

Synthesis and biological characterisation of allosteric ligands of the CB1 cannabinoid receptor.



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Cannabinoid receptors are interesting biological targets, known to be involved in the control of pain, inflammation, appetite, and central nervous system disorders. In principle, the activity of these receptors could be modulated by small molecules for therapeutic benefit. In 2005, biological evidence indicated that the cannabinoid CB, receptor contains an allosteric binding site. ORG27569 (1) is one of the first ligands discovered for the allosteric site, and act on CB, by increasing *agonist binding affinity* and *inhibiting agonist signaling efficacy*. In this talk, we will describe our studies towards the elucidation of the CB, allosteric binding site as well as the possible bioactive conformation of ORG27569 and analogues.

