Pharmacokinetics (PK) is a sub-discipline within pharmacology which focuses on the use of mathematical models to describe and predict the time-course of drugs and imaging agents in the body. The four most important PK processes are absorption, distribution, metabolism, and elimination (ADME). Absorption deals with the uptake of a molecule from the gastro-intestinal system into the blood. Distribution encompasses the delivery of diagnostic or therapeutic molecules to pathological and healthy sites all over the body via systemic circulation. Metabolism refers to the degradation of the drug or imaging agent, and primarily takes place in the liver. Elimination finally results in the removal of the agents from the body, either via renal excretion, or via hepatobiliary clearance. In case of therapeutic molecules, all four PK processes are highly important for determining the efficacy and the toxicity of the drug. In case of diagnostics, PK parameters predefine the potential and the specific use of the imaging agent. In the present lecture, I will briefly introduce the basic principles, processes and parameters involved in pharmacokinetics, I will outline and compare optimal pharmacokinetics properties of drugs vs. imaging agents, and I will provide several examples showing that tailoring the pharmacokinetic properties of drugs and imaging agents can be used to enhance therapeutic efficacy and enable novel imaging applications.