Supporting Information

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Bisnaphthalimidopropyl Derivatives as Inhibitors of Leishmania SIR2 Related Protein 1


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Supporting Information scheme S1. Representation of the enzymatic reaction to determine the effects of the various compounds toward the NAD⁺-dependent deacetylase activity of the parasite LiSIR2RP1 and the human form of the enzyme, hSIRT1. The assay makes use of the commercially available CycLex SIRT1/Sir2 deacetylase fluorimetric kit (CycLex Co. Ltd., Nagano, Japan). In this kit, the fluorophore (Nma) and quencher (Dnp) are coupled to the N- and C-terminal ends of the substrate peptide, respectively. Before the deacetylase reaction, the substrate does not fluoresce; following deacetylation by Sir2, however, the substrate peptide is cleaved by the protease activity of lysyl endopeptidase, resulting in separation of the quencher from the fluorophore, and thus fluorescence emission.

Supporting Information figure S1. BNIP derivatives do not inhibit lysyl endopeptidase present in the commercially available CycLex SIRT1/Sir2 deacetylase fluorimetric kit. The indicated BNIP derivatives (50µM each), nicotinamide (50µM), sirtinol (200µM) and 0.1% DMSO were tested against lysyl endopeptidase according to the manufacturer's instructions.