This introductory lecture will describe the critical role of Medicinal Chemistry in Pharmaceutical Drug Discovery. After describing the overall Drug Discovery & Development process, the talk will introduce the concept of Medicinal Chemistry and its essential role across all key aspects of Drug Discovery. These encompass Target Validation, Hit Identification, Hit-to-Lead and Lead Optimisation to deliver a clinic-ready Drug Candidate. A Case History will be used to further exemplify Medicinal Chemistry principles.