Our rapidly growing understanding of the genetic and biochemical basis for human diseases has yet to yield a commensurate growth in the discovery of new medicines. In this talk, Ashok Venkitaraman will discuss his laboratory’s recent work to develop technologies that rapidly scan cellular pathways for novel molecular targets, and enable structure-guided lead discovery against previously ‘undrugged’ protein folds. These technologies promise to extend the reach of therapeutics discovery for human disease.