11.057

Identification of a novel class of Quinoline-Oxadiazole hybrids as anti-tuberculosis agents

Puneet P. Jain[a], Mariam S. Degani[a,*], Archana Raju[a], Aarti Anantram[a], Madhav Seervi[a], Sadhana Sathaye[a], Muktikanta Ray[b], M. G. R. Rajan[b]

[a] *Institute of Chemical Technology, Nathalal Parekh Marg, Matunga, Mumbai 400019, India*

[b] *Radiation Medicine Centre, Tata Memorial Hospital, Parel, Mumbai 400012, India*

*Corresponding author:

Prof. (Mrs.) Mariam S. Degani, Tel.: +91-22-3361-2213; Fax: +91-22-3361-1020; E-mail: ms.degani@ictmumbai.edu.in

Abstract:

A series of novel quinoline-oxadiazole hybrid compounds was designed based on stepwise rational modification of the lead molecules reported previously, in order to enhance bioactivity and improve druglikeness. The hybrid compounds synthesized were screened for biological activity against *Mycobacterium tuberculosis* H_{37}Rv and for cytotoxicity in HepG2 cell line. Several of the hits exhibited good to excellent anti-tuberculosis activity and selectivity, especially compounds 12m, 12o and 12p, showed minimum inhibitory concentration values < 0.5 µM and selectivity index >500. The results of this study open up a promising avenue that may lead to the discovery of a new class of anti-tuberculosis agents.

Keywords:

Mycobacterium tuberculosis; Isosterism; Oxadiazole; Quinoline; Bedaquiline.