Abstract. There can be no more noble undertaking than the invention of medicines. Chemists that make up the engine of drug discovery are facing incredible pressure to do more with less in a highly restrictive and regulated process that is destined for failure more than 95% of the time. How can academic chemists working on natural products help these heroes of drug discovery – those in the pharmaceutical industry? With selected examples from our lab and others, this talk will focus on that question highlighting innovation in fundamental chemistry and new approaches to scalable chemical synthesis. The advantages of harnessing innate reactivity and embracing the logic of C–H functionalization will be demonstrated in this context as well as in the invention of reactions with broad utility in industrial settings.