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## CREB binding protein (CBP) inhibition and target engagement

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Fully profiled chemical probes are essential to support the unbiased interpretation of biological experiments necessary for rigorous preclinical target validation. We believe that by developing a chemical probe tool kit, chemical biology can have a more central role in identifying targets of potential relevance to disease, avoiding many of the biases that complicate target validation. A development of CREB Binding Protein (CREBBP) selective chemical probe to elucidate biology associated with this bromodomain epigenetic target is presented. Chemical probe optimization is a strategic balance between physiochemical properties and chemistry, to identify high affinity binders that are functionally active and selective, with good permeability properties. The selectivity of the chemical probe against other bromodomain family members was investigated using biochemical and biophysical assays. To address the selectivity issue with BRD4, X-ray crystal structures of the probe candidates bound to CREBBP and BRD4 were used to guide the design. The chemical probes were useful in studies aimed at validating CREBBP as a therapeutic target and for establishing its biological role.

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## Synthesis of novel N-(4-methyl-3-((4-(pyridin-3-yl)pyrimidin-2-yl)amino)phenyl) piperidine-4-carboxamide derivatives as potential antiangiogenic and DNA cleavage agents

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A series of novel N-(4-methyl-3-((4-(pyridin-3-yl)pyrimidin-2-yl)amino)phenyl)piperidine-4-carboxamide derivatives 10(a-f), 12(a-c) and 14(a-c) were synthesized and were characterized by FTIR, 1H-NMR, mass spectral and elemental analysis. In the present study, the efficacy of the derivatives to inhibit the angiogenesis in vivo was evaluated in chorioallantoic membrane (CAM) model and also evaluated DNA cleavage studies by gel electrophoresis. The compounds suppressed the blood vessel formation in vivo in chorioallantoic membrane model. The difference observed in the band width and intensity is the criterion for the evaluation of binding/cleavage ability of synthetic molecule with calf thymus DNA. Among the tested compounds 10a, 10b, 10c, 12b, 14b and 14c showed a significant anti-angiogenic and DNA cleavage activity. We reasoned that the presence of electron donating and withdrawing groups at the 2nd, 3rd and 4th position of the phenyl ring of the side chain may determine the potency of the molecule. Further studies are required to reveal the exact mechanism of action of these N-(4-methyl-3-((4-(pyridin-3-yl)pyrimidin-2-yl)amino)phenyl) piperidine-4-carboxamide structures.

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