

## 1.1: What is Medicinal Chemistry?

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The roots of medicinal chemistry can be traced back nearly a century, to the pioneering work Paul Ehrlich, and his search for a “magic bullet” cure for syphilis infection (caused by *Treponema pallidum* infection). Over the decades to follow, scientific breakthroughs and technology advancements continued to drive the field of medicinal chemistry, giving rise to the modern omnipresence of pharmaceutical drugs in western society. However, until recently (roughly the turn of the century), drug discovery efforts remained largely centred around a classical pipeline involving linear and iterative research and development approaches. Basic research, focused on the identification and understanding of disease pathologies, was generally a pre-requisite for investigating therapeutic intervention. Selection of a suitable (often reused) target is followed by hit-to-lead studies involving the synthesis of chemical libraries, alongside assay development and execution at the *in vitro*, cellular, and *in vivo* stage to provide efficacious compounds. Simultaneous evaluation of the chemical and physical properties (pharmacokinetics) of potential drug candidates is integrated into the discovery pipeline in order to afford desirable properties such as oral bioavailability. Iterative optimization of the molecular structure eventually produces the pre-clinical candidate(s), which are submitted to the Food & Drug Administration (FDA) as well as other regulatory agencies and advanced to clinical trials. Indeed, it is commonplace for drug discovery researchers to boast specific areas of specialty, such as chemical synthesis, pharmacology, molecular biology, or bioanalytical chemistry (to name a few). However, true medicinal chemists are well-versed in all facets of the drug development process, driving the advancement of bioactive molecules to safe, efficacious therapies for patients. This resource is intended to guide the reader through the (small molecule) drug discovery process from a medicinal chemist’s perspective, providing the knowledge and tools required to interrogate the structure and function and bioactive molecules.

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