

Pharmaceutical Summit and Expo

October 08-10, 2015 New Delhi, India

Design, synthesis and biological evaluation of novel unsymmetrical azines as quorum sensing inhibitors

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Targeting Quorum sensing signalling using Quorum Sensing inhibitors has opened a new avenue for the application of known antibiotics. In this context, twenty five unsymmetrical azines were synthesized and evaluated as quorum sensing inhibitors. An efficient one pot procedure was adopted that directly link 3-methyl-2-(methylthio)benzo[d]thiazol-3-ium salt, hydrazine hydrate and substituted aldehyde to give the designed compounds. The synthesized compounds were preliminarily tested for their potential to inhibit CviR receptor based QS signals in *Chromobacterium violaceum*. The bioassay screening results suggested that two compounds exhibited potent QS inhibition activity against CviR receptor showing violacein inhibition (>50%) at 200 μM. Further, the putative positive hits were checked for their potential to inhibit LasR receptor based QS using *PlasB-gfp* (ASV) biomonitor strain of *Pseudomonas aeruginosa*. These compounds were found to inhibit the QS mediated GFP signals in a dose dependant manner. Two active compounds were also exhibited biofilm clearance at 50 μM concentration. Docking studies were performed to examine their potential to bind to LasR protein of Pseudomonas aeruginosa.

Biography

Ms. Deepika Kathuria has completed her M.S. (Pharm.) from National Institute of Pharmaceutical Education and Research (NIPER), S.A.S. Nagar in the year 2014. She is a recipient of Bristol Myers Squibb fellowship (BMS) for master student. She has been awarded DST INSPIRE fellowship in 2014 by Department of Science and Technology, New Delhi. She has published her master work in an International journal. Currently, She is perusing Ph. D. in Medicinal Chemistry under Prof. Prasad V. Bharatam and her area of work is Leishmaniasis.

1. S. S. Chourasiya, D. Kathuria, S. Singh, V. C. Sonawane, A. K. Chakraborti and Prasad V. Bharatam, RSC Adv., 2015, 5, 80027-80038.

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